A12

(54) **CYCLOAMINE CCR5 RECEPTOR ANTAGONISTS**

(57) Remedies or prophylactics for diseases in association with CCR5 such as AIDS, rheumatoid arthritis or nephritis comprising a cyclic amine compound represented by the following formula (I), a pharmaceutically acceptable acid addition salt thereof or a pharmaceutically acceptable C_1-C_6 alkyl addition salt thereof, as an active ingredient.

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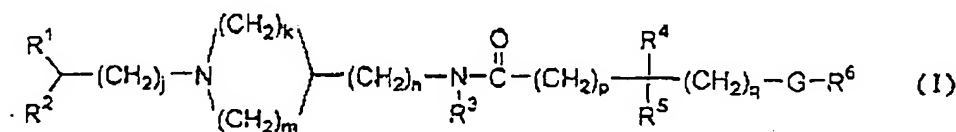
Description

Technical Field

5   **[0001]** The present invention relates to CCR5 antagonists expectable of effects as remedies and/or prophylactics for diseases in which infiltration and activation of monocytes/macrophages, T-cells and the like into tissues play an important role in progression and maintenance of the diseases such as rheumatoid arthritis, nephritis (nephropathy), multiple sclerosis, rejection after organ transplantation, graft-versus-host diseases (GVHD), diabetes, chronic obstructive pulmonary diseases (COPD), asthma, atopic dermatitis, sarcoidosis, fibrosis, atherosclerosis, psoriasis and in-
10   flammatory bowel diseases or AIDS (acquired immunodeficiency syndrome) caused by infection of HIV (human immunodeficiency virus).

Background Art

15   **[0002]** The CCR5 is a receptor for MIP-1α (an abbreviation for macrophage inflammatory protein-1α), MIP-1β (an abbreviation for macrophage inflammatory protein-1β) or RANTES (an abbreviation for regulated upon activation normal T-cell expressed and secreted) and is known to be expressed in lymphoid tissues such as thymus and spleen, monocytes/macrophages, T-cells or the like (see, for example, Samson, M. et al., Boichemistry, 1996, 35, 3362; Raport, C.J. et al., J. Biol. Chem., 1996, 271, 17161; and Combadiere, C. et al., J. Leukoc. Biol., 1996, 60, 147).
20   **[0003]** As to information about the relationship between the CCR5 and diseases, it has been reported that the CCR5 was expressed in leukocytes such as T-cells in arthrosynovial tissues and synovial fluid of patients suffering from rheumatoid arthritis (see Loetscher, P. et al., Nature, 1998, 391, 344; Mack, M. et al., Arthritis Rheum., 1999, 42, 981 and the like), CCR5 deficient homozygotes were not found in patients suffering from rheumatoid arthritis (see Gomez-Reino, J.J. et al., Arthritis Rheum., 1999, 42, 989), CCR5 was expressed in T-cells in renal biopsy samples of patients
25   suffering from glomerulonephritis, interstitial nephritis and rejection after transplantation (see Segerer, S. et al., Kidney Int., 1999, 56, 52), many T-cells expressing CCR5 were found in blood of patients suffering from multiple sclerosis (see Balashov, K.E., Proc. Natl. Acad. Sci. USA, 1999, 96, 6873), CCR5 was expressed in T-cells infiltrated into liver injury sites of a mouse graft-versus-host disease (GVHD) model and the infiltration of the T-cells was suppressed by administration of an anti-CCR5 antibody (see Murai, M. et al., J. Clin. Invest., 1999, 104, 49), the progression of morbid
30   states in a mouse diabetes model was associated with MIP-1α and CCR5 (see Cameron, M.J. et al., J. Immunol., 2000, 165, 1102) and the like.
    [0004] Accordingly, CCR5 is thought to be associated with initiation, progression and maintenance of diseases in which the accumulation and activation of monocytes/macrophages and/or T-cells in disease sites can be assumed to be deeply associated with progression of lesions, for example rheumatoid arthritis, nephritis (nephropathy), multiple
35   sclerosis, rejection after organ transplantation, graft-versus-host diseases (GVHD) and diabetes.
    [0005] Furthermore, based on a report that the CCR5 is specifically expressed in Th1 cells among the T-cells, CCR5 is thought to be associated with initiation, progression and maintenance of many autoimmune diseases and inflammatory diseases such as chronic obstructive pulmonary diseases (COPD), asthma, atopic dermatitis, sarcoidosis, fibrosis, atherosclerosis, psoriasis and inflammatory bowl diseases in which Th1 cells can be assumed to be associated with
40   morbid states including the above diseases (see Bonecchi, R. et al., J. Exp. Med., 1998, 187, 129; Loetscher, P. et al., Nature, 1998, 391, 344 and the like).
    [0006] On the other hand, although CD4 is known as a receptor when a host cell is infected with HIV (human immunodeficiency virus), it has been suggested that a second receptor (a coreceptor receptor) is necessary because the infection of HIV is not established only with the CD4. Usually, HIV-1 is roughly classified into a macrophage-tropic (M-
45   tropic) strain and a T-cell-tropic (T-trophic) strain depending on the species of cells that the virus can infect, and it has been elucidated that a coreceptor essential to the infection of the macrophage-tropic strain is CCR5 (see, for example, Deng, H. et al., Nature, 1996, 381, 661; Dragic, T. et al., Nature, 1996, 381, 667; Alkhatib, G. et al., Science, 1996, 272, 1955; Choe, H. et al., Cell, 1996, 85, 1135; and Doranz, B.J. et al., Cell, 1996, 85, 1149).
    [0007] Therefore, drugs capable of inhibiting the binding of HIV-1 to CCR5 are thought to be effective as new remedies
50   and/or prophylactics for AIDS (acquired immunodeficiency syndrome) (see Michael, N.L. et al., Nature Med., 1999, 5, 740; Proudfoot, A.E.I. et al., Biochem. Pharmacol., 1999, 57, 451; Murakami et al., Protein, Nucleic Acid and Enzyme, 1998, 43, 677 and the like). As information supporting the above inference, it has been reported that RANTES, MIP-1α and MIP-1β which are ligands of CCR5 were suppressive factors for HIV-1 infection (see Cocchi, F. et al., Science, 1995, 270, 1811), humans without expressing normal CCR5 at all by deficiency of 32 base pairs of CCR5 gene had
55   resistance to HIV-1 infection and any other abnormality in health is not caused by the deficiency (see Liu, R. et al., Cell, 1996, 86, 367; Samson, M. et al., Nature, 1996, 382, 722; Dean, M. et al., Science, 1996, 273, 1856 and the like), anti-CCR5 monoclonal antibodies inhibited the infection of peripheral blood monocytes by macrophage-tropic HIV-1 (see Wu, L. et al., J. Exp. Med., 1997, 185, 1681), RANTES in which the amino terminals were missing or modified

was an antagonist of the RANTES to inhibit the infection with macrophage-tropic HIV-1 (see Arenzana-Seisdedos, F. et al., Nature, 1996, 383, 400; Proost, P. et al., J. Biol. Chem., 1998, 273, 7222; Simmons, G. et al., Science, 1997, 276, 276 and the like) and the like.

[0008] As mentioned above, a compound which inhibits the binding of MIP-1α, MIP-1β or RANTES that is an in vivo ligand of the CCR5 to the CCR5 or the binding of HIV-1 which is a pathogenic virus of AIDS to the CCR5, i.e. a CCR5 antagonist is thought to be useful as a remedy and/or prophylactic for diseases such as AIDS, rheumatoid arthritis, nephritis (nephropathy), multiple sclerosis, rejection after organ transplantation, graft-versus-host diseases (GVHD), diabetes, chronic obstructive pulmonary diseases (COPD), asthma, atopic dermatitis, sarcoidosis, fibrosis, atherosclerosis, psoriasis or inflammatory bowel diseases.

[0009] It has recently been reported that substituted bis-acridine derivatives (see WO9830218), substituted anilide derivatives (see WO9901127; WO0006085; WO0006146; WO0006153; WO0040239;and WO0042852), substituted alkenanilide derivatives (see WO9932100; WO0010965; WO0037455; and Baba, et al., Proc. Natl. Acad. Sci. USA, 1999, 96, 5698), 3-(4-piperidinyl)indole derivatives (see W09917773 and W0042045), azacycloalkane derivatives (see EP1013276; WO0038680; and WO0039125) benzodipyran derivatives (see WO0053175) and pyrrolidine derivatives (see WO0059497; WO0059498; WO0059502; and WO0059503) have an antagonistic activity against CCR5. These compounds, however, are different from the compounds used in the present invention.

[0010] On the other hand, although the compounds used in the present invention are the same as those described in WO9925686, the compounds are not known to have the antagonistic activity against the CCR5.

Disclosure of the Invention

[0011] It is an object of the present invention to provide a small-molecular compound having the inhibitory activity against the binding to the CCR5, i.e. a CCR5 antagonist.

[0012] It is another object of the present invention to provide a small-molecular compound having the inhibitory activity against the binding of an in vivo ligand of the CCR5 such as RANTES to CCR5 on target cells or the inhibitory activity against the binding of HIV-1, which is a pathogenic virus of AIDS to the CCR5.

[0013] It is a further object of the present invention to provide a remedial and/or prophylactic method for diseases in which the binding of an in vivo ligand of CCR5 to CCR5 on target cells is one of pathogeneses.

[0014] It is still another object of the present invention to provide a remedial method and/or a prophylactic method for AIDS caused by HIV infection.

[0015] As a result of intensive studies, the inventors have found that cyclic amine derivatives having an arylalkyl group, pharmaceutically acceptable C_1-C_6 alkyl addition salts thereof or pharmaceutically acceptable acid addition salts thereof have the CCR5 antagonistic activity. Furthermore, studies have been promoted according to findings that those compounds can be useful as remedies or prophylactics for diseases considered to be in association with CCR5. Thereby, the present invention has been accomplished.

[0016] Namely, according to the present invention, there are provided a medicine having the CCR5 antagonistic activity and comprising a compound represented by the following formula (I), a pharmaceutically acceptable acid addition salt thereof or a pharmaceutically acceptable C_1-C_6 alkyl addition salt thereof, as an active ingredient:

$$R^1 \underset{R^2}{\overset{}{>}}-(CH_2)_j-N\underset{(CH_2)_m}{\overset{(CH_2)_k}{<}}-(CH_2)_n-N\underset{R^3}{\overset{O}{-}}\overset{\|}{C}-(CH_2)_p-\underset{R^5}{\overset{R^4}{|}}-(CH_2)_q-G-R^6 \quad (I)$$

wherein, R^1 is a phenyl group, a C_3-C_8 cycloalkyl group or an aromatic heterocyclic group having one to three oxygen atoms, sulfur atoms and/or nitrogen atoms as heteroatoms; the phenyl group or the aromatic heterocyclic group in the above R^1 may be condensed with a benzene ring, or an aromatic heterocyclic group having one to three oxygen atoms, sulfur atoms and/or nitrogen atoms as heteroatoms to form a condensed ring; the phenyl group, the C_3-C_8 cycloalkyl group, the aromatic heterocyclic group or the condensed ring in the above R^1 may be substituted with an optional number of halogen atoms, hydroxy groups, cyano groups, nitro groups, carboxy groups, carbamoyl groups, C_1-C_6 alkyl groups, C_3-C_8 cycloalkyl groups, C_2-C_6 alkenyl groups, C_1-C_6 alkoxy groups, C_1-C_6 alkylthio groups, C_3-C_5 alkylene groups, C_2-C_4 alkylenoxy groups, C_1-C_3 alkylenedioxy groups, phenyl groups, phenoxy groups, phenylthio groups, benzyl groups, benzyloxy groups, benzoylamino groups, C_2-C_7 alkanoyl groups, C_2-C_7 alkoxycarbonyl groups, C_2-C_7 alkanoyloxy groups, C_2-C_7 alkanoylamino groups, C_2-C_7 N-alkylcarbamoyl groups, C_4-C_9 N-cycloalkylcarbamoyl groups, C_1-C_6 alkylsulfonyl groups, C_3-C_8 (alkoxycarbonyl)methyl groups, N-phenylcarbamoyl groups, piperidinocar-

bonyl groups, morpholinocarbonyl groups, 1-pyrrolidinylcarbonyl groups, bivalent groups represented by the formula: -NH(C=O)O-, bivalent groups represented by the formula:
-NH(C=S)O-, amino groups, mono(C_1-C_6 alkyl)amino groups or di(C_1-C_6 alkyl)amino groups; the substituents of the phenyl group, the C_3-C_8 cycloalkyl group, the aromatic heterocyclic group or the condensed ring may further be substituted with an optional number of halogen atoms, hydroxy groups, amino groups, trifluoromethyl groups, C_1-C_6 alkyl groups or C_1-C_6 alkoxy groups;

R^2 is a hydrogen atom, a C_1-C_6 alkyl group, a C_2-C_7 alkoxycarbonyl group, a hydroxy group or a phenyl group; the C_1-C_6 alkyl group or the phenyl group in the R^2 may be substituted with an optional number of halogen atoms, hydroxy groups, C_1-C_6 alkyl groups or C_1-C_6 alkoxy groups, with the proviso that R^2 is not a hydroxy group when j is 0;

j is an integer of 0 to 2;

k is an integer of 0 to 2;

m is an integer of 2 to 4;

n is 0 or 1;

R^3 is a hydrogen atom or a C_1-C_6 alkyl group which may be substituted with (one or two phenyl groups which may respectively be substituted with the same or different optional number of halogen atoms, hydroxy groups, C_1-C_6 alkyl groups or C_1-C_6 alkoxy groups);

R^4 and R^5 are the same or different and are each a hydrogen atom, a hydroxy group, a phenyl group or a C_1-C_6 alkyl group; the C_1-C_6 alkyl group in the R^4 and R^5 may be substituted with an optional number of halogen atoms, hydroxy groups, cyano groups, nitro groups, carboxy groups, carbamoyl groups, mercapto groups, guanidino groups, C_3-C_8 cycloalkyl groups, C_1-C_6 alkoxy groups, C_1-C_6 alkylthio groups, phenyl groups (which may be substituted with an optional number of halogen atoms, hydroxy groups, C_1-C_6 alkyl groups, C_1-C_6 alkoxy groups or benzyloxy groups), phenoxy groups, benzyloxy groups, benzyloxycarbonyl groups, C_2-C_7 alkanoyl groups, C_2-C_7 alkoxycarbonyl groups, C_2-C_7 alkanoyloxy groups, C_2-C_7 alkanoylamino groups, C_2-C_7 N-alkylcarbamoyl groups, C_1-C_6 alkylsulfonyl groups, amino groups, mono(C_1-C_6 alkyl)amino groups, di(C_1-C_6 alkyl)amino groups or (aromatic heterocyclic groups having one to three oxygen atoms, sulfur atoms and/or nitrogen atoms as heteroatoms or condensed rings formed by condensation of the aromatic heterocyclic groups having the one to three oxygen atoms, sulfur atoms and/or oxygen atoms as the heteroatoms with a benzene ring), or both R^4 and R^5 together may form a three- to six-membered cyclic hydrocarbon;

p is 0 or 1;

q is 0 or 1;

G is a group represented by -CO-, -SO_2-, -CO-O-, -NR^7-CO-, -CO-NR^7-, -NH-CO-NH-, -NH-CS-NH-, -NR^7-SO_2-, -SO_2-NR^7-, -NH-CO-O- or -O-CO-NH-, wherein, R^7 is a hydrogen atom or a C_1-C_6 alkyl group or R^7, together with R^5, may form a C_2-C_5 alkylene group;

R^6 is a phenyl group, a C_3-C_8 cycloalkyl group, a C_3-C_6 cycloalkenyl group, a benzyl group or an aromatic heterocyclic group having one to three oxygen atoms, sulfur atoms and/or nitrogen atoms as heteroatoms; the phenyl group, the benzyl group or the aromatic heterocyclic group in the R^6 may be condensed with a benzene ring or an aromatic heterocyclic group having one to three oxygen atoms, sulfur atoms and/or nitrogen atoms as heteroatoms to form a condensed ring; the phenyl group, the C_3-C_8 cycloalkyl group, the C_3-C_6 cycloalkenyl group, the benzyl group, the aromatic heterocyclic group or the condensed ring in the above R^6 may further be substituted with an optional number of halogen atoms, hydroxy groups, mercapto groups, cyano groups, nitro groups, thiocyanato groups, carboxy groups, carbamoyl groups, trifluoromethyl groups, C_1-C_6 alkyl groups, C_3-C_8 cycloalkyl groups, C_2-C_6 alkenyl groups, C_1-C_6 alkoxy groups, C_3-C_8 cycloalkyloxy groups, C_1-C_6 alkylthio groups, C_1-C_3 alkylenedioxy groups, phenyl groups, phenoxy groups, phenylamino groups, benzyl groups, benzoyl groups, phenylsulfinyl groups, phenylsulfonyl groups, 3-phenylureido groups, C_2-C_7 alkanoyl groups, C_2-C_7 alkoxycarbonyl groups, C_2-C_7 alkanoyloxy groups, C_2-C_7 alkanoylamino groups, C_2-C_7 N-alkylcarbamoyl groups, C_1-C_6 alkylsulfonyl groups, phenylcarbamoyl groups, N,N-di(C_1-C_6 alkyl)sulfamoyl groups, amino groups, mono(C_1-C_6 alkyl) amino groups, di(C_1-C_6 alkyl)amino groups, benzylamino groups, C_2-C_7 (alkoxycarbonyl)amino groups, C_1-C_6 (alkylsulfonyl)amino groups or bis(C_1-C_6 alkylsulfonyl)amino groups; the substituents of the phenyl group, the C_3-C_8 cycloalkyl group, the C_3-C_8 cycloalkenyl group, the benzyl group, the aromatic heterocyclic group or the condensed ring may further be substituted with an optional number of halogen atoms, cyano groups, hydroxy groups, amino groups, trifluoromethyl groups, C_1-C_6 alkyl groups, C_1-C_6 alkoxy groups, C_1-C_6 alkylthio groups, mono(C_1-C_6 alkyl)amino groups or di(C_1-C_6 alkyl)amino groups.

[0017] Furthermore, according to the present invention, there is provided a remedy or a prophylactic for diseases in association with CCR5 comprising the compound represented by the above formula (I), the pharmaceutically acceptable acid addition salt thereof or the pharmaceutically acceptable alkyl addition salt thereof, as an active ingredient.

[0018] The compound represented by the above formula (I) has the CCR5 antagonistic activity and the inhibitory activity against physiological actions of in vivo ligands of CCR5 on target cells, i.e. the compound represented by the above formula (I) are a CCR5 antagonist.

Best Mode for Carrying Out the Invention

[0019] In the above formula (I), R^1 is a phenyl group, a C_3-C_8 cycloalkyl group or an aromatic heterocyclic group having one to three oxygen atoms, sulfur atoms and/or nitrogen atoms as heteroatoms; the phenyl group or the aromatic heterocyclic group in the above R^1 may be condensed with a benzene ring or an aromatic heterocyclic group having one to three oxygen atoms, sulfur atoms and/or nitrogen atoms as heteroatoms to form a condensed ring; the phenyl group, the C_3-C_8 cycloalkyl group, the aromatic heterocyclic group or the condensed ring in the above R^1 may further be substituted with an optional number of halogen atoms, hydroxy groups, cyano groups, nitro groups, carboxy groups, carbamoyl groups, C_1-C_6 alkyl groups, C_3-C_8 cycloalkyl groups, C_2-C_6 alkenyl groups, C_1-C_6 alkoxy groups, C_1-C_6 alkylthio groups, C_3-C_5 alkylene groups, C_2-C_4 alkylenoxy groups, C_1-C_3 alkylenedioxy groups, phenyl groups, phenoxy groups, phenylthio groups, benzyl groups, benzyloxy groups, benzoylamino groups, C_2-C_7 alkanoyl groups, C_2-C_7 alkoxycarbonyl groups, C_2-C_7 alkanoyloxy groups, C_2-C_7 alkanoylamino groups, C_2-C_7 N-alkylcarbamoyl groups, C_4-C_9 N-cycloalkylcarbamoyl groups, C_1-C_6 alkylsulfonyl groups, C_3-C_8 (alkoxycarbonyl)methyl groups, N-phenylcarbamoyl groups, piperidinocarbonyl groups, morpholinocarbonyl groups, 1-pyrrolidinylcarbonyl groups, bivalent groups represented by the formula:

-NH(C=O)O-, bivalent groups represented by the formula: -NH(C=S)O-, amino groups, mono(C_1-C_6 alkyl)amino groups or di(C_1-C_6 alkyl)amino groups.

[0020] The "C_3-C_8 cycloalkyl group" in R^1 means a cyclic alkyl group, and includes for example cyclopropyl group, cyclobutyl group, cyclopentyl group, cyclohexyl group, cycloheptyl group, cyclooctyl group and the like. The "C_3-C_8 cycloalkyl group" is preferably cyclopropyl group, cyclopentyl group, cyclohexyl group and the like.

[0021] The "aromatic heterocyclic group having one to three oxygen atoms, sulfur atoms and/or nitrogen atoms as heteroatoms" in R^1 means an aromatic heterocyclic group, and includes for example thienyl group, furyl group, pyrrolyl group, imidazolyl group, pyrazolyl group, oxazolyl group, isoxazolyl group, thiazolyl group, isothiazolyl group, pyridyl group, pyrimidinyl group, triazinyl group, triazolyl group, oxadiazolyl (furazanyl) group, thiadiazolyl group and the like. The "aromatic heterocyclic group having one to three oxygen atoms, sulfur atoms and/or nitrogen atoms as heteroatoms" is preferably thienyl group, furyl group, pyrrolyl group, isoxazolyl group, pyridyl group and the like.

[0022] The "condensed ring" in R^1 means a bicyclic aromatic heterocyclic group formed by condensing the phenyl group or the aromatic heterocyclic group with a benzene ring or the aromatic heterocyclic group having one to three oxygen atoms, sulfur atoms and/or nitrogen atoms as heteroatoms in an optional position, and includes for example naphthyl group, indolyl group, benzofuranyl group, benzothienyl group, quinolyl group, benzimidazolyl group, benzoxazolyl group, benzotriazolyl group, benzoxadiazolyl (benzofurazanyl) group, benzothiadiazolyl group and the like.

[0023] Among them, it is especially preferable for R^1 to be a phenyl group, a thienyl group, a pyrrolyl group, a pyrazolyl group, an isoxazolyl group or an indolyl group.

[0024] The "halogen atoms" as the substituents of the phenyl group, the C_3-C_8 cycloalkyl group, the aromatic heterocyclic group or the condensed ring in R^1 mean a fluorine atom, a chlorine atom, a bromine atom, an iodine atom and the like, and fluorine atom, chlorine atom, bromine atom and iodine atom are specifically preferable.

[0025] The "C_1-C_6 alkyl groups" as the substituents of R^1 mean C_1-C_6 straight or branched alkyl groups, and include for example, methyl group, ethyl group, n-propyl group, n-butyl group, n-pentyl group, n-hexyl group, n-heptyl group, n-octyl group, isopropyl group, isobutyl group, sec-butyl group, tert-butyl group, isopentyl group, neopentyl group, tert-pentyl group, isohexyl group, 2-methylpentyl group, 1-ethylbutyl group and the like. The "C_1-C_6 alkyl groups" are, as specifically preferable concrete examples, methyl group, ethyl group, propyl group, isopropyl group, tert-butyl group and the like.

[0026] The "C_3-C_8 cycloalkyl groups" as the substituents of R^1 are the same as defined in the "C_3-C_8 cycloalkyl group" in the above R^1, and specifically preferably include for example the same groups.

[0027] The "C_2-C_6 alkenyl groups" as the substituents of R^1 mean C_2-C_6 straight or branched alkenyl groups, and include for example vinyl group, allyl group, 1-propenyl group, 2-butenyl group, 3-butenyl group, 2-methyl-1-propenyl group, 4-pentenyl group, 5-hexenyl group, 4-methyl-3-pentenyl group and the like. The "C_2-C_6 alkenyl groups" are specifically preferably vinyl group and 2-methyl-1-propenyl group or the like.

[0028] The "C_1-C_6 alkoxy groups" as the substituents of R^1 mean groups composed of the above C_1-C_6 alkyl groups and oxy group, and methoxy group, ethoxy group or the like is specifically preferable.

[0029] The "C_1-C_6 alkylthio groups" as the substituents of R^1 mean groups composed of the above C_1-C_6 alkyl groups and thio group, and methylthio group, ethylthio group or the like is specifically preferable.

[0030] The "C_3-C_5 alkylene groups" as the substituents of R^1 mean C_3-C_5 bivalent alkylene groups, and include for example, trimethylene group, tetramethylene group, pentamethylene group, 1-methyltrimethylene group and the like.

The "C_3-C_5 alkylene groups" are specifically preferably trimethylene group, tetramethylene group or the like.

[0031] The "C_2-C_4 alkylenoxy groups" as the substituents of R^1 mean groups composed of C_2-C_4 bivalent alkylene groups and oxy group and include, for example, ethylenoxy group ($-CH_2CH_2O-$), trimethylenoxy group ($-CH_2CH_2CH_2O-$), tetramethylenoxy group ($-CH_2CH_2CH_2CH_2O-$), 1,1-dimethylethylenoxy group ($-CH_2C(CH_3)_2O-$) and the like. The "C_2-C_4 alkylenoxy groups" are specifically preferably ethylenoxy group, trimethylenoxy group or the like.

[0032] The "C_1-C_3 alkylenedioxy groups" as the substituents of R^1 mean groups composed of C_1-C_3 bivalent alkylene groups and two oxy groups and include, for example, methylenedioxy group ($-OCH_2O-$), ethylenedioxy group ($-OCH_2CH_2O-$), trimethylenedioxy ($-OCH_2CH_2CH_2O-$) group and propylenedioxy ($-OCH_2CH(CH_3)O-$) group and the like. The "C_1-C_3 alkylenedioxy groups" are specifically preferably methylenedioxy group, ethylenedioxy group or the like.

[0033] The "C_2-C_7 alkanoyl groups" as the substituents of R^1 mean C_2-C_7 straight or branched alkanoyl groups, and include for example, acetyl group, propanoyl group, butanoyl group, pentanoyl group, hexanoyl group, heptanoyl group, isobutyryl group, 3-methylbutanoyl group, 2-methylbutanoyl group, pivaloyl group, 4-methylpentanoyl group, 3,3-dimethylbutanoyl group, 5-methylhexanoyl group and the like, and acetyl group or the like is specifically preferable.

[0034] The "C_2-C_7 alkoxycarbonyl groups" as the substituents of R^1 mean groups composed of the above C_1-C_6 alkoxy groups and carbonyl group, and methoxycarbonyl group, ethoxycarbonyl group or the like is specifically preferable.

[0035] The "C_2-C_7 alkanoyloxy groups" as the substituents of R^1 mean groups composed of the above C_2-C_7 alkanoyl groups and oxy group, and acetyloxy group or the like is specifically preferable.

[0036] The "C_2-C_7 alkanoylamino groups" as the substituents of R^1 mean groups composed of the above C_2-C_7 alkanoyl groups and amino group, and acetylamino group or the like is specifically preferable.

[0037] The "C_2-C_7 alkylcarbamoyl groups" as the substituents of R^1 mean groups composed of the above C_1-C_6 alkyl groups and carbamoyl group, and N-methylcarbamoyl group, N-ethylcarbamoyl group or the like is specifically preferable.

[0038] The "C_4-C_9 N-cycloalkylcarbamoyl groups" as the substituents of R^1 mean the above C_3-C_8 cycloalkyl groups and carbamoyl group, and N-cyclopentylcarbamoyl group, N-cyclohexylcarbamoyl group or the like is preferable.

[0039] The "C_1-C_6 alkylsulfonyl groups" as the substituents of R^1 mean groups composed of the above C_1-C_6 alkyl groups and sulfonyl group, and methylsulfonyl group or the like is specifically preferable.

[0040] The "C_3-C_8 (alkoxycarbonyl)methyl groups" as the substituents of R^1 mean groups composed of the above C_2-C_7 alkoxycarbonyl groups and methyl group, and (methoxycarbonyl)methyl group, (ethoxycarbonyl)methyl group or the like is specifically preferable.

[0041] The "mono(C_1-C_6 alkyl)amino groups" as the substituents of R^1 mean amino groups substituted with the above C_1-C_6 alkyl groups, and methylamino group, ethylamino group or the like is specifically preferable.

[0042] The "di(C_1-C_6 alkyl)amino groups" as the substituents of R^1 mean amino groups substituted with the same or different two C_1-C_6 alkyl groups described above, and dimethylamino group, diethylamino group, N-ethyl-N-methylamino group or the like is specifically preferable.

[0043] Among those described above, examples of the substituents of the phenyl group, the C_3-C_8 cycloalkyl group, the aromatic heterocyclic group or the condensed ring in R^1 are specifically preferably halogen atoms, hydroxy groups, cyano groups, C_1-C_6 alkyl groups, C_2-C_6 alkenyl groups, C_1-C_6 alkoxy groups, C_1-C_6 alkylthio groups, C_3-C_5 alkylene groups, C_2-C_4 alkylenoxy groups, alkylenedioxy groups, acetyl groups, phenyl groups, amino groups and di(C_1-C_6 alkyl)amino groups, and halogen atoms, hydroxy groups, cyano groups, C_1-C_6 alkyl groups, C_1-C_6 alkoxy groups, C_3-C_5 alkylene groups, methylenedioxy groups and amino groups are especially preferable.

[0044] Moreover, the substituents of the phenyl group, the C_3-C_8 cycloalkyl group, the aromatic heterocyclic group or the condensed ring in $R^{1,}$ may further be substituted with an optional number of halogen atoms, hydroxy groups, amino groups, trifluoromethyl groups, C_1-C_6 alkyl groups or C_1-C_6 alkoxy groups. The halogen atoms, C_1-C_6 alkyl groups and C_1-C_6 alkoxy groups are the same as defined for the substituents of the phenyl group, the C_3-C_8 cycloalkyl group, the aromatic heterocyclic group or the condensed ring in R^1, and the same groups are specifically preferable.

[0045] In the above formula (I), R^2 is a hydrogen atom, a C_1-C_6 alkyl group, a C_2-C_7 alkoxycarbonyl group, a hydroxy group or a phenyl group; and the C_1-C_6 alkyl group or phenyl group in R^2 may be substituted with an optional number of halogen atoms, hydroxy groups, C_1-C_6 alkyl groups or C_1-C_6 alkoxy groups, with the proviso that R^2 is not a hydroxy group when j is 0.

[0046] The C_1-C_6 alkyl group and C_2-C_7 alkoxycarbonyl group in R^2 are each the same as defined for the substituents of the phenyl group, the C_3-C_8 cycloalkyl group, the aromatic heterocyclic group or the condensed ring in R^1, and the same examples are specifically preferable.

[0047] The halogen atoms, C_1-C_6 alkyl groups and C_1-C_6 alkoxy groups as the substituents of the C_1-C_6 alkyl group or the phenyl group in R^2 are the same as defined for the substituents of the phenyl group, the C_3-C_8 cycloalkyl group, the aromatic heterocyclic group or the condensed ring in the above R^1, and the same examples are specifically preferable.

[0048] Among them, it is especially preferable for R^2 to be a hydrogen atom.

[0049] In the above formula (I), j is an integer of 0 to 2, and it is especially preferable for j to be 0.

[0050] In the above formula (I), k is an integer of 0 to 2; m is an integer of 2 to 4. Among them, it is especially preferable for the compounds to be 2-substituted pyrrolidines wherein k is 0 and m is 3; 3-substituted pyrrolidines wherein k is 1 and m is 2; 3-substituted piperidines wherein k is 1 and m is 3; 4-substituted piperidines wherein k is 2 and m is 2; or 3-substituted hexahydroazepines wherein k is 1 and m is 4, and 3-substituted pyrrolidines wherein k is 1 and m is 2 and 4-substituted piperidines wherein k is 2 and m is 2 are especially preferable.

[0051] In the above formula (I), n is 0 or 1.

[0052] In particular, 3-amidopyrrolidines wherein k is 1; m is 2 and n is 0 and 4-(amidomethyl)piperidines wherein k is 2; m is 2 and n is 1 are especially preferable.

[0053] In the above formula (I), R^3 is a hydrogen atom or a C_1-C_6 alkyl group which may be substituted with (one or two phenyl groups which may respectively be substituted with an optional number of the same or different halogen atoms, hydroxy groups, C_1-C_6 alkyl groups or C_1-C_6 alkoxy groups).

[0054] The C_1-C_6 alkyl group in R^3 is the same as defined for the substituent of the phenyl group, the C_3-C_8 cycloalkyl group, the aromatic heterocyclic group or the condensed ring in the above R^1, and methyl group, ethyl group and propyl group are specifically preferable.

[0055] The halogen atoms, C_1-C_6 alkyl groups and C_1-C_6 alkoxy groups as the substituents of the phenyl groups as the substituents of the C_1-C_6 alkyl group in R^3 are each the same as defined for substituents of the phenyl group, the C_3-C_8 cycloalkyl group, the aromatic heterocyclic group or the condensed ring in the above R^1, and the same examples are specifically preferable.

[0056] Among them, it is especially preferable for R^3 to be a hydrogen atom and an unsubstituted C_1-C_6 alkyl group.

[0057] In the above formula (I), R^4 and R^5 are each the same or different and are each a hydrogen atom, a hydroxy group, a phenyl group or a C_1-C_6 alkyl group; and the C_1-C_6 alkyl group in R^4 and R^5 may be substituted with an optional number of halogen atoms, hydroxy groups, cyano groups, nitro groups, carboxy groups, carbamoyl groups, mercapto groups, guanidino groups, C_3-C_8 cycloalkyl groups, C_1-C_6 alkoxy groups, C_1-C_6 alkylthio groups, (phenyl groups which may be substituted with an optional number of halogen atoms, hydroxy groups, C_1-C_6 alkyl groups, C_1-C_6 alkoxy groups or benzyloxy groups), phenoxy groups, benzyloxy groups, benzyloxycarbonyl groups, C_2-C_7 alkanoyl groups, C_2-C_7 alkoxycarbonyl groups, C_2-C_7 alkanoyloxy groups, C_2-C_7 alkanoylamino groups, C_2-C_7 N-alkylcarbamoyl groups, C_1-C_6 alkylsulfonyl groups, amino groups, mono(C_1-C_6 alkyl)amino groups, di(C_1-C_6 alkyl)amino groups or (aromatic heterocyclic groups having one to three oxygen atoms, sulfur atoms and/or nitrogen atoms as heteroatoms or condensed rings formed by condensation thereof with benzene rings) or both R^4 and R^5 together may form a three- to a six-membered cyclic hydrocarbon.

[0058] The C_1-C_6 alkyl group in R^4 and R^5 is the same as defined for the substituents of the phenyl group, the C_3-C_8 cycloalkyl group, the aromatic heterocyclic group or the condensed ring in the above R^1, and the same examples are specifically preferable.

[0059] The halogen atoms, C_1-C_6 alkoxy groups, C_1-C_6 alkylthio groups, C_2-C_7 alkanoyl groups, C_2-C_7 alkoxycarbonyl groups, C_2-C_7 alkanoyloxy groups, C_2-C_7 alkanoylamino groups, C_2-C_7 N-alkylcarbamoyl groups, C_1-C_6 alkylsulfonyl groups, mono(C_1-C_6 alkyl)amino groups and di(C_1-C_6 alkyl)amino groups as the substituents of the C_1-C_6 alkyl group in R^4 and R^5 are the same as defined for the substituents of the phenyl group, the C_3-C_8 cycloalkyl group, the aromatic heterocyclic group or the condensed ring in the above R^1, and the same examples are specifically preferable.

[0060] The C_3-C_8 cycloalkyl groups and the aromatic heterocyclic groups having one to three oxygen atoms, sulfur atoms and/or nitrogen atoms as heteroatoms as the substituents of the C_1-C_6 alkyl group in R^4 and R^5 are the same as defined for the above R^1, and the same examples are preferable.

[0061] The halogen atoms, C_1-C_6 alkyl groups and C_1-C_6 alkoxy groups as the substituents of the phenyl groups as the substituents of the C_1-C_6 alkyl group in R^4 and R^5 are the same as defined for the substituents of the phenyl group, the C_3-C_8 cycloalkyl group, the aromatic heterocyclic group or the condensed ring in the above R^1, and the same examples are specifically preferable.

[0062] The "three- to a six-membered cyclic hydrocarbon" composed of R^4, R^5 and the adjacent carbon atoms are specifically preferably cyclopropane, cyclobutane, cyclopentane, cyclohexane and the like.

[0063] Among them, the hydrogen atom and C_1-C_6 alkyl group are especially preferable for R^4 and R^5.

[0064] In the above formula (I), p is 0 or 1; and q is 0 or 1. Both p and q are especially preferably 0.

[0065] In the above formula (I), G is a group represented by -CO-, -SO$_2$-, -CO-O-, -NR^7-CO-, -CO-NR^7-, -NH-CO-NH-, -NH-CS-NH-, -NR^7-SO$_2$-, -SO$_2$-NR^7-, -NH-CO-O- or -O-CO-NH-, wherein, R^7 is a hydrogen atom or a C_1-C_6 alkyl group or R^7, together with R^5, may form a C_2-C_5 alkylene group, wherein, -CO- is a carbonyl group, -SO$_2$- is a sulfonyl group and -CS- is a thiocarbonyl group. G is especially preferably the group represented by -NR^7-CO- or -NH-CO-NH-.

[0066] The C_1-C_6 alkyl group in R^7 is the same as defined for the substituents of the phenyl group, the C_3-C_8 cycloalkyl

group, the aromatic heterocyclic group or the condensed ring in the above R^1, and the same examples are specifically preferable.

[0067]   The "C_2-C_5 alkylene group" composed of R^5 and R^7 means a C_2-C_5 straight or branched alkylene group, for example, methylene group, ethylene group, propylene group, trimethylene group, tetramethylene group, 1-methyltrimethylene group, pentamethylene group and the like, and ethylene group, trimethylene group, tetramethylene group or the like is specifically preferable.

[0068]   Among them, it is especially preferable for R^7 to be a hydrogen atom.

[0069]   In the above formula (I), R^6 is a phenyl group, a C_3-C_8 cycloalkyl group, a C_3-C_6 cycloalkenyl group, a benzyl group or an aromatic heterocyclic group having one to three oxygen atoms, sulfur atoms and/or nitrogen atoms as heteroatoms; and the phenyl group, the benzyl group or the aromatic heterocyclic group in the above R^6 may be condensed with a benzene ring or the aromatic heterocyclic group having one to three oxygen atoms, sulfur atoms and/or nitrogen atoms as heteroatoms to form a condensed ring; and the phenyl group, the C_3-C_8 cycloalkyl group, the C_3-C_6 cycloalkenyl group, the benzyl group, the aromatic heterocyclic group or the condensed ring in the above R^6 may be substituted with an optional number of halogen atoms, hydroxy groups, mercapto groups, cyano groups, nitro groups, thiocyanato groups, carboxy groups, carbamoyl groups, trifluoromethyl groups, C_1-C_6 alkyl groups, C_3-C_8 cycloalkyl groups, C_2-C_6 alkenyl groups, C_1-C_6 alkoxyl groups, C_3-C_8 cycloalkyloxy groups, C_1-C_6 alkylthio groups, C_1-C_3 alkylenedioxy groups, phenyl groups, phenoxy groups, phenylamino groups, benzyl groups, benzoyl groups, phenylsulfinyl groups, phenylsulfonyl groups, 3-phenylureido groups, C_2-C_7 alkanoyl groups, C_2-C_7 alkoxycarbonyl groups, C_2-C_7 alkanoyloxy groups, C_2-C_7 alkanoylamino groups, C_2-C_7 N-alkylcarbamoyl groups, C_1-C_6 alkylsulfonyl groups, phenylcarbamoyl groups, N,N-di(C_1-C_6 alkyl)sulfamoyl groups, amino groups, mono(C_1-C_6 alkyl)amino groups, di(C_1-C_6 alkyl)amino groups, benzylamino groups, C_2-C_7 (alkoxycarbonyl)amino groups, C_1-C_6 (alkylsulfonyl) amino groups or bis(C_1-C_6 alkylsulfonyl)amino groups.

[0070]   The C_3-C_8 cycloalkyl groups, aromatic heterocyclic groups having oxygen atoms, sulfur atoms and/or nitrogen atoms as heteroatoms, or condensed rings in R^6 are the same as defined for the above R^1, and the same examples are specifically preferable.

[0071]   The "C_3-C_8 cycloalkenyl groups" in R^6 mean cycloalkenyl groups, for example, cyclobutenyl group, cyclopentenyl group, cyclohexenyl group, cycloheptenyl group and cyclooctenyl group, and 1-cyclopentenyl group, 1-cyclohexenyl group or the like is specifically preferable.

[0072]   Among them, it is especially preferable for R^6 to be a phenyl group, a furyl group, a thienyl group, a pyrazolyl group, a benzothienyl group and an indolyl group.

[0073]   The halogen atoms, C_1-C_6 alkyl groups, C_1-C_6 alkenyl groups, C_1-C_6 alkoxy groups, C_1-C_6 alkylthio groups, C_1-C_3 alkylenedioxy groups, C_2-C_7 alkanoyl groups, C_2-C_7 alkoxycarbonyl groups, C_2-C_7 alkanoyloxy groups, C_2-C_7 alkanoylamino groups, C_2-C_7 N-alkylcarbamoyl groups, C_1-C_6 alkylsulfonyl groups, mono(C_1-C_6 alkyl)amino groups and di(C_1-C_6 alkyl)amino groups as the substituents of the phenyl group, the C_3-C_8 cycloalkyl group, the C_3-C_8 cycloalkenyl group, the benzyl group, the aromatic heterocyclic group or the condensed ring in R^6 are the same as defined for the substituents of the phenyl group, the C_3-C_8 cycloalkyl group, the aromatic heterocyclic group or the condensed ring in the above R^1, and the same examples are specifically preferable.

[0074]   The C_3-C_8 cycloalkyl groups as the substituents of R^6 are the same as defined for the C_3-C_8 cycloalkyl groups in the above R^1, and the same examples are specifically preferable.

[0075]   The "C_3-C_8 cycloalkyloxy groups" as the substituents of R^6 mean groups composed of the above C_3-C_8 cycloalkyl groups and oxy groups, and cyclopropyloxy group, cyclopentyloxy group, cyclohexyloxy group or the like is specifically preferable.

[0076]   The "N,N-di(C_1-C_6 alkyl)sulfamoyl groups" as the substituents of R^6 mean sulfamoyl groups substituted with the same or different two C_1-C_6 alkyl groups described above, and N,N-dimethylsulfamoyl group, N,N-diethylsulfamoyl group, N-ethyl-N-methylsulfamoyl group or the like is specifically preferable.

[0077]   The "C_2-C_7 (alkoxycarbonyl)amino groups" as the substituents of R^6 mean groups composed of the above C_2-C_7 alkoxycarbonyl groups and amino groups, and (methoxycarbonyl)amino group, (ethoxycarbonyl)amino group or the like is specifically preferable.

[0078]   The "C_1-C_6 (alkylsulfonyl)amino groups" as the substituents of R^6 mean groups composed of the above C_1-C_6 alkylsulfonyl groups and amino groups, and (methylsulfonyl)amino group or the like is specifically preferable.

[0079]   The "bis(C_1-C_6 alkylsulfonyl)amino groups" as the substituents of R^6 mean amino groups substituted with the same or different two C_1-C_6 alkylsulfonyl groups described above, and bis(methylsulfonyl)amino group or the like is specifically preferable.

[0080]   Among them, halogen atoms, nitro groups, trifluoromethyl groups, C_1-C_6 alkyl groups, C_1-C_6 alkoxy groups, phenyl groups, phenylsulfonyl groups, amino groups, benzylamino groups and the like are preferable for the substituents of the phenyl group, the C_3-C_8 cycloalkyl group, the C_3-C_8 cycloalkenyl group, the benzyl group, the aromatic heterocyclic group or the condensed group in R^6, and halogen atoms, nitro groups, trifluoromethyl groups, C_1-C_6 alkyl groups, C_1-C_6 alkoxy groups, phenylsulfonyl groups and amino group are especially preferable.

[0081] Furthermore, the substituents of the phenyl group, the C_3-C_8 cycloalkyl group, the C_3-C_8 cycloalkenyl group, the benzyl group, the aromatic heterocyclic group or the condensed ring in such R^6 may further be substituted with an optional number of halogen atoms, cyano groups, hydroxy groups, amino groups, trifluoromethyl groups, C_1-C_6 alkyl groups, C_1-C_6 alkoxy groups, C_1-C_6 alkylthio groups, mono(C_1-C_6 alkyl)amino groups or di-(C_1-C_6 alkyl)amino groups.

[0082] The halogen atoms, C_1-C_6 alkyl groups, C_1-C_6 alkoxy groups, C_1-C_6 alkylthio groups, mono(C_1-C_6 alkyl) amino groups and di(C_1-C_6 alkyl)amino groups as the substituents of the substituents of the phenyl group, the C_3-C_8 cycloalkyl group, the C_3-C_8 cycloalkenyl group, the benzyl group, the aromatic heterocyclic group or the condensed ring in R^6 are the same as defined for the substituents of the phenyl group, the C_3-C_8 cycloalkyl group, the aromatic heterocyclic aromatic group or the condensed ring in the above R^1, and the same examples are specifically preferable.

[0083] A pharmaceutical composition, which is prepared with the remedially effective amount of the compound represented by the above formula (I), the pharmaceutically acceptable acid addition salt thereof or the pharmaceutically acceptable C_1-C_6 alkyl-addition salt thereof together with a pharmaceutically acceptable carrier and/or diluent, can be the medicine capable of inhibiting the binding of an in vivo ligand of CCR5 and/or HIV to the CCR5 on target cells, the medicine having inhibitory actions on physiological actions of the ligand of CCR5 on the target cells, or further the remedy or prophylactic for diseases considered to be in association with the CCR5, of the present invention.

[0084] Namely, the cyclic amine derivative represented by the above formula (I), the pharmaceutically acceptable acid addition salt thereof or the pharmaceutically acceptable C_1-C_6 alkyl addition salt thereof can be administered orally or parenterally such as intravenously, subcutaneously, intramuscularly, percutaneously or intrarectally.

[0085] For example, a tablet, a pill, a granule, a powder, a liquid, a suspension or a capsule can be cited as the dosage form of the oral administration.

[0086] The tablet can be prepared by using a vehicle, for example, lactose, starch or crystalline cellulose; a binder, for example, carboxymethylcellulose, methylcellulose or polyvinylpyrrolidone; or a disintegrator, for example, sodium alginate, sodium bicarbonate or sodium lauryl sulfate or the like according to a conventional method.

[0087] The pill, powder and granule can similarly be prepared with using the above vehicle or the like according to a conventional method. The liquid and suspension are prepared with using glycerin esters, for example, tricaprylin or triacetin or alcohols, for example, ethanol according to a conventional method. The capsule is prepared with filling a granule, powder or liquid in a capsule made from gelatin on the like.

[0088] A parenteral injection such as the form of an aqueous or a nonaqueous solution formulation is cited as the dosage form of subcutaneous, intramuscular or intravenous administration. For example, an isotonic sodium chloride solution is used as the aqueous solution. Propylene glycol, poly(ethylene glycol), olive oil or ethyl oleate is, for example, used for the nonaqueous solution. An antiseptic, a stabilizer or the like, if necessary, is added thereto. The parenteral injection is sterilized by suitably carrying out treatment such as filtration through a bacterial filter or combination of a disinfectant.

[0089] For example, an ointment or a cream is cited as the dosage form of percutaneous administration. The ointment is prepared by using fats and fatty oils such as castor oil or olive oil or vaseline, and the cream is prepared by using a fatty oil or an emulsifying agent such as di(ethylene glycol) or sorbitan mono-fatty acid ester according to a conventional method.

[0090] A usual suppository such as a gelatin soft capsule is used for intrarectal administration.

[0091] The dose of the cyclic amine derivative, pharmaceutically acceptable acid addition salt thereof or pharmaceutically acceptable C_1-C_6 alkyl addition salt thereof, in the present invention, varies with the types of diseases, routes of administration, age and sex of patients and severity of diseases and the like, but is usually 1 to 500 mg/day for an adult.

[0092] Concrete examples of the cyclic amine derivative represented by the above formula (I) preferably includes compounds having respective substituents shown in the following Tables 1.1 to 1.221.

[0093] In Tables 1.1 to 1.221, and "Compd. No." means "compound number". "Chirality" means the "absolute configuration", and the "chirality (absolute configuration)" means the absolute configuration of asymmetric carbon on the ring of the cyclic amine. "R" means that the asymmetric carbon atom on the ring of the cyclic amine has the absolute configuration of R, and "S" means that the asymmetric carbon atom has the absolute configuration of S. "-" means that the compound is a racemate or the compound has no asymmetric carbon atom on the cyclic amines.

Table 1.1

Compd. No.	R^1 R^2 $-(CH_2)_j-$	k	m	n	chirality	R^3	$-(CH_2)_p \overset{R^4}{\underset{R^5}{\mid}}(CH_2)_q-G-R^6$
1	Cl—⟨ ⟩—CH_2–	1	2	0	–	H	
2	Cl—⟨ ⟩—CH_2–	1	2	0	–	H	
3	Cl—⟨ ⟩—CH_2–	1	2	0	–	H	
4	Cl—⟨ ⟩—CH_2–	1	2	0	–	H	
5	Cl—⟨ ⟩—CH_2–	1	2	0	S	H	
6	Cl—⟨ ⟩—CH_2–	1	2	0	S	H	
7	Cl—⟨ ⟩—CH_2–	1	2	0	S	H	
8	Cl—⟨ ⟩—CH_2–	1	2	0	S	H	
9	Cl—⟨ ⟩—CH_2–	1	2	0	S	H	
10	Cl—⟨ ⟩—CH_2–	1	2	0	S	H	
11	Cl—⟨ ⟩—CH_2–	1	2	0	S	H	

Table 1.2

Compd. No.	$\begin{matrix}R^1\\R^2\end{matrix}C-$(CH$_2$)$_j-$	k	m	n	chirality	R^3	$-$(CH$_2$)$_p$$\begin{matrix}R^4\\ \vert \\ C \\ \vert \\ R^5\end{matrix}$(CH$_2$)$_q$$-G-$R^6
12	Cl—⟨ ⟩—CH$_2$—	1	2	0	S	H	—CH$_2$—NH—C(O)—⟨ ⟩ (3,5-di-OCH$_3$)
13	Cl—⟨ ⟩—CH$_2$—	1	2	0	S	H	—CH$_2$—NH—C(O)—⟨ ⟩ (3-CF$_3$)
14	Cl—⟨ ⟩—CH$_2$—	1	2	0	S	H	—CH$_2$—NH—C(O)—⟨ ⟩ (3-CH$_3$)
15	Cl—⟨ ⟩—CH$_2$—	1	2	0	S	H	—CH$_2$—NH—C(O)—⟨ ⟩ (4-Cl)
16	Cl—⟨ ⟩—CH$_2$—	1	2	0	S	H	—CH$_2$—NH—C(O)—⟨ ⟩ (4-OCH$_3$)
17	Cl—⟨ ⟩—CH$_2$—	1	2	0	S	H	—CH$_2$—NH—C(O)—⟨ ⟩ (3,5-di-Cl)
18	Cl—⟨ ⟩—CH$_2$—	1	2	0	S	H	—CH$_2$—NH—C(O)—⟨ ⟩ (3-CN)
19	Cl—⟨ ⟩—CH$_2$—	1	2	0	S	H	—CH$_2$—NH—C(O)—⟨ ⟩ (3,4-methylenedioxy)
20	Cl—⟨ ⟩—CH$_2$—	1	2	0	S	H	—CH$_2$—NH—C(O)—⟨ ⟩ (2-F, 3-CF$_3$)
21	Cl—⟨ ⟩—CH$_2$—	1	2	0	S	H	—CH$_2$—NH—C(O)—⟨ ⟩ (2-F, 4-CF$_3$)
22	Cl—⟨ ⟩—CH$_2$—	1	2	0	S	H	—CH$_2$—NH—C(O)—⟨ ⟩ (2-F, 5-CF$_3$)

Table 1.3

Compd. No.	R^1 R^2>$-(CH_2)_j-$	k	m	n	chirality	R^3	$-(CH_2)_p-CR^4R^5-(CH_2)_q-G-R^6$
23	Cl—⟨C₆H₄⟩—CH₂–	1	2	0	S	H	–CH₂–NH–C(=O)–C₆H₃(3-CF₃)(5-F)
24	Cl—⟨C₆H₄⟩—CH₂–	1	2	0	S	H	–CH₂–NH–C(=O)–C₆H₄(3-OCF₃)
25	Cl—⟨C₆H₄⟩—CH₂–	1	2	0	S	H	–CH₂–NH–C(=O)–C₆H₃(3-CF₃)(4-F)
26	Cl—⟨C₆H₄⟩—CH₂–	1	2	0	S	H	–CH₂–NH–C(=O)–C₆H₄(2-NO₂)
27	Cl—⟨C₆H₄⟩—CH₂–	1	2	0	S	H	–CH₂–NH–C(=O)–C₆H₄(3-NO₂)
28	Cl—⟨C₆H₄⟩—CH₂–	1	2	0	S	H	–CH₂–NH–C(=O)–C₆H₄(4-NO₂)
29	Cl—⟨C₆H₄⟩—CH₂–	1	2	0	R	H	–CH₂–NH–C(=O)–C₆H₃(3-CF₃)(5-CF₃)
30	Cl—⟨C₆H₄⟩—CH₂–	1	2	0	R	H	–CH₂–NH–C(=O)–C₆H₄(2-CF₃)
31	Cl—⟨C₆H₄⟩—CH₂–	1	2	0	R	H	–CH₂–NH–C(=O)–C₆H₄(3-Br)
32	Cl—⟨C₆H₄⟩—CH₂–	1	2	0	R	H	–CH₂–NH–C(=O)–C₆H₄(3-F)
33	Cl—⟨C₆H₄⟩—CH₂–	1	2	0	R	H	–CH₂–NH–C(=O)–C₆H₃(3-Cl)(4-Cl)

Table 1.4

Compd. No.	R^1 R^2 $-(CH_2)_j-$	k	m	n	chirality	R^3	$-(CH_2)_p-$ R^4 $R^5-(CH_2)_q-G-R^6$
34	Cl—⟨C₆H₄⟩—CH₂–	1	2	0	R	H	–CH₂–NH–C(=O)–C₆H₄(3-OCH₃)
35	Cl—⟨C₆H₄⟩—CH₂–	1	2	0	R	H	–CH₂–NH–C(=O)–C₆H₃(3-OCH₃,4-OCH₃)
36	Cl—⟨C₆H₄⟩—CH₂–	1	2	0	R	H	–CH₂–NH–C(=O)–C₆H₃(3-OCH₃,5-OCH₃)
37	Cl—⟨C₆H₄⟩—CH₂–	1	2	0	R	H	–CH₂–NH–C(=O)–C₆H₄(3-CF₃)
38	Cl—⟨C₆H₄⟩—CH₂–	1	2	0	R	H	–CH₂–NH–C(=O)–C₆H₄(3-CH₃)
39	Cl—⟨C₆H₄⟩—CH₂–	1	2	0	R	H	–CH₂–NH–C(=O)–C₆H₄(4-Cl)
40	Cl—⟨C₆H₄⟩—CH₂–	1	2	0	R	H	–CH₂–NH–C(=O)–C₆H₄(4-OCH₃)
41	Cl—⟨C₆H₄⟩—CH₂–	1	2	0	R	H	–CH₂–NH–C(=O)–C₆H₃(3-Cl,5-Cl)
42	Cl—⟨C₆H₄⟩—CH₂–	1	2	0	R	H	–CH₂–NH–C(=O)–C₆H₄(3-CN)
43	Cl—⟨C₆H₄⟩—CH₂–	1	2	0	R	H	–CH₂–NH–C(=O)–(benzo[d][1,3]dioxol-5-yl)
44	Cl—⟨C₆H₄⟩—CH₂–	1	2	0	R	H	–CH₂–NH–C(=O)–C₆H₃(2-F,4-CF₃)

Table 1.5

Compd. No.	R^1 R^2 CH—(CH$_2$)$_j$—	k	m	n	chirality	R^3	—(CH$_2$)$_p$ $\overset{R^4}{\underset{R^5}{C}}$ (CH$_2$)$_q$—G—R^6
45	Cl—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO—C$_6$H$_3$(CF$_3$)(F)
46	Cl—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO—C$_6$H$_3$(CF$_3$)(F)
47	Cl—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO—C$_6$H$_4$(OCF$_3$)
48	Cl—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO—C$_6$H$_3$(CF$_3$)(F)
49	Cl—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO—C$_6$H$_4$(O$_2$N)
50	Cl—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO—C$_6$H$_3$(F)(CF$_3$)
51	Cl—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO—C$_6$H$_4$(Br)
52	Cl—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO—C$_6$H$_4$(F)
53	Cl—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO—C$_6$H$_4$(Cl)
54	Cl—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO—C$_6$H$_3$(Cl)(Cl)
55	Cl—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO—C$_6$H$_3$(Cl)(Cl)

Table 1.6

Compd. No.	R^1R^2 C–(CH$_2$)$_j$–	k	m	n	chirality	R^3	–(CH$_2$)$_p$ CR^4R^5(CH$_2$)$_q$–G–R^6
56	Cl–⬡–CH$_2$–	1	2	0	R	H	–CH$_2$–NHCO–(2-CH$_3$-C$_6$H$_4$)
57	Cl–⬡–CH$_2$–	1	2	0	R	H	–CH$_2$–NHCO–(2,6-(CH$_3$)$_2$-C$_6$H$_3$)
58	Cl–⬡–CH$_2$–	1	2	0	R	H	–CH$_2$–NHCO–(3-Cl-C$_6$H$_4$)
59	Cl–⬡–CH$_2$–	1	2	0	R	H	–CH$_2$–NHCO–(4-Br-C$_6$H$_4$)
60	Cl–⬡–CH$_2$–	1	2	0	R	H	–CH$_2$–NHCO–(biphenyl)
61	Cl–⬡–CH$_2$–	1	2	0	R	H	–CH$_2$–NHCO–(4-CF$_3$-C$_6$H$_4$)
62	Cl–⬡–CH$_2$–	1	2	0	R	H	–CH$_2$–NHCO–(4-CH$_3$-C$_6$H$_4$)
63	Cl–⬡–CH$_2$–	1	2	0	R	H	–CH$_2$–NHCO–(4-CH$_2$CH$_3$-C$_6$H$_4$)
64	Cl–⬡–CH$_2$–	1	2	0	R	H	–CH$_2$–NHCO–(4-CN-C$_6$H$_4$)
65	Cl–⬡–CH$_2$–	1	2	0	R	H	–CH$_2$–NHCO–(1-naphthyl)
66	Cl–⬡–CH$_2$–	1	2	0	R	H	–CH$_2$–NHCO–(2-naphthyl)

15

Table 1.7

Compd. No.	R^1 R^2 $>$(CH$_2$)$_j$—	k	m	n	chirality	R^3	—(CH$_2$)$_p$ R^4 R^5 (CH$_2$)$_q$ G—R^6
67	Cl—⟨⟩—CH$_2$—	1	2	0	R	H	—CH$_2$—N(H)—C(O)—(2,5-F$_2$-C$_6$H$_3$)
68	Cl—⟨⟩—CH$_2$—	1	2	0	R	H	—CH$_2$—N(H)—C(O)—(3,4-F$_2$-C$_6$H$_3$)
69	Cl—⟨⟩—CH$_2$—	1	2	0	R	H	—CH$_2$—N(H)—C(O)—(2,4-F$_2$-C$_6$H$_3$)
70	Cl—⟨⟩—CH$_2$—	1	2	0	R	H	—CH$_2$—N(H)—C(O)—(3,5-F$_2$-C$_6$H$_3$)
71	Cl—⟨⟩—CH$_2$—	1	2	0	R	H	—CH$_2$—N(H)—C(O)—(2-OCH$_3$,4-OCH$_3$-C$_6$H$_3$)
72	Cl—⟨⟩—CH$_2$—	1	2	0	R	H	—CH$_2$—N(H)—C(O)—(4-OCF$_3$-C$_6$H$_4$)
73	Cl—⟨⟩—CH$_2$—	1	2	0	R	H	—CH$_2$—N(H)—C(O)—(2-OCF$_3$-C$_6$H$_4$)
74	Cl—⟨⟩—CH$_2$—	1	2	0	R	H	—CH$_2$—N(H)—C(O)—(4-CO$_2$CH$_3$-C$_6$H$_4$)
75	Cl—⟨⟩—CH$_2$—	1	2	0	R	H	—CH$_2$—N(H)—C(O)—(2-CF$_3$,4-F-C$_6$H$_3$)
76	Cl—⟨⟩—CH$_2$—	1	2	0	R	H	—CH$_2$—N(H)—C(O)—(2-F,6-CF$_3$-C$_6$H$_3$)
77	Cl—⟨⟩—CH$_2$—	1	2	0	R	H	—CH$_2$—N(H)—C(O)—(2,3-F,6-F-C$_6$H$_2$)

Table 1.8

Compd. No.	$\begin{array}{c}R^1\\R^2\end{array}\!\!>\!\!\text{C}\!\!-\!(CH_2)_j-$	k	m	n	chirality	R^3	$-(CH_2)_p\overset{R^4}{\underset{R^5}{C}}(CH_2)_q\text{G}-R^6$
78	Cl–⟨phenyl⟩–CH₂–	1	2	0	R	H	–CH₂–NH–C(O)–(2,4,5-trifluorophenyl)
79	Cl–⟨phenyl⟩–CH₂–	1	2	0	R	H	–CH₂–NH–C(O)–(2,4-bis(CF₃)phenyl)
80	Cl–⟨phenyl⟩–CH₂–	1	2	0	R	H	–CH₂–NH–C(O)–(2,5-bis(CF₃)phenyl)
81	Cl–⟨phenyl⟩–CH₂–	1	2	0	R	H	–CH₂–NH–C(O)–(3,4-dimethylphenyl)
82	Cl–⟨phenyl⟩–CH₂–	1	2	0	–	–CH₃	–CH₂–NH–C(O)–(3-CF₃-phenyl)
83	Cl–⟨phenyl⟩–CH₂–	1	2	0	R	H	–CH₂–NH–C(O)–(3-NO₂-phenyl)
84	Cl–⟨phenyl⟩–CH₂–	1	2	0	R	H	–CH₂–NH–C(O)–(4-NO₂-phenyl)
85	Cl–⟨phenyl⟩–CH₂–	1	2	0	–	H	–(CH₂)₂–NH–C(O)–phenyl
86	Cl–⟨phenyl⟩–CH₂–	1	2	0	–	H	–(CH₂)₂–NH–C(O)–(4-NO₂-phenyl)
87	Cl–⟨phenyl⟩–CH₂–	1	2	0	S	H	–(CH₂)₂–NH–C(O)–(3,5-bis(CF₃)phenyl)
88	Cl–⟨phenyl⟩–CH₂–	1	2	0	S	H	–(CH₂)₂–NH–C(O)–(2-CF₃-phenyl)

Table 1.9

Compd. No.	R^1 R^2 $-(CH_2)_j-$	k	m	n	chirality	R^3	$-(CH_2)_p-$ R^4 R^5 $-(CH_2)_q-G-R^6$
89	Cl–⟨C6H4⟩–CH2–	1	2	0	S	H	–(CH2)2–NH–CO–C6H4(Br)
90	Cl–⟨C6H4⟩–CH2–	1	2	0	S	H	–(CH2)2–NH–CO–C6H4(F)
91	Cl–⟨C6H4⟩–CH2–	1	2	0	S	H	–(CH2)2–NH–CO–C6H3(Cl)(Cl)
92	Cl–⟨C6H4⟩–CH2–	1	2	0	S	H	–(CH2)2–NH–CO–C6H4(OCH3)
93	Cl–⟨C6H4⟩–CH2–	1	2	0	S	H	–(CH2)2–NH–CO–C6H3(OCH3)(OCH3)
94	Cl–⟨C6H4⟩–CH2–	1	2	0	S	H	–(CH2)2–NH–CO–C6H3(OCH3)(OCH3)
95	Cl–⟨C6H4⟩–CH2–	1	2	0	S	H	–(CH2)2–NH–CO–C6H4(CF3)
96	Cl–⟨C6H4⟩–CH2–	1	2	0	S	H	–(CH2)2–NH–CO–C6H4(CH3)
97	Cl–⟨C6H4⟩–CH2–	1	2	0	S	H	–(CH2)2–NH–CO–C6H4–Cl
98	Cl–⟨C6H4⟩–CH2–	1	2	0	S	H	–(CH2)2–NH–CO–C6H4–OCH3
99	Cl–⟨C6H4⟩–CH2–	1	2	0	S	H	–(CH2)2–NH–CO–C6H3(Cl)(Cl)

Table 1.10

Compd. No.	R^1R^2–$(CH_2)_j$–	k	m	n	chirality	R^3	–$(CH_2)_p$–R^4R^5–$(CH_2)_q$–G–R^6
100	Cl—⬡—CH₂–	1	2	0	S	H	–(CH₂)₂–NH–CO–(3-CN-phenyl)
101	Cl—⬡—CH₂–	1	2	0	S	H	–(CH₂)₂–NH–CO–(3,4-methylenedioxyphenyl)
102	Cl—⬡—CH₂–	1	2	0	S	H	–(CH₂)₂–NH–CO–(2-F-3-CF₃-phenyl)
103	Cl—⬡—CH₂–	1	2	0	S	H	–(CH₂)₂–NH–CO–(2-F-4-CF₃-phenyl)
104	Cl—⬡—CH₂–	1	2	0	S	H	–(CH₂)₂–NH–CO–(2-F-5-CF₃-phenyl)
105	Cl—⬡—CH₂–	1	2	0	S	H	–(CH₂)₂–NH–CO–(3-CF₃-5-F-phenyl)
106	Cl—⬡—CH₂–	1	2	0	S	H	–(CH₂)₂–NH–CO–(3-OCF₃-phenyl)
107	Cl—⬡—CH₂–	1	2	0	S	H	–(CH₂)₂–NH–CO–(3-CF₃-4-F-phenyl)
108	Cl—⬡—CH₂–	1	2	0	S	H	–(CH₂)₂–NH–CO–(2-O₂N-phenyl)
109	Cl—⬡—CH₂–	1	2	0	S	H	–(CH₂)₂–NH–CO–(3-NO₂-phenyl)
110	Cl—⬡—CH₂–	1	2	0	S	H	–(CH₂)₂–NH–CO–(4-NO₂-phenyl)

. Table 1.11

Compd. No.	$\begin{matrix}R^1\\R^2\end{matrix}$ $(CH_2)_j-$	k	m	n	chirality	R^3	$-(CH_2)_p\begin{matrix}R^4\\\ \\R^5\end{matrix}(CH_2)_q G-R^6$
111	Cl—⬡—CH₂—	1	2	0	R	H	
112	Cl—⬡—CH₂—	1	2	0	R	H	
113	Cl—⬡—CH₂—	1	2	0	R	H	
114	Cl—⬡—CH₂—	1	2	0	R	H	
115	Cl—⬡—CH₂—	1	2	0	R	H	
116	Cl—⬡—CH₂—	1	2	0	R	H	
117	Cl—⬡—CH₂—	1	2	0	R	H	
118	Cl—⬡—CH₂—	1	2	0	R	H	
119	Cl—⬡—CH₂—	1	2	0	R	H	
120	Cl—⬡—CH₂—	1	2	0	R	H	
121	Cl—⬡—CH₂—	1	2	0	R	H	

Table 1.12

Compd. No.	R^1 R^2 $(CH_2)_j$—	k	m	n	chirality	R^3	$-(CH_2)_p$ R^4 R^5 $(CH_2)_q$-G-R^6$
122	Cl—⟨⟩—CH₂—	1	2	0	R	H	—(CH₂)₂—NH—C(O)—⟨⟩—OCH₃
123	Cl—⟨⟩—CH₂—	1	2	0	R	H	—(CH₂)₂—NH—C(O)—⟨⟩ (3,5-diCl)
124	Cl—⟨⟩—CH₂—	1	2	0	R	H	—(CH₂)₂—NH—C(O)—⟨⟩—CN
125	Cl—⟨⟩—CH₂—	1	2	0	R	H	—(CH₂)₂—NH—C(O)—⟨⟩(O-CH₂-O)
126	Cl—⟨⟩—CH₂—	1	2	0	R	H	—(CH₂)₂—NH—C(O)—⟨⟩ (2-F, 3-CF₃)
127	Cl—⟨⟩—CH₂—	1	2	0	R	H	—(CH₂)₂—NH—C(O)—⟨⟩ (2-F, 4-CF₃)
128	Cl—⟨⟩—CH₂—	1	2	0	R	H	—(CH₂)₂—NH—C(O)—⟨⟩ (5-CF₃, 2-F)
129	Cl—⟨⟩—CH₂—	1	2	0	R	H	—(CH₂)₂—NH—C(O)—⟨⟩ (3-CF₃, 5-F)
130	Cl—⟨⟩—CH₂—	1	2	0	R	H	—(CH₂)₂—NH—C(O)—⟨⟩—OCF₃
131	Cl—⟨⟩—CH₂—	1	2	0	R	H	—(CH₂)₂—NH—C(O)—⟨⟩ (3-CF₃, 4-F)
132	Cl—⟨⟩—CH₂—	1	2	0	R	H	—(CH₂)₂—NH—C(O)—⟨⟩—O₂N

Table 1.13

Compd. No.	R^1 R^2 $>$ $-(CH_2)_j-$	k	m	n	chirality	R^3	$-(CH_2)_p-\overset{R^4}{\underset{R^5}{C}}-(CH_2)_q-G-R^6$
133	Cl—⟨ ⟩—CH₂—	1	2	0	R	H	—(CH₂)₂—NH—C(=O)—⟨ ⟩—NO₂ (3-NO₂)
134	Cl—⟨ ⟩—CH₂—	1	2	0	R	H	—(CH₂)₂—NH—C(=O)—⟨ ⟩—NO₂ (4-NO₂)
135	Cl—⟨ ⟩—CH₂—	1	2	0	R	H	—(CH₂)₂—NH—C(=O)—⟨ ⟩ (2-Br)
136	Cl—⟨ ⟩—CH₂—	1	2	0	R	H	—(CH₂)₂—NH—C(=O)—⟨ ⟩ (2-F)
137	Cl—⟨ ⟩—CH₂—	1	2	0	R	H	—(CH₂)₂—NH—C(=O)—⟨ ⟩ (2-Cl)
138	Cl—⟨ ⟩—CH₂—	1	2	0	R	H	—(CH₂)₂—NH—C(=O)—⟨ ⟩ (2,3-diCl)
139	Cl—⟨ ⟩—CH₂—	1	2	0	R	H	—(CH₂)₂—NH—C(=O)—⟨ ⟩ (2,4-diCl)
140	Cl—⟨ ⟩—CH₂—	1	2	0	R	H	—(CH₂)₂—NH—C(=O)—⟨ ⟩ (2-CH₃)
141	Cl—⟨ ⟩—CH₂—	1	2	0	R	H	—(CH₂)₂—NH—C(=O)—⟨ ⟩ (2,6-diOCH₃)
142	Cl—⟨ ⟩—CH₂—	1	2	0	R	H	—(CH₂)₂—NH—C(=O)—⟨ ⟩ (3-Cl)
143	Cl—⟨ ⟩—CH₂—	1	2	0	R	H	—(CH₂)₂—NH—C(=O)—⟨ ⟩—Br (4-Br)

Table 1.14

Compd. No.	R^1 R^2 $>$ $(CH_2)_j-$	k	m	n	chirality	R^3	$-(CH_2)_p$ $\overset{R^4}{\underset{R^5}{C}}(CH_2)_q-G-R^6$
144	Cl—⟨⟩—CH₂—	1	2	0	R	H	$-(CH_2)_2-N\overset{H}{\underset{}{}}\overset{O}{\underset{}{C}}$—⟨⟩—⟨⟩
145	Cl—⟨⟩—CH₂—	1	2	0	R	H	$-(CH_2)_2-N\overset{}{\underset{H}{}}\overset{O}{C}$—⟨⟩—CF₃
146	Cl—⟨⟩—CH₂—	1	2	0	R	H	$-(CH_2)_2-N\overset{}{\underset{H}{}}\overset{O}{C}$—⟨⟩—CH₃
147	Cl—⟨⟩—CH₂—	1	2	0	R	H	$-(CH_2)_2-N\overset{}{\underset{H}{}}\overset{O}{C}$—⟨⟩—CH₂CH₃
148	Cl—⟨⟩—CH₂—	1	2	0	R	H	$-(CH_2)_2-N\overset{}{\underset{H}{}}\overset{O}{C}$—⟨⟩—CN
149	Cl—⟨⟩—CH₂—	1	2	0	R	H	$-(CH_2)_2-N\overset{}{\underset{H}{}}\overset{O}{C}$—(naphthyl)
150	Cl—⟨⟩—CH₂—	1	2	0	R	H	$-(CH_2)_2-N\overset{}{\underset{H}{}}\overset{O}{C}$—(naphthyl)
151	Cl—⟨⟩—CH₂—	1	2	0	R	H	$-(CH_2)_2-N\overset{}{\underset{H}{}}\overset{O}{C}$—⟨⟩(2,5-F,F)
152	Cl—⟨⟩—CH₂—	1	2	0	R	H	$-(CH_2)_2-N\overset{}{\underset{H}{}}\overset{O}{C}$—⟨⟩(3,4-F,F)
153	Cl—⟨⟩—CH₂—	1	2	0	R	H	$-(CH_2)_2-N\overset{}{\underset{H}{}}\overset{O}{C}$—⟨⟩(2,4-F,F)
154	Cl—⟨⟩—CH₂—	1	2	0	R	H	$-(CH_2)_2-N\overset{}{\underset{H}{}}\overset{O}{C}$—⟨⟩(3,5-F,F)

Table 1.15

Compd. No.	R^1, R^2-(CH$_2$)$_j$-	k	m	n	chirality	R^3	-(CH$_2$)$_p$-CR^{4}R^5-(CH$_2$)$_q$-G-R^6
155	Cl-⟨C$_6$H$_4$⟩-CH$_2$-	1	2	0	R	H	-(CH$_2$)$_2$-NH-CO-C$_6$H$_3$(2-OCH$_3$)(4-OCH$_3$)
156	Cl-⟨C$_6$H$_4$⟩-CH$_2$-	1	2	0	R	H	-(CH$_2$)$_2$-NH-CO-C$_6$H$_4$-OCF$_3$
157	Cl-⟨C$_6$H$_4$⟩-CH$_2$-	1	2	0	R	H	-(CH$_2$)$_2$-NH-CO-C$_6$H$_4$(2-OCF$_3$)
158	Cl-⟨C$_6$H$_4$⟩-CH$_2$-	1	2	0	R	H	-(CH$_2$)$_2$-NH-CO-C$_6$H$_4$-CO$_2$CH$_3$
159	Cl-⟨C$_6$H$_4$⟩-CH$_2$-	1	2	0	R	H	-(CH$_2$)$_2$-NH-CO-C$_6$H$_3$(2-CF$_3$)(4-F)
160	Cl-⟨C$_6$H$_4$⟩-CH$_2$-	1	2	0	R	H	-(CH$_2$)$_2$-NH-CO-C$_6$H$_3$(2-F)(6-CF$_3$)
161	Cl-⟨C$_6$H$_4$⟩-CH$_2$-	1	2	0	R	H	-(CH$_2$)$_2$-NH-CO-C$_6$H$_2$(2-F)(3-F)(6-F)
162	Cl-⟨C$_6$H$_4$⟩-CH$_2$-	1	2	0	R	H	-(CH$_2$)$_2$-NH-CO-C$_6$H$_2$(2-F)(4-F)(5-F)
163	Cl-⟨C$_6$H$_4$⟩-CH$_2$-	1	2	0	R	H	-(CH$_2$)$_2$-NH-CO-C$_6$H$_3$(2-CF$_3$)(4-CF$_3$)
164	Cl-⟨C$_6$H$_4$⟩-CH$_2$-	1	2	0	R	H	-(CH$_2$)$_2$-NH-CO-C$_6$H$_3$(2-CF$_3$)(5-CF$_3$)
165	Cl-⟨C$_6$H$_4$⟩-CH$_2$-	1	2	0	R	H	-(CH$_2$)$_2$-NH-CO-C$_6$H$_3$(3-CH$_3$)(4-CH$_3$)

24

Table 1.16

Compd. No.	$R^1$$R^2$$-(CH_2)_j-$	k	m	n	chirality	R^3	$-(CH_2)_p-\overset{R^4}{\underset{R^5}{C}}-(CH_2)_q-G-R^6$
166	Cl—⟨⟩—CH₂—	1	2	0	R	H	(S) —CH(CH₃)—NH—CO—C₆H₄(3-CF₃)
167	Cl—⟨⟩—CH₂—	1	2	0	R	H	(S) —CH(CH₃)—NH—CO—C₆H₄(3-Br)
168	Cl—⟨⟩—CH₂—	1	2	0	R	H	(S) —CH(CH₃)—NH—CO—C₆H₄(3-Cl)
169	Cl—⟨⟩—CH₂—	1	2	0	R	H	(S) —CH(CH₃)—NH—CO—C₆H₃(3,4-Cl₂)
170	Cl—⟨⟩—CH₂—	1	2	0	R	H	(S) —CH(CH₃)—NH—CO—C₆H₃(3-CF₃,5-F)
171	Cl—⟨⟩—CH₂—	1	2	0	R	H	(S) —CH(CH₃)—NH—CO—C₆H₄(4-Cl)
172	Cl—⟨⟩—CH₂—	1	2	0	R	H	(S) —CH(CH₃)—NH—CO—C₆H₅
173	Cl—⟨⟩—CH₂—	1	2	0	R	H	(S) —CH(CH₃)—NH—CO—C₆H₄(3-NO₂)
174	Cl—⟨⟩—CH₂—	1	2	0	R	H	(R) —CH(CH₃)—NH—CO—C₆H₄(3-CF₃)
175	Cl—⟨⟩—CH₂—	1	2	0	R	H	(R) —CH(CH₃)—NH—CO—C₆H₄(3-Br)
176	Cl—⟨⟩—CH₂—	1	2	0	R	H	(R) —CH(CH₃)—NH—CO—C₆H₄(3-Cl)

Table 1.17

Compd. No.	$\begin{array}{c}R^1\\\diagup\\\diagdown\\R^2\end{array}$ –(CH$_2$)$_j$–	k	m	n	chirality	R^3	$-(CH_2)_p\underset{R^5}{\overset{R^4}{|}}\!\!-\!\!(CH_2)_q\!-\!G\!-\!R^6$
177	Cl–⟨C₆H₄⟩–CH₂–	1	2	0	R	H	(R)-CH(CH₃)-NH-CO-C₆H₃(3,4-diCl)
178	Cl–⟨C₆H₄⟩–CH₂–	1	2	0	R	H	(R)-CH(CH₃)-NH-CO-C₆H₃(3-CF₃, 5-F)
179	Cl–⟨C₆H₄⟩–CH₂–	1	2	0	R	H	(R)-CH(CH₃)-NH-CO-C₆H₄(4-Cl)
180	Cl–⟨C₆H₄⟩–CH₂–	1	2	0	R	H	(R)-CH(CH₃)-NH-CO-C₆H₅
181	Cl–⟨C₆H₄⟩–CH₂–	1	2	0	R	H	(R)-CH(CH₃)-NH-CO-C₆H₄(3-NO₂)
182	Cl–⟨C₆H₄⟩–CH₂–	1	2	0	R	H	C(CH₃)₂-NH-CO-C₆H₄(3-CF₃)
183	Cl–⟨C₆H₄⟩–CH₂–	1	2	0	R	H	C(CH₃)₂-NH-CO-C₆H₄(3-Br)
184	Cl–⟨C₆H₄⟩–CH₂–	1	2	0	R	H	C(CH₃)₂-NH-CO-C₆H₄(3-Cl)
185	Cl–⟨C₆H₄⟩–CH₂–	1	2	0	R	H	C(CH₃)₂-NH-CO-C₆H₃(3,4-diCl)
186	Cl–⟨C₆H₄⟩–CH₂–	1	2	0	R	H	C(CH₃)₂-NH-CO-C₆H₃(3-CF₃, 5-F)
187	Cl–⟨C₆H₄⟩–CH₂–	1	2	0	R	H	C(CH₃)₂-NH-CO-C₆H₄(4-Cl)

Table 1.18

Compd. No.	R^1R^2CH$-$(CH$_2$)$_j-$	k	m	n	chirality	R^3	$-$(CH$_2$)$_p$$-CR^4R^5-$(CH$_2$)$_q-G-R^6$
188	Cl$-$⟨C$_6$H$_4$⟩$-$CH$_2-$	1	2	0	R	H	CH(CH$_3$)$_2$–NH–CO–C$_6$H$_5$
189	Cl$-$⟨C$_6$H$_4$⟩$-$CH$_2-$	1	2	0	R	H	CH(CH$_3$)$_2$–NH–CO–C$_6$H$_4$(3-NO$_2$)
190	Cl$-$⟨C$_6$H$_4$⟩$-$CH$_2-$	1	2	0	R	H	(R) CH(CH$_2$-thienyl)–NH–CO–C$_6$H$_4$(CF$_3$)
191	Cl$-$⟨C$_6$H$_4$⟩$-$CH$_2-$	1	2	0	R	H	(R) CH(CH$_2$-thienyl)–NH–CO–C$_6$H$_4$(3-Br)
192	Cl$-$⟨C$_6$H$_4$⟩$-$CH$_2-$	1	2	0	R	H	(R) CH(CH$_2$-thienyl)–NH–CO–C$_6$H$_4$(3-Cl)
193	Cl$-$⟨C$_6$H$_4$⟩$-$CH$_2-$	1	2	0	R	H	(R) CH(CH$_2$-thienyl)–NH–CO–C$_6$H$_3$(Cl)(Cl)
194	Cl$-$⟨C$_6$H$_4$⟩$-$CH$_2-$	1	2	0	R	H	(R) CH(CH$_2$-thienyl)–NH–CO–C$_6$H$_3$(CF$_3$)(F)
195	Cl$-$⟨C$_6$H$_4$⟩$-$CH$_2-$	1	2	0	R	H	(R) CH(CH$_2$-thienyl)–NH–CO–C$_6$H$_4$(4-Cl)
196	Cl$-$⟨C$_6$H$_4$⟩$-$CH$_2-$	1	2	0	R	H	(R) CH(CH$_2$-thienyl)–NH–CO–C$_6$H$_5$
197	Cl$-$⟨C$_6$H$_4$⟩$-$CH$_2-$	1	2	0	R	H	(R) CH(CH$_2$-thienyl)–NH–CO–C$_6$H$_4$(3-NO$_2$)
198	Cl$-$⟨C$_6$H$_4$⟩$-$CH$_2-$	1	2	0	R	H	(S) CH(CH$_2$-thienyl)–NH–CO–C$_6$H$_4$(3-CF$_3$)

Table 1.19

Compd. No.	R^1R^2CH$(CH_2)_j$—	k	m	n	chirality	R^3	$-(CH_2)_p CR^4R^5(CH_2)_q G-R^6$
199	Cl—⟨C₆H₄⟩—CH₂—	1	2	0	R	H	(S) structure: —CH(CH₂-thienyl)-NH-CO-C₆H₄(Br)
200	Cl—⟨C₆H₄⟩—CH₂—	1	2	0	R	H	(S) structure: —CH(CH₂-thienyl)-NH-CO-C₆H₄(Cl)
201	Cl—⟨C₆H₄⟩—CH₂—	1	2	0	R	H	(S) structure: —CH(CH₂-thienyl)-NH-CO-C₆H₃(Cl)(Cl)
202	Cl—⟨C₆H₄⟩—CH₂—	1	2	0	R	H	(S) structure: —CH(CH₂-thienyl)-NH-CO-C₆H₃(CF₃)(F)
203	Cl—⟨C₆H₄⟩—CH₂—	1	2	0	R	H	(S) structure: —CH(CH₂-thienyl)-NH-CO-C₆H₄(Cl)
204	Cl—⟨C₆H₄⟩—CH₂—	1	2	0	R	H	(S) structure: —CH(CH₂-thienyl)-NH-CO-C₆H₅
205	Cl—⟨C₆H₄⟩—CH₂—	1	2	0	R	H	(S) structure: —CH(CH₂-thienyl)-NH-CO-C₆H₄(NO₂)
206	Cl—⟨C₆H₄⟩—CH₂—	1	2	0	R	H	(S) structure: —CH((CH₂)₂SO₂CH₃)-NH-CO-C₆H₄(CF₃)
207	Cl—⟨C₆H₄⟩—CH₂—	1	2	0	R	H	(S) structure: —CH((CH₂)₂SO₂CH₃)-NH-CO-C₆H₄(Br)
208	Cl—⟨C₆H₄⟩—CH₂—	1	2	0	R	H	(S) structure: —CH((CH₂)₂SO₂CH₃)-NH-CO-C₆H₄(Cl)
209	Cl—⟨C₆H₄⟩—CH₂—	1	2	0	R	H	(S) structure: —CH((CH₂)₂SO₂CH₃)-NH-CO-C₆H₃(Cl)(Cl)

28

Table 1.20

Compd. No.	$\begin{matrix}R^1\\R^2\end{matrix}CH-$(CH$_2$)$_j-$	k	m	n	chirality	R³	$-$(CH$_2$)$_p$$\begin{matrix}R^4\\ \ \\R^5\end{matrix}$(CH$_2$)$_q$$-G-$R⁶
210	Cl—⟨⟩—CH₂—	1	2	0	R	H	(S) structure with CF₃ and F, NHC(O), (CH₂)₂SO₂CH₃
211	Cl—⟨⟩—CH₂—	1	2	0	R	H	(S) structure with C(O)—⟨⟩—Cl, (CH₂)₂SO₂CH₃
212	Cl—⟨⟩—CH₂—	1	2	0	R	H	(S) structure with NHC(O)—⟨⟩, (CH₂)₂SO₂CH₃
213	Cl—⟨⟩—CH₂—	1	2	0	R	H	(S) structure with C(O)—⟨⟩—NO₂, (CH₂)₂SO₂CH₃
214	Cl—⟨⟩—CH₂—	1	2	0	-	H	—(CH₂)₃—C(O)—⟨⟩
215	Cl—⟨⟩—CH₂—	1	2	0	-	H	—(CH₂)₃—C(O)—⟨⟩—OCH₃
216	Cl—⟨⟩—CH₂—	1	2	0	-	H	—(CH₂)₃—C(O)—⟨thiophene-S⟩
217	Cl—⟨⟩—CH₂—	1	2	0	-	H	—(CH₂)₂—C(O)—⟨⟩—OCH₃, H₃CO
218	Cl—⟨⟩—CH₂—	1	2	0	-	H	—(CH₂)₂—C(O)—⟨⟩—CH₃, H₃C
219	Cl—⟨⟩—CH₂—	1	2	0	-	H	—(CH₂)₂—C(O)—⟨⟩—OCH₃, F
220	Cl—⟨⟩—CH₂—	1	2	0	-	H	—(CH₂)₂—C(O)—⟨⟩—CH₃

Table 1.21

Compd. No.	R^1 R^2 $>$(CH$_2$)$_j$−	k	m	n	chirality	R^3	−(CH$_2$)$_p$−CR^{4}R^5−(CH$_2$)$_q$−G−R^6
221	Cl—⟨⟩—CH$_2$−	1	2	0	-	H	−(CH$_2$)$_2$−C(=O)—⟨⟩
222	Cl—⟨⟩—CH$_2$−	1	2	0	-	H	−(CH$_2$)$_2$−C(=O)—⟨⟩—Cl
223	Cl—⟨⟩—CH$_2$−	1	2	0	-	H	−(CH$_2$)$_2$−C(=O)—⟨⟩—O(CH$_2$)$_3$CH$_3$
224	Cl—⟨⟩—CH$_2$−	1	2	0	-	H	−CH$_2$−S(=O)$_2$—⟨⟩—CH$_3$
225	Cl—⟨⟩—CH$_2$−	1	2	0	-	H	−(CH$_2$)$_3$−C(=O)−NH—⟨⟩
226	Cl—⟨⟩—CH$_2$−	1	2	0	-	H	−(CH$_2$)$_3$−C(=O)−NH—⟨⟩—OCH$_3$
227	Cl—⟨⟩—CH$_2$−	1	2	0	-	H	−(CH$_2$)$_3$−C(=O)−NH—⟨⟩—Cl
228	Cl—⟨⟩—CH$_2$−	1	2	0	-	H	−(CH$_2$)$_3$−C(=O)−NH—⟨⟩—OCH$_3$
229	Cl—⟨⟩—CH$_2$−	1	2	0	-	H	−CH$_2$−C(CH$_3$)$_2$−CH$_2$−C(=O)−NH—⟨⟩—CH$_3$
230	Cl—⟨⟩—CH$_2$−	1	2	0	-	H	−CH$_2$−C(cyclopentyl)−CH$_2$−C(=O)−NH—⟨⟩—F
231	Cl—⟨⟩—CH$_2$−	1	2	0	-	H	−(CH$_2$)$_3$−C(=O)−NH—⟨⟩—C(=O)CH$_3$

Table 1.22

Compd. No.	$R^1R^2{>}C{-}(CH_2)_j{-}$	k	m	n	chirality	R^3	$-(CH_2)_p{-}C(R^4)(R^5){-}(CH_2)_q{-}G{-}R^6$
232	Cl—C6H4—CH2—	1	2	0	-	H	$-(CH_2)_3-C(=O)-NH-$cyclohexyl
233	Cl—C6H4—CH2—	1	2	0	-	H	$-(CH_2)_3-C(=O)-NH-CH_2-$C6H5
234	Cl—C6H4—CH2—	1	2	0	-	H	$-(CH_2)_3-C(=O)-NH-$(6-methyl-pyridin-2-yl)
235	Cl—C6H4—CH2—	1	2	0	-	H	$-CH_2-CH(CH_3)-CH_2-C(=O)-N H-CH_2-$C6H4—Cl
236	Cl—C6H4—CH2—	1	2	0	-	H	$-CH_2-NH-SO_2-$(5-dimethylamino-naphthalen-1-yl)
237	Cl—C6H4—CH2—	1	2	0	-	H	$-CH_2-NH-C(=O)-O-CH_2-$C6H5
238	Cl—C6H4—CH2—	1	2	0	-	H	$-CH(CH_3)-O-C(=O)-NH-$(3-Cl-C6H4)
239	C6H5—CH2—	1	2	0	S	H	$-CH_2-NH-C(=O)-$(3-CF3-C6H4)
240	(2-F-C6H4)—CH2—	1	2	0	S	H	$-CH_2-NH-C(=O)-$(3-CF3-C6H4)
241	(2-Cl-C6H4)—CH2—	1	2	0	S	H	$-CH_2-NH-C(=O)-$(3-CF3-C6H4)
242	(2,4-diCl-C6H3)—CH2—	1	2	0	S	H	$-CH_2-NH-C(=O)-$(3-CF3-C6H4)

31

Table 1.23

Compd. No.	$R^1R^2\mathrm{CH}(CH_2)_j-$	k	m	n	chirality	R^3	$-(CH_2)_p R^4 R^5 (CH_2)_q-G-R^6$
243	2,6-dichlorobenzyl ($-CH_2-$)	1	2	0	S	H	$-CH_2-NH-C(=O)-$ phenyl-CF_3
244	2-methylbenzyl ($-CH_2-$)	1	2	0	S	H	$-CH_2-NH-C(=O)-$ phenyl-CF_3
245	2-fluorobenzyl ($-CH_2-$)	1	2	0	S	H	$-CH_2-NH-C(=O)-$ phenyl-CF_3
246	3-chlorobenzyl ($-CH_2-$)	1	2	0	S	H	$-CH_2-NH-C(=O)-$ phenyl-CF_3
247	3,4-dichlorobenzyl ($-CH_2-$)	1	2	0	S	H	$-CH_2-NH-C(=O)-$ phenyl-CF_3
248	3-methoxybenzyl ($-CH_2-$)	1	2	0	S	H	$-CH_2-NH-C(=O)-$ phenyl-CF_3
249	3-(trifluoromethyl)benzyl ($-CH_2-$)	1	2	0	S	H	$-CH_2-NH-C(=O)-$ phenyl-CF_3
250	3-methylbenzyl ($-CH_2-$)	1	2	0	S	H	$-CH_2-NH-C(=O)-$ phenyl-CF_3
251	4-fluorobenzyl ($-CH_2-$)	1	2	0	S	H	$-CH_2-NH-C(=O)-$ phenyl-CF_3
252	4-methoxybenzyl ($-CH_2-$)	1	2	0	S	H	$-CH_2-NH-C(=O)-$ phenyl-CF_3
253	4-methylbenzyl ($-CH_2-$)	1	2	0	S	H	$-CH_2-NH-C(=O)-$ phenyl-CF_3

Table 1.24

Compd. No.	R^1, R^2–(CH₂)ₗ–	k	m	n	chirality	R^3	–(CH₂)ₚ–CR⁴R⁵–(CH₂)q–G–R⁶
254	Cl-(NO₂)-phenyl-CH₂–	1	2	0	S	H	–CH₂–NH–CO–phenyl–CF₃
255	O₂N-phenyl-CH₂–	1	2	0	S	H	–CH₂–NH–CO–phenyl–CF₃
256	O₂N–phenyl–CH₂–	1	2	0	S	H	–CH₂–NH–CO–phenyl–CF₃
257	CF₃-phenyl-CH₂–	1	2	0	S	H	–CH₂–NH–CO–phenyl–CF₃
258	phenyl-CH(CO₂CH₂CH₃)–	1	2	0	S	H	–CH₂–NH–CO–phenyl–CF₃
259	phenyl-CH(CH₃)–	1	2	0	S	H	–CH₂–NH–CO–phenyl–CF₃
260	Cl,Cl-phenyl-CH₂–	1	2	0	S	H	–CH₂–NH–CO–phenyl–CF₃
261	F₃C–phenyl–CH₂–	1	2	0	S	H	–CH₂–NH–CO–phenyl–CF₃
262	Br-phenyl-CH₂–	1	2	0	S	H	–CH₂–NH–CO–phenyl–CF₃
263	Br-phenyl-CH₂–	1	2	0	S	H	–CH₂–NH–CO–phenyl–CF₃
264	phenyl-O-phenyl-CH₂–	1	2	0	S	H	–CH₂–NH–CO–phenyl–CF₃

Table 1.25

Compd. No.	R^1 R^2 $(CH_2)_j$—	k	m	n	chirality	R^3	—$(CH_2)_p$ R^4 R^5 $(CH_2)_q$-G-R^6
265	Br—〈C6H4〉—CH₂—	1	2	0	S	H	—CH₂—NH—C(O)—〈C6H4〉—CF₃
266	(methylenedioxyphenyl)—CH₂—	1	2	0	S	H	—CH₂—NH—C(O)—〈C6H4〉—CF₃
267	(2-OCH₃-phenyl)—CH₂—	1	2	0	S	H	—CH₂—NH—C(O)—〈C6H4〉—CF₃
268	H₃C—C(O)—NH—〈C6H4〉—CH₂—	1	2	0	S	H	—CH₂—NH—C(O)—〈C6H4〉—CF₃
269	H₃C—S(O)₂—〈C6H4〉—CH₂—	1	2	0	S	H	—CH₂—NH—C(O)—〈C6H4〉—CF₃
270	H₃CO₂C—〈C6H4〉—CH₂—	1	2	0	S	H	—CH₂—NH—C(O)—〈C6H4〉—CF₃
271	(2,6-diF-phenyl)—CH₂—	1	2	0	S	H	—CH₂—NH—C(O)—〈C6H4〉—CF₃
272	HO—〈C6H4〉—CH₂—	1	2	0	S	H	—CH₂—NH—C(O)—〈C6H4〉—CF₃
273	(2-CN-phenyl)—CH₂—	1	2	0	S	H	—CH₂—NH—C(O)—〈C6H4〉—CF₃
274	(3-CN-phenyl)—CH₂—	1	2	0	S	H	—CH₂—NH—C(O)—〈C6H4〉—CF₃
275	NC—〈C6H4〉—CH₂—	1	2	0	S	H	—CH₂—NH—C(O)—〈C6H4〉—CF₃

Table 1.26

Compd. No.	R^1, R^2-(CH$_2$)$_j$-	k	m	n	chirality	R^3	-(CH$_2$)$_p$-CR^{4}R^5-(CH$_2$)$_q$-G-R^6
276	2,4-difluorophenyl-CH$_2$-	1	2	0	S	H	-CH$_2$-NH-C(O)-(3-CF$_3$-phenyl)
277	biphenyl-4-yl-CH$_2$-	1	2	0	S	H	-CH$_2$-NH-C(O)-(3-CF$_3$-phenyl)
278	H$_3$CO$_2$C-(4-phenyl)-CH$_2$-	1	2	0	S	H	-CH$_2$-NH-C(O)-(3-CF$_3$-phenyl)
279	F$_3$CO-(4-phenyl)-CH$_2$-	1	2	0	S	H	-CH$_2$-NH-C(O)-(3-CF$_3$-phenyl)
280	3-(F$_3$CO)-phenyl-CH$_2$-	1	2	0	S	H	-CH$_2$-NH-C(O)-(3-CF$_3$-phenyl)
281	HO$_2$C-(4-phenyl)-CH$_2$-	1	2	0	S	H	-CH$_2$-NH-C(O)-(3-CF$_3$-phenyl)
282	(H$_3$C)$_3$C-(4-phenyl)-CH$_2$-	1	2	0	S	H	-CH$_2$-NH-C(O)-(3-CF$_3$-phenyl)
283	(3,5-dimethylisoxazol-4-yl)-CH$_2$-	1	2	0	S	H	-CH$_2$-NH-C(O)-(3-CF$_3$-phenyl)
284	(4-Cl-phenyl)(phenyl)CH-	1	2	0	S	H	-CH$_2$-NH-C(O)-(3-CF$_3$-phenyl)
285	phenyl-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-C(O)-(3-CF$_3$-phenyl)
286	2-F-phenyl-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-C(O)-(3-CF$_3$-phenyl)

Table 1.27

Compd. No.	R^1, R^2, $-(CH_2)_j-$	k	m	n	chirality	R^3	$-(CH_2)_p$, R^4, R^5, $(CH_2)_q$, $G-R^6$
287		1	2	0	R	H	
288		1	2	0	R	H	
289		1	2	0	R	H	
290		1	2	0	R	H	
291		1	2	0	R	H	
292		1	2	0	R	H	
293		1	2	0	R	H	
294		1	2	0	R	H	
295		1	2	0	R	H	
296		1	2	0	R	H	
297		1	2	0	R	H	

Table 1.28

Compd. No.	R^1, R^2-(CH$_2$)$_j$-	k	m	n	chirality	R^3	-(CH$_2$)$_p$-R^4/R^5-(CH$_2$)$_q$-G-R^6
298	H$_3$CO-⟨⟩-CH$_2$-	1	2	0	R	H	-CH$_2$-N(H)-C(O)-⟨CF$_3$⟩
299	H$_3$C-⟨⟩-CH$_2$-	1	2	0	R	H	-CH$_2$-N(H)-C(O)-⟨CF$_3$⟩
300	Cl-⟨NO$_2$⟩-CH$_2$-	1	2	0	R	H	-CH$_2$-N(H)-C(O)-⟨CF$_3$⟩
301	O$_2$N-⟨⟩-CH$_2$-	1	2	0	R	H	-CH$_2$-N(H)-C(O)-⟨CF$_3$⟩
302	O$_2$N-⟨⟩-CH$_2$-	1	2	0	R	H	-CH$_2$-N(H)-C(O)-⟨CF$_3$⟩
303	⟨CF$_3$⟩-CH$_2$-	1	2	0	R	H	-CH$_2$-N(H)-C(O)-⟨CF$_3$⟩
304	⟨⟩-CH(CO$_2$CH$_2$CH$_3$)-	1	2	0	R	H	-CH$_2$-N(H)-C(O)-⟨CF$_3$⟩
305	⟨⟩-CH(CH$_3$)-	1	2	0	R	H	-CH$_2$-N(H)-C(O)-⟨CF$_3$⟩
306	⟨Cl,Cl⟩-CH$_2$-	1	2	0	R	H	-CH$_2$-N(H)-C(O)-⟨CF$_3$⟩
307	F$_3$C-⟨⟩-CH$_2$-	1	2	0	R	H	-CH$_2$-N(H)-C(O)-⟨CF$_3$⟩
308	⟨Br⟩-CH$_2$-	1	2	0	R	H	-CH$_2$-N(H)-C(O)-⟨CF$_3$⟩

Table 1.29

Compd. No.	R¹ R²(CH₂)ⱼ—	k	m	n	chirality	R³	—(CH₂)ₚ R⁴ R⁵ (CH₂)q—G—R⁶
309	(3-Br-benzyl) —CH₂—	1	2	0	R	H	—CH₂—NH—CO—(3-CF₃-phenyl)
310	(phenoxy-benzyl) —CH₂—	1	2	0	R	H	—CH₂—NH—CO—(3-CF₃-phenyl)
311	Br—(benzyl) —CH₂—	1	2	0	R	H	—CH₂—NH—CO—(3-CF₃-phenyl)
312	(methylenedioxy-benzyl) —CH₂—	1	2	0	R	H	—CH₂—NH—CO—(3-CF₃-phenyl)
313	(2-OCH₃-benzyl) —CH₂—	1	2	0	R	H	—CH₂—NH—CO—(3-CF₃-phenyl)
314	H₃C—CO—NH—(benzyl) —CH₂—	1	2	0	R	H	—CH₂—NH—CO—(3-CF₃-phenyl)
315	H₂C—SO₂—(benzyl) —CH₂—	1	2	0	R	H	—CH₂—NH—CO—(3-CF₃-phenyl)
316	H₃CO₂C—(benzyl) —CH₂—	1	2	0	R	H	—CH₂—NH—CO—(3-CF₃-phenyl)
317	(2,6-diF-benzyl) —CH₂—	1	2	0	R	H	—CH₂—NH—CO—(3-CF₃-phenyl)
318	HO—(benzyl) —CH₂—	1	2	0	R	H	—CH₂—NH—CO—(3-CF₃-phenyl)
319	(2-CN-benzyl) —CH₂—	1	2	0	R	H	—CH₂—NH—CO—(3-CF₃-phenyl)

Table 1.30

Compd. No.	R¹R²—(CH₂)ₖ—	k	m	n	chirality	R³	—(CH₂)ₚ—R⁴R⁵—(CH₂)q—G—R⁶
320	NC—⟨phenyl⟩—CH₂—	1	2	0	R	H	—CH₂—NH—C(=O)—⟨phenyl-CF₃⟩
321	NC—⟨phenyl⟩—CH₂—	1	2	0	R	H	—CH₂—NH—C(=O)—⟨phenyl-CF₃⟩
322	F,F—⟨phenyl⟩—CH₂—	1	2	0	R	H	—CH₂—NH—C(=O)—⟨phenyl-CF₃⟩
323	⟨biphenyl⟩—CH₂—	1	2	0	R	H	—CH₂—NH—C(=O)—⟨phenyl-CF₃⟩
324	H₃CO₂C—⟨phenyl⟩—CH₂—	1	2	0	R	H	—CH₂—NH—C(=O)—⟨phenyl-CF₃⟩
325	F₃CO—⟨phenyl⟩—CH₂—	1	2	0	R	H	—CH₂—NH—C(=O)—⟨phenyl-CF₃⟩
326	F₃CO—⟨phenyl⟩—CH₂—	1	2	0	R	H	—CH₂—NH—C(=O)—⟨phenyl-CF₃⟩
327	HO₂C—⟨phenyl⟩—CH₂—	1	2	0	R	H	—CH₂—NH—C(=O)—⟨phenyl-CF₃⟩
328	(H₃C)₃C—⟨phenyl⟩—CH₂—	1	2	0	R	H	—CH₂—NH—C(=O)—⟨phenyl-CF₃⟩
329	⟨dimethylisoxazole⟩—CH₂—	1	2	0	R	H	—CH₂—NH—C(=O)—⟨phenyl-CF₃⟩
330	Cl—⟨phenyl⟩—CH₂—	0	3	1	–	H	—CH₂—NH—C(=O)—⟨phenyl⟩

Table 1.31

Compd. No.	R^1 R^2 $>$(CH$_2$)$_j$—	k	m	n	chirality	R^3	—(CH$_2$)$_p$ R^4 R^5 (CH$_2$)$_q$—G—R^6
331	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	0	3	1	-	H	—CH$_2$—NH—C(O)—C$_6$H$_4$(m-CH$_3$)
332	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	0	3	1	-	H	—CH$_2$—NH—C(O)—C$_6$H$_2$(OCH$_3$)(OCH$_3$)(OCH$_3$)
333	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	0	3	1	-	H	—CH$_2$—NH—C(O)—pyridyl(N)
334	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	0	3	1	-	H	—CH$_2$—NH—C(O)—C$_6$H$_4$(p-CH$_3$)
335	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	0	3	1	-	H	—CH$_2$—NH—C(O)—C$_6$H$_4$(m-NO$_2$)
336	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	0	3	1	-	H	—CH$_2$—NH—C(O)—C$_6$H$_4$(m-CF$_3$)
337	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	0	3	1	-	H	—CH$_2$—NH—C(O)—C$_6$H$_4$(o-CH$_3$)
338	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	0	3	1	-	H	—CH$_2$—N(CH$_3$)—C(O)—C$_6$H$_5$
339	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	0	3	1	R	H	—CH$_2$—NH—C(O)—C$_6$H$_4$(m-CF$_3$)
340	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	0	3	1	S	H	—CH$_2$—NH—C(O)—C$_6$H$_4$(m-CF$_3$)
341	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	0	3	1	-	H	—(CH$_2$)$_2$—NH—C(O)—C$_6$H$_5$

Table 1.32

Compd. No.	R^1 R^2 ⟩CH(CH$_2$)$_j$—	k	m	n	chirality	R^3	—(CH$_2$)$_p$ $\overset{R^4}{\underset{R^5}{C}}$ (CH$_2$)$_q$-G-R^6
342	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	0	3	1	-	H	
343	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	0	3	1	-	H	
344	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	0	3	1	-	H	
345	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	0	3	1	-	H	-(CH$_2$)$_3$-CO-⟨C$_6$H$_5$⟩
346	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	0	3	1	-	H	-(CH$_2$)$_2$-CO-⟨C$_6$H$_3$(F)(OCH$_3$)⟩
347	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	0	3	1	-	H	-(CH$_2$)$_2$-CO-⟨C$_6$H$_3$(CH$_3$)(H$_3$C)⟩
348	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	0	3	1	-	H	-(CH$_2$)$_2$-CO-⟨C$_6$H$_4$-CH$_3$⟩
349	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	0	3	1	-	H	-CH$_2$-SO$_2$-⟨C$_6$H$_4$-CH$_3$⟩
350	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	0	3	1	-	H	-CH$_2$-NH-SO$_2$-⟨C$_6$H$_4$-CH$_3$⟩
351	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	0	3	1	-	H	-CH$_2$-NH-CO-O-CH$_2$-⟨C$_6$H$_5$⟩
352	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	0	3	1	-	H	

Table 1.33

Compd. No.	$\begin{matrix} R^1 \\ R^2 \end{matrix}$ –(CH$_2$)$_j$–	k	m	n	chirality	R^3	–(CH$_2$)$_p$ $\begin{matrix} R^4 \\ R^5 \end{matrix}$ (CH$_2$)$_q$–G–R^6
353	Cl–◯–CH$_2$–	1	2	1	-	H	–CH$_2$–N(H)–C(O)–◯
354	Cl–◯–CH$_2$–	1	3	0	-	H	–CH$_2$–N(H)–C(O)–◯
355	Cl–◯–CH$_2$–	1	3	0	-	H	–CH$_2$–N(H)–C(O)–◯–CH$_3$
356	Cl–◯–CH$_2$–	1	3	0	-	H	–CH$_2$–N(H)–C(O)–(pyridinyl)
357	Cl–◯–CH$_2$–	1	3	0	-	H	–CH$_2$–N(H)–C(O)–◯(H$_3$C)
358	Cl–◯–CH$_2$–	1	3	0	-	H	–CH$_2$–N(H)–C(O)–◯–CF$_3$
359	Cl–◯–CH$_2$–	1	3	0	-	H	–(CH$_2$)$_2$–N(H)–C(O)–◯
360	Cl–◯–CH$_2$–	1	3	0	-	H	–(CH$_2$)$_2$–N(H)–C(O)–◯–NO$_2$
361	Cl–◯–CH$_2$–	1	3	0	-	H	–(CH$_2$)$_3$–C(O)–◯
362	Cl–◯–CH$_2$–	1	3	0	-	H	–(CH$_2$)$_3$–C(O)–◯–OCH$_3$
363	Cl–◯–CH$_2$–	1	3	0	-	H	–(CH$_2$)$_3$–C(O)–(thienyl)

Table 1.34

Compd. No.	R^1R^2>CH(CH_2)_j-	k	m	n	chirality	R^3	$-(CH_2)_p$-CR^4R^5-(CH_2)_q-G-R^6
364	Cl—⟨C6H4⟩—CH_2-	1	3	0	-	H	-(CH_2)_2-CO-(2,5-dimethoxyphenyl) (OCH_3 top, H_3CO)
365	Cl—⟨C6H4⟩—CH_2-	1	3	0	-	H	-(CH_2)_2-CO-(2,4-dimethylphenyl) (CH_3, H_3C)
366	Cl—⟨C6H4⟩—CH_2-	1	3	0	-	H	-(CH_2)_2-CO-(3-fluoro-4-methoxyphenyl)
367	Cl—⟨C6H4⟩—CH_2-	1	3	0	-	H	-(CH_2)_2-CO-(4-methylphenyl)
368	Cl—⟨C6H4⟩—CH_2-	1	3	0	-	H	-(CH_2)_2-CO-phenyl
369	Cl—⟨C6H4⟩—CH_2-	1	3	0	-	H	-(CH_2)_2-CO-(4-chlorophenyl)
370	Cl—⟨C6H4⟩—CH_2-	1	3	0	-	H	-(CH_2)_2-CO-(4-O(CH_2)_3CH_3-phenyl)
371	Cl—⟨C6H4⟩—CH_2-	1	3	0	-	H	-(CH_2)_2-CO-(4-SO_2CH_3-phenyl)
372	Cl—⟨C6H4⟩—CH_2-	1	3	0	-	H	-CH_2-SO_2-(4-methylphenyl)
373	Cl—⟨C6H4⟩—CH_2-	1	3	0	-	H	-(CH_2)_3-CO-NH-phenyl
374	Cl—⟨C6H4⟩—CH_2-	1	3	0	-	H	-(CH_2)_3-CO-NH-(3-methoxyphenyl) (OCH_3)

Table 1.35

Compd. No.	$\overset{R^1}{\underset{R^2}{>}}(CH_2)_j-$	k	m	n	chirality	R^3	$-(CH_2)_p\overset{R^4}{\underset{R^5}{C}}(CH_2)_q-G-R^6$
375	Cl—⟨ ⟩—CH_2-	1	3	0	-	H	$-(CH_2)_3\overset{O}{C}-\underset{H}{N}$—⟨ ⟩—Cl
376	Cl—⟨ ⟩—CH_2-	1	3	0	-	H	$-(CH_2)_3\overset{O}{C}-\underset{H}{N}$—⟨ ⟩—$OCH_3$
377	Cl—⟨ ⟩—CH_2-	1	3	0	-	H	$-CH_2-\overset{CH_3}{\underset{CH_3}{C}}-CH_2\overset{O}{C}-\underset{H}{N}$—⟨ ⟩—Cl
378	Cl—⟨ ⟩—CH_2-	1	3	0	-	H	$-CH_2$ $CH_2\overset{O}{C}-\underset{H}{N}$—⟨ ⟩—F
379	Cl—⟨ ⟩—CH_2-	1	3	0	-	H	$-(CH_2)_3\overset{O}{C}-\underset{H}{N}$—⟨ ⟩—$\overset{O}{C}-CH_3$
380	Cl—⟨ ⟩—CH_2-	1	3	0	-	H	$-(CH_2)_3\overset{O}{C}-\underset{H}{N}-CH_2$—⟨ ⟩
381	Cl—⟨ ⟩—CH_2-	1	3	0	-	H	$-CH_2-\underset{H}{N}-\overset{O}{\underset{O}{S}}$—⟨ ⟩—$CH_3$
382	Cl—⟨ ⟩—CH_2-	1	3	0	-	H	$-CH_2-\underset{H}{N}\overset{O}{C}-O-CH_2$—⟨ ⟩
383	Cl—⟨ ⟩—CH_2-	1	3	0	-	H	$-\underset{CH_3}{CH}-O-\overset{O}{C}-\underset{H}{N}$—⟨ ⟩—Cl
384	Cl—⟨ ⟩—CH_2-	2	2	0	-	H	$-CH_2-\underset{H}{N}-\overset{O}{C}$—⟨ ⟩—$CH_3$
385	Cl—⟨ ⟩—CH_2-	2	2	0	-	H	$-CH_2-\underset{H}{N}-\overset{O}{C}$—⟨ ⟩—$NO_2$

Table 1.36

Compd. No.	$R^1R^2{>}(CH_2)_j-$	k	m	n	chirality	R^3	$-(CH_2)_p{<}^{R^4}_{R^5}(CH_2)_q-G-R^6$
386	phenyl–CH_2-	2	2	0	-	H	$-CH_2-NH-CO-$phenyl
387	phenyl–CH_2-	2	2	0	-	H	$-CH_2-NH-CO-$tetrahydronaphthyl
388	phenyl–CH_2-	2	2	0	-	H	$-CH_2-NH-CO-$phenyl-NO_2
389	phenyl–CH_2-	2	2	0	-	H	$-CH_2-NH-CO-$phenyl-CO_2CH_3
390	phenyl–CH_2-	2	2	0	-	H	$-CH_2-NH-CO-$phenyl-CF_3
391	phenyl–CH_2-	2	2	0	-	H	$-CH_2-NH-CO-$phenyl-CF_3,F
392	phenyl–CH_2-	2	2	0	-	H	$-CH_2-NH-CO-$phenyl-OCF_3
393	phenyl–CH_2-	2	2	0	-	H	$-CH_2-NH-CO-$phenyl-Br
394	phenyl–CH_2-	2	2	0	-	H	$-CH_2-NH-CO-$phenyl-Cl
395	phenyl–CH_2-	2	2	0	-	H	$-CH_2-NH-CO-$phenyl-Br
396	phenyl–CH_2-	2	2	0	-	H	$-CH_2-NH-CO-$phenyl-F,F

45

Table 1.37

Compd. No.	R^1 R^2 $\!\!>\!\!$(CH$_2$)$_j$—	k	m	n	chirality	R^3	—(CH$_2$)$_p$—C(R^4)(R^5)—(CH$_2$)$_q$—G—R^6
397	Ph—CH$_2$—	2	2	0	-	H	—CH$_2$—NH—C(O)—C$_6$H$_3$(3,4-Cl$_2$)
398	Ph—CH$_2$—	2	2	0	-	H	—(CH$_2$)$_2$—NH—C(O)—C$_6$H$_5$
399	Ph—CH$_2$—	2	2	0	-	H	—(CH$_2$)$_2$—NH—C(O)—naphthyl
400	Ph—CH$_2$—	2	2	0	-	H	—(CH$_2$)$_2$—NH—C(O)—C$_6$H$_4$(3-NO$_2$)
401	Ph—CH$_2$—	2	2	0	-	H	—(CH$_2$)$_2$—NH—C(O)—C$_6$H$_4$(4-CO$_2$CH$_3$)
402	Ph—CH$_2$—	2	2	0	-	H	—(CH$_2$)$_2$—NH—C(O)—C$_6$H$_4$(3-CF$_3$)
403	Ph—CH$_2$—	2	2	0	-	H	—(CH$_2$)$_2$—NH—C(O)—C$_6$H$_3$(3-CF$_3$,5-F)
404	Ph—CH$_2$—	2	2	0	-	H	—(CH$_2$)$_2$—NH—C(O)—C$_6$H$_4$(3-OCF$_3$)
405	Ph—CH$_2$—	2	2	0	-	H	—(CH$_2$)$_2$—NH—C(O)—C$_6$H$_4$(3-Br)
406	Ph—CH$_2$—	2	2	0	-	H	—(CH$_2$)$_2$—NH—C(O)—C$_6$H$_4$(3-Cl)
407	Ph—CH$_2$—	2	2	0	-	H	—(CH$_2$)$_2$—NH—C(O)—C$_6$H$_4$(4-Br)

Table 1.38

Compd. No.	R^1/R^2-(CH$_2$)$_j$-	k	m	n	chirality	R^3	-(CH$_2$)$_p$-R^4/R^5-(CH$_2$)$_q$-G-R^6
408	Ph-CH$_2$-	2	2	0	-	H	-(CH$_2$)$_2$-NH-C(=O)-C$_6$H$_3$(3,4-F$_2$)
409	Ph-CH$_2$-	2	2	0	-	H	-(CH$_2$)$_2$-NH-C(=O)-C$_6$H$_3$(3,4-Cl$_2$)
410	Ph-CH$_2$-	2	2	0	-	H	(S) -CH(CH$_2$CH(CH$_3$)$_2$)-NH-C(=O)-C$_6$H$_5$
411	Ph-CH$_2$-	2	2	0	-	H	(S) -CH(CH$_2$CH(CH$_3$)$_2$)-NH-C(=O)-naphthyl
412	Ph-CH$_2$-	2	2	0	-	H	(S) -CH(CH$_2$CH(CH$_3$)$_2$)-NH-C(=O)-C$_6$H$_4$(3-NO$_2$)
413	Ph-CH$_2$-	2	2	0	-	H	(S) -CH(CH$_2$CH(CH$_3$)$_2$)-NH-C(=O)-C$_6$H$_4$(4-CO$_2$CH$_3$)
414	Ph-CH$_2$-	2	2	0	-	H	(S) -CH(CH$_2$CH(CH$_3$)$_2$)-NH-C(=O)-C$_6$H$_4$(3-CF$_3$)
415	Ph-CH$_2$-	2	2	0	-	H	(S) -CH(CH$_2$CH(CH$_3$)$_2$)-NH-C(=O)-C$_6$H$_3$(3-CF$_3$,5-F)
416	Ph-CH$_2$-	2	2	0	-	H	(S) -CH(CH$_2$CH(CH$_3$)$_2$)-NH-C(=O)-C$_6$H$_4$(3-OCF$_3$)
417	Ph-CH$_2$-	2	2	0	-	H	(S) -CH(CH$_2$CH(CH$_3$)$_2$)-NH-C(=O)-C$_6$H$_4$(3-Br)
418	Ph-CH$_2$-	2	2	0	-	H	(S) -CH(CH$_2$CH(CH$_3$)$_2$)-NH-C(=O)-C$_6$H$_4$(3-Cl)

47

Table 1.39

Compd. No.	R^1, R^2, $-(CH_2)_j-$	k	m	n	chirality	R^3	$-(CH_2)_p-$, R^4, R^5, $-(CH_2)_q-G-R^6$
419	benzyl $-CH_2-$	2	2	0	–	H	(S) CH-NH-C(O)-C$_6$H$_4$-Br; CH$_2$CH(CH$_3$)$_2$
420	benzyl $-CH_2-$	2	2	0	–	H	(S) CH-NH-C(O)-C$_6$H$_3$(F)(F); CH$_2$CH(CH$_3$)$_2$
421	benzyl $-CH_2-$	2	2	0	–	H	(S) CH-NH-C(O)-C$_6$H$_3$(Cl)(Cl); CH$_2$CH(CH$_3$)$_2$
422	benzyl $-CH_2-$	2	2	0	–	H	(R) CH-NH-C(O)-C$_6$H$_5$; CH$_2$CH(CH$_3$)$_2$
423	benzyl $-CH_2-$	2	2	0	–	H	(R) CH-NH-C(O)-naphthyl; CH$_2$CH(CH$_3$)$_2$
424	benzyl $-CH_2-$	2	2	0	–	H	(R) CH-NH-C(O)-C$_6$H$_4$-NO$_2$; CH$_2$CH(CH$_3$)$_2$
425	benzyl $-CH_2-$	2	2	0	–	H	(R) CH-NH-C(O)-C$_6$H$_4$-CO$_2$CH$_3$; CH$_2$CH(CH$_3$)$_2$
426	benzyl $-CH_2-$	2	2	0	–	H	(R) CH-NH-C(O)-C$_6$H$_4$-CF$_3$; CH$_2$CH(CH$_3$)$_2$
427	benzyl $-CH_2-$	2	2	0	–	H	(R) CH-NH-C(O)-C$_6$H$_3$(CF$_3$)(F); CH$_2$CH(CH$_3$)$_2$
428	benzyl $-CH_2-$	2	2	0	–	H	(R) CH-NH-C(O)-C$_6$H$_4$-OCF$_3$; CH$_2$CH(CH$_3$)$_2$
429	benzyl $-CH_2-$	2	2	0	–	H	(R) CH-NH-C(O)-C$_6$H$_4$-Br; CH$_2$CH(CH$_3$)$_2$

Table 1.40

Compd. No.	R^1 R^2 $(CH_2)_j$-	k	m	n	chirality	R^3	$-(CH_2)_p$ R^4 R^5 $(CH_2)_q G-R^6$
430	⟨phenyl⟩-CH₂-	2	2	0	-	H	(R) CH-NH-C(O)-phenyl-Cl / CH₂CH(CH₃)₂
431	⟨phenyl⟩-CH₂-	2	2	0	-	H	(R) CH-NH-C(O)-phenyl-Br / CH₂CH(CH₃)₂
432	⟨phenyl⟩-CH₂-	2	2	0	-	H	(R) CH-NH-C(O)-phenyl-F,F / CH₂CH(CH₃)₂
433	⟨phenyl⟩-CH₂-	2	2	0	-	H	(R) CH-NH-C(O)-phenyl-Cl,Cl / CH₂CH(CH₃)₂
434	Cl-⟨phenyl⟩-CH₂-	1	3	1	-	H	-CH₂-NH-C(O)-phenyl
435	Cl-⟨phenyl⟩-CH₂-	1	3	1	-	H	-CH₂-NH-C(O)-naphthyl
436	Cl-⟨phenyl⟩-CH₂-	1	3	1	-	H	-CH₂-NH-C(O)-phenyl-NO₂
437	Cl-⟨phenyl⟩-CH₂-	1	3	1	-	H	-CH₂-NH-C(O)-phenyl-CO₂CH₃
438	Cl-⟨phenyl⟩-CH₂-	1	3	1	-	H	-CH₂-NH-C(O)-phenyl-CF₃
439	Cl-⟨phenyl⟩-CH₂-	1	3	1	-	H	-CH₂-NH-C(O)-phenyl-CF₃,F
440	Cl-⟨phenyl⟩-CH₂-	1	3	1	-	H	-CH₂-NH-C(O)-phenyl-OCF₃

Table 1.41

Compd. No.	$R^1R^2{>}{-}(CH_2)_r{-}$	k	m	n	chirality	R^3	$-(CH_2)_p{-}{\overset{R^4}{\underset{R^5}{C}}}{-}(CH_2)_q{-}G{-}R^6$
441	Cl—⬡—CH$_2$—	1	3	1	-	H	—CH$_2$—NH—CO—(3-Br-phenyl)
442	Cl—⬡—CH$_2$—	1	3	1	-	H	—CH$_2$—NH—CO—(3-Cl-phenyl)
443	Cl—⬡—CH$_2$—	1	3	1	-	H	—CH$_2$—NH—CO—(4-Br-phenyl)
444	Cl—⬡—CH$_2$—	1	3	1	-	H	—CH$_2$—NH—CO—(3,4-F$_2$-phenyl)
445	Cl—⬡—CH$_2$—	1	3	1	-	H	—CH$_2$—NH—CO—(3,4-Cl$_2$-phenyl)
446	Cl—⬡—CH$_2$—	1	3	1	-	H	—(CH$_2$)$_2$—NH—CO—phenyl
447	Cl—⬡—CH$_2$—	1	3	1	-	H	—(CH$_2$)$_2$—NH—CO—naphthyl
448	Cl—⬡—CH$_2$—	1	3	1	-	H	—(CH$_2$)$_2$—NH—CO—(3-NO$_2$-phenyl)
449	Cl—⬡—CH$_2$—	1	3	1	-	H	—(CH$_2$)$_2$—NH—CO—(4-CO$_2$CH$_3$-phenyl)
450	Cl—⬡—CH$_2$—	1	3	1	-	H	—(CH$_2$)$_2$—NH—CO—(3-CF$_3$-phenyl)
451	Cl—⬡—CH$_2$—	1	3	1	-	H	—(CH$_2$)$_2$—NH—CO—(3-CF$_3$-5-F-phenyl)

Table 1.42

Compd. No.	R^1 R^2 $>$ $(CH_2)_j-$	k	m	n	chirality	R^3	$-(CH_2)_p$ R^4 R^5 $(CH_2)_q-G-R^6$
452	Cl—⟨⟩—CH₂—	1	3	1	-	H	—(CH₂)₂—NH—C(=O)—⟨⟩—OCF₃
453	Cl—⟨⟩—CH₂—	1	3	1	-	H	—(CH₂)₂—NH—C(=O)—⟨⟩—Br
454	Cl—⟨⟩—CH₂—	1	3	1	-	H	—(CH₂)₂—NH—C(=O)—⟨⟩—Cl
455	Cl—⟨⟩—CH₂—	1	3	1	-	H	—(CH₂)₂—NH—C(=O)—⟨⟩—Br
456	Cl—⟨⟩—CH₂—	1	3	1	-	H	—(CH₂)₂—NH—C(=O)—⟨⟩—F,F
457	Cl—⟨⟩—CH₂—	1	3	1	-	H	—(CH₂)₂—NH—C(=O)—⟨⟩—Cl,Cl
458	Cl—⟨⟩—CH₂—	2	2	1	-	H	—CH₂—NH—C(=O)—⟨⟩
459	Cl—⟨⟩—CH₂—	2	2	1	-	H	—CH₂—NH—C(=O)—⟨⟩—CH₃
460	Cl—⟨⟩—CH₂—	2	2	1	-	H	—CH₂—NH—C(=O)—⟨⟩—CH₃
461	Cl—⟨⟩—CH₂—	2	2	1	-	H	—CH₂—NH—C(=O)—⟨⟩—CF₃
462	Cl—⟨⟩—CH₂—	2	2	1	-	H	—CH₂—NH—C(=O)—⟨⟩—CH₃

Table 1.43

Compd. No.	R^1 R^2 $-(CH_2)_j-$	k	m	n	chirality	R^3	$-(CH_2)_p\overset{R^4}{\underset{R^5}{\rule{0pt}{0pt}}}(CH_2)_q-G-R^6$
463	Cl–〈C6H4〉–CH₂–	2	2	1	-	H	–CH₂–N(CH₃)–CO–C₆H₅
464	Cl–〈C6H4〉–CH₂–	2	2	1	-	H	–CH₂–NH–CO–C₆H₂(OCH₃)₃ (3,4,5-trimethoxy)
465	Cl–〈C6H4〉–CH₂–	2	2	1	-	H	–CH₂–NH–CO–(3-pyridyl)
466	Cl–〈C6H4〉–CH₂–	2	2	1	-	H	–CH₂–NH–CO–C₆H₄–NO₂ (3-NO₂)
467	Cl–〈C6H4〉–CH₂–	2	2	1	-	H	–CH₂–NH–CO–C₆H₄–Br (3-Br)
468	Cl–〈C6H4〉–CH₂–	2	2	1	-	H	–CH₂–NH–CO–C₆H₄–N(CH₃)₂ (3-N(CH₃)₂)
469	Cl–〈C6H4〉–CH₂–	2	2	1	-	H	–CH₂–NH–CO–C₆H₄–OCH₃ (3-OCH₃)
470	Cl–〈C6H4〉–CH₂–	2	2	1	-	H	–CH₂–NH–CO–C₆H₄–CN (4-CN)
471	Cl–〈C6H4〉–CH₂–	2	2	1	-	H	–CH₂–NH–CO–C₆H₄–CO₂CH₃ (4-CO₂CH₃)
472	Cl–〈C6H4〉–CH₂–	2	2	1	-	H	–CH₂–NH–CO–C₆H₄–CO–C₆H₅ (4-benzoyl)
473	Cl–〈C6H4〉–CH₂–	2	2	1	-	H	–CH₂–NH–CO–C₆H₄–CO–CH₃ (4-acetyl)

52

Table 1.44

Compd. No.	$\dfrac{R^1}{R^2}$–(CH$_2$)$_j$–	k	m	n	chirality	R^3	–(CH$_2$)$_p$$\dfrac{R^4}{R^5}$(CH$_2$)$_q$–G–R^6
474	Cl—⬡—CH$_2$–	2	2	1	–	H	–CH$_2$–NH–CO—⬡—CF$_3$
475	Cl—⬡—CH$_2$–	2	2	1	–	H	–CH$_2$–NH–CO—⬡—CH(CH$_3$)$_2$
476	Cl—⬡—CH$_2$–	2	2	1	–	H	–CH$_2$–NH–CO—⬡—NO$_2$
477	Cl—⬡—CH$_2$–	2	2	1	–	H	–CH$_2$–NH–CO—⬡—OCH(CH$_3$)$_2$
478	Cl—⬡—CH$_2$–	2	2	1	–	H	–CH$_2$–NH–CO–(N-methylpyrrole, H$_3$C)
479	Cl—⬡—CH$_2$–	2	2	1	–	H	–CH$_2$–NH–CO–(furan)
480	Cl—⬡—CH$_2$–	2	2	1	–	H	–CH$_2$–NH–CO–(furan-Br)
481	Cl—⬡—CH$_2$–	2	2	1	–	H	–CH$_2$–NH–CO–(thiophene)
482	Cl—⬡—CH$_2$–	2	2	1	–	H	–CH$_2$–NH–CO–(3-methylthiophene, CH$_3$)
483	Cl—⬡—CH$_2$–	2	2	1	–	H	–CH$_2$–NH–CO–(thiophene-CH$_3$)
484	Cl—⬡—CH$_2$–	2	2	1	–	H	–CH$_2$–NH–CO–(indole, NH)

Table 1.45

Compd. No.	R^1R^2CH(CH$_2$)$_j$–	k	m	n	chirality	R^3	–(CH$_2$)$_p$CR^4R^5(CH$_2$)$_q$–G–R^6
485	Cl–⬡–CH$_2$–	2	2	1	-	H	–CH$_2$–NH–C(O)–benzene(3,5-diCF$_3$)
486	Cl–⬡–CH$_2$–	2	2	1	-	H	–CH$_2$–NH–C(O)–benzene(3-CN)
487	Cl–⬡–CH$_2$–	2	2	1	-	H	–CH$_2$–NH–C(O)–benzene(3-Cl)
488	Cl–⬡–CH$_2$–	2	2	1	-	H	–CH$_2$–NH–C(O)–benzene(3-NH$_2$)
489	Cl–⬡–CH$_2$–	2	2	1	-	H	–CH$_2$–NH–C(O)–benzene(5-CF$_3$,2-CF$_3$)
490	Cl–⬡–CH$_2$–	2	2	1	-	H	–CH$_2$–NH–C(O)–benzene(3-OCH$_2$CH$_3$)
491	Cl–⬡–CH$_2$–	2	2	1	-	H	–CH$_2$–NH–C(O)–benzene(2-F,3-CF$_3$)
492	Cl–⬡–CH$_2$–	2	2	1	-	H	–CH$_2$–NH–C(O)–benzene(3-OCF$_3$)
493	Cl–⬡–CH$_2$–	2	2	1	-	H	–CH$_2$–NH–C(O)–benzene(2-Cl,3-CF$_3$)
494	Cl–⬡–CH$_2$–	2	2	1	-	H	–CH$_2$–NH–C(O)–benzene(5-CF$_3$,2-F)
495	Cl–⬡–CH$_2$–	2	2	1	-	H	–CH$_2$–NH–C(O)–benzene(3-CF$_3$,5-F)

Table 1.46

Compd. No.	$\begin{matrix}R^1\\R^2\end{matrix}CH-$(CH$_2$)$_j$$-$	k	m	n	chirality	R³	$-$(CH$_2$)$_p$$\begin{matrix}R^4\\|\\R^5\end{matrix}$C(CH$_2$)$_q$$-G-$R⁶
496	Cl—⟨⟩—CH₂—	2	2	1	-	H	(image)
497	Cl—⟨⟩—CH₂—	2	2	1	-	H	(image)
498	Cl—⟨⟩—CH₂—	2	2	1	-	H	(image)
499	Cl—⟨⟩—CH₂—	2	2	1	-	H	(image)
500	Cl—⟨⟩—CH₂—	2	2	1	-	H	(image)
501	Cl—⟨⟩—CH₂—	2	2	1	-	H	(image)
502	Cl—⟨⟩—CH₂—	2	2	1	-	H	(image)
503	Cl—⟨⟩—CH₂—	2	2	1	-	H	(image)
504	Cl—⟨⟩—CH₂—	2	2	1	-	H	(image)
505	Cl—⟨⟩—CH₂—	2	2	1	-	H	(image)
506	Cl—⟨⟩—CH₂—	2	2	1	-	H	(image)

Table 1.47

Compd. No.	R^1R^2CH$(CH_2)_j$—	k	m	n	chirality	R^3	$-(CH_2)_p$C$R^4R^5$$(CH_2)_q$–G–$R^6$
507	Cl–C₆H₄–CH₂–	2	2	1	–	H	–CH₂–NH–CO– (3-methylfuran-2-yl)
508	Cl–C₆H₄–CH₂–	2	2	1	–	H	–CH₂–NH–CO– (benzothiophen-2-yl)
509	Cl–C₆H₄–CH₂–	2	2	1	–	H	–CH₂–NH–CO– (3-bromothiophen-2-yl)
510	Cl–C₆H₄–CH₂–	2	2	1	–	H	–CH₂–NH–CO– (4,5-dimethylfuran-2-yl)
511	Cl–C₆H₄–CH₂–	2	2	1	–	H	–CH₂–NH–CO– (5-tert-butylfuran-2-yl)
512	Cl–C₆H₄–CH₂–	2	2	1	–	H	–CH₂–NH–CO– (3-(1-cyanoethyl)phenyl)
513	Cl–C₆H₄–CH₂–	2	2	1	–	H	–CH₂–NH–CO– (3-acetylphenyl)
514	Cl–C₆H₄–CH₂–	2	2	1	–	H	–CH₂–NH–CO– (4-tert-butylphenyl)
515	Cl–C₆H₄–CH₂–	2	2	1	–	H	–CH₂–NH–CO– (4-hydroxymethylphenyl)
516	H₂N–C₆H₄–CH₂–	2	2	1	–	H	–CH₂–NH–CO– (3-trifluoromethylphenyl)
517	H₂N–C₆H₄–CH₂– (3-amino)	2	2	1	–	H	–CH₂–NH–CO– (3-trifluoromethylphenyl)

Table 1.48

Compd. No.	$R^1R^2C(CH_2)_j-$	k	m	n	chirality	R^3	$-(CH_2)_p C R^4 R^5 (CH_2)_q - G - R^6$
518		2	2	1	–	H	
519		2	2	1	–	H	
520		2	2	1	–	$-CH_3$	
521		2	2	1	–	$-(CH_2)_2$	
522		2	2	1	–	$-CH_2CH$	
523		2	2	1	–	$-(CH_2)_2CH$	
524		2	2	1	–	$-CH_2CH$	
525		2	2	1	–	H	
526		2	2	1	–	H	
527		2	2	1	–	H	
528		2	2	1	–	H	

Table 1.49

Compd. No.	R^1 R^2 $>$(CH$_2$)$_j$—	k	m	n	chirality	R^3	—(CH$_2$)$_p$—C(R^4)(R^5)—(CH$_2$)$_q$—G—R^6
529	Cl—⬡—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—CO—(furan)—NO$_2$
530	Cl—⬡—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—CO—(indole, N-benzyl)
531	Cl—⬡—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—CO—(thiophene)—OCH$_3$
532	Cl—⬡—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—CO—(furan)(CH$_3$)(H$_3$C)
533	Cl—⬡—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—CO—(furan)—H$_3$C
534	Cl—⬡—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—CO—(furan)(NO$_2$)(H$_3$C)
535	Cl—⬡—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—CO—(thiophene)—C(=O)—CH$_3$
536	Cl—⬡—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—CO—(pyrrole)(CH$_3$)(N-CH$_3$)(H$_3$C)
537	Cl—⬡—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—CO—(furan)—C(CH$_3$)$_3$, H$_3$C
538	Cl—⬡—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—CO—(furan)—C$_6$H$_5$, H$_3$C
539	Cl—⬡—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—CO—(furan)(CH$_3$)(F$_3$C)

Table 1.50

Compd. No.	R^1R^2—$(CH_2)_j$—	k	m	n	chirality	R^3	—$(CH_2)_p$—$\overset{R^4}{\underset{R^5}{C}}$—$(CH_2)_q$-G-$R^6$
540	Cl—⟨C6H4⟩—CH_2—	2	2	1	-	H	—CH_2—NH—C(O)—(1-methylindol-2-yl)
541	Cl—⟨C6H4⟩—CH_2—	2	2	1	-	H	—CH_2—NH—C(O)—(2-amino-5-nitrophenyl)
542	Cl—⟨C6H4⟩—CH_2—	2	2	1	-	H	—CH_2—NH—C(O)—(3-CH_2CH_3-phenyl)
543	Cl—⟨C6H4⟩—CH_2—	2	2	1	-	H	—CH_2—NH—C(O)—(4-CH_2CH_3-phenyl)
544	Cl—⟨C6H4⟩—CH_2—	2	2	1	-	H	—CH_2—NH—C(O)—(3-F-phenyl)
545	Cl—⟨C6H4⟩—CH_2—	2	2	1	-	H	—CH_2—NH—C(O)—(2,5-diCl-phenyl)
546	Cl—⟨C6H4⟩—CH_2—	2	2	1	-	H	—CH_2—NH—C(O)—(2,3-diCl-phenyl)
547	Cl—⟨C6H4⟩—CH_2—	2	2	1	-	H	—CH_2—NH—C(O)—(3,4-diCl-phenyl)
548	Cl—⟨C6H4⟩—CH_2—	2	2	1	-	H	—CH_2—NH—C(O)—(3,5-diCl-phenyl)
549	Cl—⟨C6H4⟩—CH_2—	2	2	1	-	H	—CH_2—NH—C(O)—(2-Cl-5-nitrophenyl)
550	Cl—⟨C6H4⟩—CH_2—	2	2	1	-	H	—CH_2—NH—C(O)—(3-Cl-2-nitrophenyl)

Table 1.51

Compd. No.	R^1 R^2 $>$ $(CH_2)_j-$	k	m	n	chirality	R^3	$-(CH_2)_p$ R^4 R^5 $(CH_2)_q-G-R^6$
551	Cl—⬡—CH₂—	2	2	1	-	H	—CH₂—NH—C(O)—CH₂—⬡—CH₃
552	Cl—⬡—CH₂—	2	2	1	-	H	—CH₂—NH—C(O)—CH₂—⬡—CF₃
553	Cl—⬡—CH₂—	2	2	1	-	H	—CH₂—NH—C(O)—CH₂—⬡(CF₃)(CF₃)
554	Cl—⬡—CH₂—	2	2	1	-	H	—CH₂—NH—C(O)—(indole)—F
555	Cl—⬡—CH₂—	2	2	1	-	H	—CH₂—NH—C(O)—(indole)—Cl
556	Cl—⬡—CH₂—	2	2	1	-	H	—CH₂—NH—C(O)—(indole)—CH₃
557	Cl—⬡—CH₂—	2	2	1	-	H	—(CH₂)₂—NH—C(O)—⬡
558	Cl—⬡—CH₂—	2	2	1	-	H	—CH(CH₃)—NH—C(O)—⬡
559	Cl—⬡—CH₂—	2	2	1	-	H	—CH(CH₃)—NH—C(O)—⬡(CF₃)(CF₃)
560	Cl—⬡—CH₂—	2	2	1	-	H	—CH(CH₃)—NH—C(O)—⬡—CN
561	Cl—⬡—CH₂—	2	2	1	-	H	—CH(CH₃)—NH—C(O)—⬡—Br

Table 1.52

Compd. No.	R^1 $\diagdown$ $R^2$$/$$(CH_2)_j{-}$	k	m	n	chirality	R^3	$-(CH_2)_p{-}$$\overset{R^4}{\underset{R^5}{C}}$$-(CH_2)_q{-}G{-}R^6$
562	Cl–⟨phenyl⟩–CH₂–	2	2	1	–	H	–CH(CH₃)–NH–CO–(3-Cl-phenyl)
563	Cl–⟨phenyl⟩–CH₂–	2	2	1	–	H	–CH(CH₃)–NH–CO–(2,5-bis(CF₃)-phenyl)
564	Cl–⟨phenyl⟩–CH₂–	2	2	1	–	H	–CH(CH₃)–NH–CO–(3-OCH₂CH₃-phenyl)
565	Cl–⟨phenyl⟩–CH₂–	2	2	1	–	H	–CH(CH₃)–NH–CO–(2-F-3-CF₃-phenyl)
566	Cl–⟨phenyl⟩–CH₂–	2	2	1	–	H	–CH(CH₃)–NH–CO–(3-OCF₃-phenyl)
567	Cl–⟨phenyl⟩–CH₂–	2	2	1	–	H	–CH(CH₃)–NH–CO–(2-Cl-5-CF₃-phenyl)
568	Cl–⟨phenyl⟩–CH₂–	2	2	1	–	H	–CH(CH₃)–NH–CO–(2-F-5-CF₃-phenyl)
569	Cl–⟨phenyl⟩–CH₂–	2	2	1	–	H	–CH(CH₃)–NH–CO–(3-CF₃-5-F-phenyl)
570	Cl–⟨phenyl⟩–CH₂–	2	2	1	–	H	–CH(CH₃)–NH–CO–(3-CF₃-4-F-phenyl)
571	Cl–⟨phenyl⟩–CH₂–	2	2	1	–	H	–CH(CH₃)–NH–CO–(2-OH-3-CH(CH₃)₂-phenyl)
572	Cl–⟨phenyl⟩–CH₂–	2	2	1	–	H	–CH(CH₃)–NH–CO–(2-NH₂-3-CF₃-phenyl)

61

Table 1.53

Compd. No.	R¹ R² (CH₂)ⱼ—	k	m	n	chirality	R³	—(CH₂)ₚ R⁴ R⁵ (CH₂)q—G—R⁶
573	Cl—⟨ ⟩—CH₂—	2	2	1	-	H	
574	Cl—⟨ ⟩—CH₂—	2	2	1	-	H	
575	Cl—⟨ ⟩—CH₂—	2	2	1	-	H	
576	Cl—⟨ ⟩—CH₂—	2	2	1	-	H	
577	Cl—⟨ ⟩—CH₂—	2	2	1	-	H	
578	Cl—⟨ ⟩—CH₂—	2	2	1	-	H	
579	Cl—⟨ ⟩—CH₂—	2	2	1	-	H	
580	Cl—⟨ ⟩—CH₂—	2	2	1	-	H	
581	Cl—⟨ ⟩—CH₂—	2	2	1	-	H	
582	Cl—⟨ ⟩—CH₂—	2	2	1	-	H	
583	Cl—⟨ ⟩—CH₂—	2	2	1	-	H	

Table 1.54

Compd. No.	$\begin{array}{c} R^1 \\ R^2 \end{array}\!\!\!>\!\!-(CH_2)_j-$	k	m	n	chirality	R³	$-(CH_2)_p\overset{R^4}{\underset{R^5}{\mid}}(CH_2)_q-G-R^6$
584	Cl—⟨◯⟩—CH₂–	2	2	1	-	H	
585	Cl—⟨◯⟩—CH₂–	2	2	1	-	H	
586	Cl—⟨◯⟩—CH₂–	2	2	1	-	H	
587	Cl—⟨◯⟩—CH₂–	2	2	1	-	H	
588	Cl—⟨◯⟩—CH₂–	2	2	1	-	H	
589	Cl—⟨◯⟩—CH₂–	2	2	1	-	H	
590	Cl—⟨◯⟩—CH₂–	2	2	1	-	H	
591	Cl—⟨◯⟩—CH₂–	2	2	1	-	H	
592	Cl—⟨◯⟩—CH₂–	2	2	1	-	H	
593	Cl—⟨◯⟩—CH₂–	2	2	1	-	H	
594	Cl—⟨◯⟩—CH₂–	2	2	1	-	H	

Table 1.55

Compd. No.	R^1 R^2 $(CH_2)_j$	k	m	n	chirality	R^3	$-(CH_2)_p$ R^4 R^5 $(CH_2)_q$ $G-R^6$
595	Cl—⬡—CH₂—	2	2	1	-	H	—CH(CH₃)NHC(O)—⬡—CO₂CH₃
596	Cl—⬡—CH₂—	2	2	1	-	H	—CH(CH₃)NHC(O)—⬡—C(O)CH₃
597	Cl—⬡—CH₂—	2	2	1	-	H	—CH(CH₃)NHC(O)—⬡—C(O)CH₃
598	Cl—⬡—CH₂—	2	2	1	-	H	—CH(CH₃)NHC(O)-furyl
599	Cl—⬡—CH₂—	2	2	1	-	H	—CH(CH₃)NHC(O)-(N-CH₃-pyrrolyl)
600	Cl—⬡—CH₂—	2	2	1	-	H	—CH(CH₃)NHC(O)-(Br-furyl)
601	Cl—⬡—CH₂—	2	2	1	-	H	—CH(CH₃)NHC(O)—⬡—OCH₃
602	Cl—⬡—CH₂—	2	2	1	-	H	—CH(CH₃)NHC(O)—⬡—N(CH₃)₂
603	Cl—⬡—CH₂—	2	2	1	-	H	—CH(CH₃)NHC(O)—⬡—NH₂
604	Cl—⬡—CH₂—	2	2	1	-	H	—CH(CH₃)NHC(O)-pyrrolyl(NH)
605	Cl—⬡—CH₂—	2	2	1	-	H	—CH(CH₃)NHC(O)-furyl

Table 1.56

Compd. No.	R^1 R^2 $\!>\!$ $(CH_2)_j-$	k	m	n	chirality	R^3	$-(CH_2)_p$ $\!-\!$ $\overset{R^4}{\underset{R^5}{C}}$ $\!-\!(CH_2)_q$ $\!-\!G\!-\!R^6$
606	Cl—⬡—CH$_2$–	2	2	1	–	H	
607	Cl—⬡—CH$_2$–	2	2	1	–	H	
608	Cl—⬡—CH$_2$–	2	2	1	–	H	
609	Cl—⬡—CH$_2$–	2	2	1	–	H	
610	Cl—⬡—CH$_2$–	2	2	1	–	H	
611	Cl—⬡—CH$_2$–	2	2	1	–	H	
612	Cl—⬡—CH$_2$–	2	2	1	–	H	
613	Cl—⬡—CH$_2$–	2	2	1	–	H	
614	Cl—⬡—CH$_2$–	2	2	1	–	H	
615	Cl—⬡—CH$_2$–	2	2	1	–	H	
616	Cl—⬡—CH$_2$–	2	2	1	–	H	

Table 1.57

Compd. No.	R^1R^2-(CH₂)ⱼ-	k	m	n	chirality	R^3	-(CH₂)ₚ-CR⁴R⁵-(CH₂)_q-G-R⁶
617	Cl-⟨C₆H₄⟩-CH₂-	2	2	1	-	H	-CH(CH₃)-NH-CO-C₆H₄-(3-CF₃)
618	Cl-⟨C₆H₄⟩-CH₂-	2	2	1	-	H	-CH(CH(CH₃)₂)-NH-CO-C₆H₅
619	Cl-⟨C₆H₄⟩-CH₂-	2	2	1	-	H	-CH(CH(CH₃)₂)-NH-CO-C₆H₄-(3-CN)
620	Cl-⟨C₆H₄⟩-CH₂-	2	2	1	-	H	-CH(CH(CH₃)₂)-NH-CO-C₆H₄-(3-Br)
621	Cl-⟨C₆H₄⟩-CH₂-	2	2	1	-	H	-CH(CH(CH₃)₂)-NH-CO-C₆H₄-(3-Cl)
622	Cl-⟨C₆H₄⟩-CH₂-	2	2	1	-	H	-CH(CH(CH₃)₂)-NH-CO-C₆H₄-(3-N(CH₃)₂)
623	Cl-⟨C₆H₄⟩-CH₂-	2	2	1	-	H	-CH(CH(CH₃)₂)-NH-CO-C₆H₄-(3-OCH₃)
624	Cl-⟨C₆H₄⟩-CH₂-	2	2	1	-	H	-CH(CH(CH₃)₂)-NH-CO-C₆H₄-(3-NO₂)
625	Cl-⟨C₆H₄⟩-CH₂-	2	2	1	-	H	-CH(CH(CH₃)₂)-NH-CO-C₆H₄-(3-NH₂)
626	Cl-⟨C₆H₄⟩-CH₂-	2	2	1	-	H	-CH(CH(CH₃)₂)-NH-CO-C₆H₃-(2-CF₃)(5-CF₃)
627	Cl-⟨C₆H₄⟩-CH₂-	2	2	1	-	H	-CH(CH(CH₃)₂)-NH-CO-C₆H₄-(3-OCH₂CH₃)

Table 1.58

Compd. No.	R^1R^2CH$-$(CH$_2$)$_j$$-$	k	m	n	chirality	R^3	$-$(CH$_2$)$_p$$-CR^4R^5$$-$(CH$_2$)$_q$$-G-R^6$
628	Cl-⬡-CH$_2$-	2	2	1	-	H	
629	Cl-⬡-CH$_2$-	2	2	1	-	H	
630	Cl-⬡-CH$_2$-	2	2	1	-	H	
631	Cl-⬡-CH$_2$-	2	2	1	-	H	
632	Cl-⬡-CH$_2$-	2	2	1	-	H	
633	Cl-⬡-CH$_2$-	2	2	1	-	H	
634	Cl-⬡-CH$_2$-	2	2	1	-	H	
635	Cl-⬡-CH$_2$-	2	2	1	-	H	
636	Cl-⬡-CH$_2$-	2	2	1	-	H	
637	Cl-⬡-CH$_2$-	2	2	1	-	H	
638	Cl-⬡-CH$_2$-	2	2	1	-	H	

Table 1.59

Compd. No.	R^1R^2CH–(CH$_2$)$_j$–	k	m	n	chirality	R^3	–(CH$_2$)$_p$–C(R^4)(R^5)–(CH$_2$)$_q$–G–R^6
639	Cl–C$_6$H$_4$–CH$_2$–	2	2	1	–	H	–CH(CH(CH$_3$)$_2$)–NH–C(=O)–C$_6$H$_4$–N(CH$_3$)$_2$
640	Cl–C$_6$H$_4$–CH$_2$–	2	2	1	–	H	–CH(CH(CH$_3$)$_2$)–NH–C(=O)–C$_6$H$_4$–OCH$_3$
641	Cl–C$_6$H$_4$–CH$_2$–	2	2	1	–	H	–CH(CH(CH$_3$)$_2$)–NH–C(=O)–C$_6$H$_4$–CO$_2$CH$_3$
642	Cl–C$_6$H$_4$–CH$_2$–	2	2	1	–	H	–CH(CH(CH$_3$)$_2$)–NH–C(=O)–C$_6$H$_4$–C(=O)–C$_6$H$_5$
643	Cl–C$_6$H$_4$–CH$_2$–	2	2	1	–	H	–CH(CH(CH$_3$)$_2$)–NH–C(=O)–C$_6$H$_4$–CF$_3$
644	Cl–C$_6$H$_4$–CH$_2$–	2	2	1	–	H	–CH(CH(CH$_3$)$_2$)–NH–C(=O)–C$_6$H$_4$–C(CH$_3$)$_3$
645	Cl–C$_6$H$_4$–CH$_2$–	2	2	1	–	H	–CH(CH(CH$_3$)$_2$)–NH–C(=O)–C$_6$H$_4$–NH$_2$
646	Cl–C$_6$H$_4$–CH$_2$–	2	2	1	–	H	–CH(CH(CH$_3$)$_2$)–NH–C(=O)–C$_6$H$_4$–CH$_2$OH
647	Cl–C$_6$H$_4$–CH$_2$–	2	2	1	–	H	–CH(CH(CH$_3$)$_2$)–NH–C(=O)–C$_6$H$_4$–C(=O)–CH$_3$
648	Cl–C$_6$H$_4$–CH$_2$–	2	2	1	–	H	–CH(CH(CH$_3$)$_2$)–NH–C(=O)–C$_6$H$_4$–CH(CH$_3$)$_2$
649	Cl–C$_6$H$_4$–CH$_2$–	2	2	1	–	H	–CH(CH(CH$_3$)$_2$)–NH–C(=O)–C$_6$H$_4$–OCH(CH$_3$)$_2$

Table 1.60

Compd. No.	R^1R^2C–(CH$_2$)$_j$–	k	m	n	chirality	R^3	–(CH$_2$)$_p$–CR^{4}R^5–(CH$_2$)$_q$–G–R^6
650	Cl–C$_6$H$_4$–CH$_2$–	2	2	1	–	H	–CH–NH–CO–(benzoylphenyl); CH(CH$_3$)$_2$
651	Cl–C$_6$H$_4$–CH$_2$–	2	2	1	–	H	–CH–NH–CO–(phenyl, CH(CN)CH$_3$); CH(CH$_3$)$_2$
652	Cl–C$_6$H$_4$–CH$_2$–	2	2	1	–	H	–CH–NH–CO–C$_6$H$_4$–NO$_2$; CH(CH$_3$)$_2$
653	Cl–C$_6$H$_4$–CH$_2$–	2	2	1	–	H	–CH–NH–CO–C$_6$H$_4$–O(CH$_2$)$_4$CH$_3$; CH(CH$_3$)$_2$
654	Cl–C$_6$H$_4$–CH$_2$–	2	2	1	–	H	–CH–NH–CO–C$_6$H$_4$–COCH$_3$; CH(CH$_3$)$_2$
655	Cl–C$_6$H$_4$–CH$_2$–	2	2	1	–	H	–CH–NH–CO–C$_6$H$_3$(H$_2$N)(CF$_3$); CH(CH$_3$)$_2$
656	Cl–C$_6$H$_4$–CH$_2$–	2	2	1	–	H	–CH–NH–CO–(furanyl); CH(CH$_3$)$_2$
657	Cl–C$_6$H$_4$–CH$_2$–	2	2	1	–	H	–CH–NH–CO–(thienyl); CH(CH$_3$)$_2$
658	Cl–C$_6$H$_4$–CH$_2$–	2	2	1	–	H	–CH–NH–CO–(indolyl, NH); CH(CH$_3$)$_2$
659	Cl–C$_6$H$_4$–CH$_2$–	2	2	1	–	H	–CH–NH–CO–(thienyl–NO$_2$); CH(CH$_3$)$_2$
660	Cl–C$_6$H$_4$–CH$_2$–	2	2	1	–	H	–CH–NH–CO–(indolyl, N–CH$_2$phenyl); CH(CH$_3$)$_2$

Table 1.61

Compd. No.	R^1R^2-(CH$_2$)$_k$-	k	m	n	chirality	R^3	-(CH$_2$)$_p$-R^4R^5-(CH$_2$)$_q$-G-R^6
661	Cl—⟨C$_6$H$_4$⟩—CH$_2$–	2	2	1	–	H	–CH(CH(CH$_3$)$_2$)–NH–CO–(thiophene, OCH$_3$)
662	Cl—⟨C$_6$H$_4$⟩—CH$_2$–	2	2	1	–	H	–CH(CH(CH$_3$)$_2$)–NH–CO–(2,5-dimethylfuran, CH$_3$)
663	Cl—⟨C$_6$H$_4$⟩—CH$_2$–	2	2	1	–	H	–CH(CH(CH$_3$)$_2$)–NH–CO–(methylfuran, CH$_3$)
664	Cl—⟨C$_6$H$_4$⟩—CH$_2$–	2	2	1	–	H	–CH(CH(CH$_3$)$_2$)–NH–CO–(furan, CH$_3$, NO$_2$)
665	Cl—⟨C$_6$H$_4$⟩—CH$_2$–	2	2	1	–	H	–CH(CH(CH$_3$)$_2$)–NH–CO–(thiophene, O-CH$_3$)
666	Cl—⟨C$_6$H$_4$⟩—CH$_2$–	2	2	1	–	H	–CH(CH(CH$_3$)$_2$)–NH–CO–(pyrrole, CH$_3$, N-CH$_3$, CH$_3$)
667	Cl—⟨C$_6$H$_4$⟩—CH$_2$–	2	2	1	–	H	–CH(CH(CH$_3$)$_2$)–NH–CO–(furan, CH$_3$, phenyl)
668	Cl—⟨C$_6$H$_4$⟩—CH$_2$–	2	2	1	–	H	–CH(CH(CH$_3$)$_2$)–NH–CO–(furan, CF$_3$, CH$_3$)
669	Cl—⟨C$_6$H$_4$⟩—CH$_2$–	2	2	1	–	H	–CH(CH(CH$_3$)$_2$)–NH–CO–(pyrrole, N-CH$_3$)
670	Cl—⟨C$_6$H$_4$⟩—CH$_2$–	2	2	1	–	H	–CH(CH(CH$_3$)$_2$)–NH–CO–(furan, Br)
671	Cl—⟨C$_6$H$_4$⟩—CH$_2$–	2	2	1	–	H	–CH(CH(CH$_3$)$_2$)–NH–CO–(furan, NO$_2$)

Table 1.62

Compd. No.	R^1R^2-$(CH_2)_j$-	k	m	n	chirality	R^3	$-(CH_2)_p$-R^4R^5-$(CH_2)_q$-G-R^6
672	Cl—⟨⟩—CH₂–	2	2	1	-	H	–CH–NH–C(=O)–pyrrole, CH(CH₃)₂
673	Cl—⟨⟩—CH₂–	2	2	1	-	H	–CH–NH–C(=O)–thiophene, C(CH₃)₂
674	Cl—⟨⟩—CH₂–	2	2	1	-	H	–CH–NH–C(=O)–(3-CH₃-thiophene), CH(CH₃)₂
675	Cl—⟨⟩—CH₂–	2	2	1	-	H	–CH–NH–C(=O)–(5-CH₃-thiophene), C(CH₃)₂
676	Cl—⟨⟩—CH₂–	2	2	1	-	H	–CH–NH–C(=O)–indole, CH(CH₃)₂
677	Cl—⟨⟩—CH₂–	2	2	1	-	H	–CH–NH–C(=O)–(N-CH₃-indole), CH(CH₃)₂
678	Cl—⟨⟩—CH₂–	2	2	1	-	H	–CH–NH–C(=O)–(3-CH₃-furan), CH(CH₃)₂
679	Cl—⟨⟩—CH₂–	2	2	1	-	H	–CH–NH–C(=O)–benzothiophene, CH(CH₃)₂
680	Cl—⟨⟩—CH₂–	2	2	1	-	H	–CH–NH–C(=O)–(5-Br-thiophene), CH(CH₃)₂
681	Cl—⟨⟩—CH₂–	2	2	1	-	H	–CH–NH–C(=O)–(4,5-diCH₃-furan), CH(CH₃)₂
682	Cl—⟨⟩—CH₂–	2	2	1	-	H	–CH–NH–C(=O)–(5-C(CH₃)₃-furan), CH(CH₃)₂

Table 1.63

Compd. No.	R^1R^2-(CH$_2$)$_j$-	k	m	n	chirality	R^3	-(CH$_2$)$_p$-R^4R^5-(CH$_2$)$_q$-G-R^6
683	Cl-⟨C$_6$H$_4$⟩-CH$_2$-	2	2	1	-	H	-CH(CH(CH$_3$)$_2$)-NH-C(=O)-thiophene-SCH$_3$
684	Cl-⟨C$_6$H$_4$⟩-CH$_2$-	2	2	1	-	H	-CH(CH(CH$_3$)$_2$)-NH-C(=O)-thiophene-S(=O)$_2$-CH(CH$_3$)$_2$
685	Cl-⟨C$_6$H$_4$⟩-CH$_2$-	2	2	1	-	H	-CH(CH(CH$_3$)$_2$)-NH-C(=O)-thiophene-S(=O)$_2$-CH$_3$
686	Cl-⟨C$_6$H$_4$⟩-CH$_2$-	2	2	1	-	H	-CH(CH$_2$CH(CH$_3$)$_2$)-NH-C(=O)-C$_6$H$_5$
687	Cl-⟨C$_6$H$_4$⟩-CH$_2$-	2	2	1	-	H	-CH(pyrrolidine)-N-C(=O)-C$_6$H$_5$
688	Cl-⟨C$_6$H$_4$⟩-CH$_2$-	2	2	1	-	H	-CH(pyrrolidine)-N-C(=O)-C$_6$H$_3$(CF$_3$)$_2$
689	Cl-⟨C$_6$H$_4$⟩-CH$_2$-	2	2	1	-	H	-CH(pyrrolidine)-N-C(=O)-C$_6$H$_4$-CN
690	Cl-⟨C$_6$H$_4$⟩-CH$_2$-	2	2	1	-	H	-CH(pyrrolidine)-N-C(=O)-C$_6$H$_4$-Br
691	Cl-⟨C$_6$H$_4$⟩-CH$_2$-	2	2	1	-	H	-CH(pyrrolidine)-N-C(=O)-C$_6$H$_4$-N(CH$_3$)$_2$
692	Cl-⟨C$_6$H$_4$⟩-CH$_2$-	2	2	1	-	H	-CH(pyrrolidine)-N-C(=O)-C$_6$H$_4$-OCH$_3$
693	Cl-⟨C$_6$H$_4$⟩-CH$_2$-	2	2	1	-	H	-CH(pyrrolidine)-N-C(=O)-C$_6$H$_3$(CF$_3$)$_2$

Table 1.64

Compd. No.	R^1, R^2 $(CH_2)_j$	k	m	n	chirality	R^3	$(CH_2)_p$ R^4, R^5 $(CH_2)_q$ G R^6
694	Cl—⟨⟩—CH_2–	2	2	1	-	H	
695	Cl—⟨⟩—CH_2–	2	2	1	-	H	
696	Cl—⟨⟩—CH_2–	2	2	1	-	H	
697	Cl—⟨⟩—CH_2–	2	2	1	-	H	
698	Cl—⟨⟩—CH_2–	2	2	1	-	H	
699	Cl—⟨⟩—CH_2–	2	2	1	-	H	
700	Cl—⟨⟩—CH_2–	2	2	1	-	H	
701	Cl—⟨⟩—CH_2–	2	2	1	-	H	
702	Cl—⟨⟩—CH_2–	2	2	1	-	H	
703	Cl—⟨⟩—CH_2–	2	2	1	-	H	
704	Cl—⟨⟩—CH_2–	2	2	1	-	H	

Table 1.65

Compd. No.	R^1 R^2 $(CH_2)_j$—	k	m	n	chirality	R^3	—$(CH_2)_p$ R^4 R^5 $(CH_2)_q$—G—R^6
705	Cl—⬡—CH₂—	2	2	1	-	H	(structure)
706	Cl—⬡—CH₂—	2	2	1	-	H	(structure)
707	Cl—⬡—CH₂—	2	2	1	-	H	(structure)
708	Cl—⬡—CH₂—	2	2	1	-	H	(structure)
709	Cl—⬡—CH₂—	2	2	1	-	H	(structure)
710	Cl—⬡—CH₂—	2	2	1	-	H	(structure)
711	Cl—⬡—CH₂—	2	2	1	-	H	(structure)
712	Cl—⬡—CH₂—	2	2	1	-	H	(structure)
713	Cl—⬡—CH₂—	2	2	1	-	H	(structure)
714	Cl—⬡—CH₂—	2	2	1	-	H	(structure)
715	Cl—⬡—CH₂—	2	2	1	-	H	(structure)

Table 1.66

Compd. No.	R^1R^2-CH-$(CH_2)_j$-	k	m	n	chirality	R^3	$-(CH_2)_p$-CR^4R^5-$(CH_2)_q$-G-R^6
716	Cl-⟨C6H4⟩-CH$_2$-	2	2	1	-	H	
717	Cl-⟨C6H4⟩-CH$_2$-	2	2	1	-	H	
718	Cl-⟨C6H4⟩-CH$_2$-	2	2	1	-	H	
719	Cl-⟨C6H4⟩-CH$_2$-	2	2	1	-	H	
720	Cl-⟨C6H4⟩-CH$_2$-	2	2	1	-	H	
721	Cl-⟨C6H4⟩-CH$_2$-	2	2	1	-	H	
722	Cl-⟨C6H4⟩-CH$_2$-	2	2	1	-	H	
723	Cl-⟨C6H4⟩-CH$_2$-	2	2	1	-	H	
724	Cl-⟨C6H4⟩-CH$_2$-	2	2	1	-	H	
725	Cl-⟨C6H4⟩-CH$_2$-	2	2	1	-	H	
726	Cl-⟨C6H4⟩-CH$_2$-	2	2	1	-	H	

Table 1.67

Compd. No.	R^1 R^2—(CH$_2$)$_j$—	k	m	n	chirality	R^3	—(CH$_2$)$_p$ R^4 R^5—(CH$_2$)$_q$—G—R^6
727	Cl—⟨ ⟩—CH$_2$—	2	2	1	-	H	
728	Cl—⟨ ⟩—CH$_2$—	2	2	1	-	H	
729	Cl—⟨ ⟩—CH$_2$—	2	2	1	-	H	
730	Cl—⟨ ⟩—CH$_2$—	2	2	1	-	H	
731	Cl—⟨ ⟩—CH$_2$—	2	2	1	-	H	
732	Cl—⟨ ⟩—CH$_2$—	2	2	1	-	H	
733	Cl—⟨ ⟩—CH$_2$—	2	2	1	-	H	
734	Cl—⟨ ⟩—CH$_2$—	2	2	1	-	H	
735	Cl—⟨ ⟩—CH$_2$—	2	2	1	-	H	
736	Cl—⟨ ⟩—CH$_2$—	2	2	1	-	H	
737	Cl—⟨ ⟩—CH$_2$—	2	2	1	-	H	

Table 1.68

Compd. No.	R^1R^2CH$-$(CH$_2$)$_j$$-$	k	m	n	chirality	R^3	$-$(CH$_2$)$_p$$-CR^4R^5$$-$(CH$_2$)$_q$$-G-$R^6
738	Cl$-$C$_6$H$_4$$-CH_2$$-$	2	2	1	-	H	pyrrolidinyl-C(O)-(2,5-dimethylfuran)
739	Cl$-$C$_6$H$_4$$-CH_2$$-$	2	2	1	-	H	pyrrolidinyl-C(O)-(indole)
740	Cl$-$C$_6$H$_4$$-CH_2$$-$	2	2	1	-	H	pyrrolidinyl-C(O)-(5-nitro-2-methylfuran)
741	Cl$-$C$_6$H$_4$$-CH_2$$-$	2	2	1	-	H	pyrrolidinyl-C(O)-(5-nitrothiophene)
742	Cl$-$C$_6$H$_4$$-CH_2$$-$	2	2	1	-	H	pyrrolidinyl-C(O)-(methoxythiophene)
743	Cl$-$C$_6$H$_4$$-CH_2$$-$	2	2	1	-	H	pyrrolidinyl-C(O)-(furan)
744	Cl$-$C$_6$H$_4$$-CH_2$$-$	2	2	1	-	H	pyrrolidinyl-C(O)-(methylphenyl)
745	Cl$-$C$_6$H$_4$$-CH_2$$-$	2	2	1	-	H	pyrrolidinyl-C(O)-(5-tert-butylfuran)
746	Cl$-$C$_6$H$_4$$-CH_2$$-$	2	2	1	-	H	pyrrolidinyl-C(O)-(trimethylpyrrole)
747	Cl$-$C$_6$H$_4$$-CH_2$$-$	2	2	1	-	H	pyrrolidinyl-C(O)-(5-methyl-trifluoromethylfuran)
748	Cl$-$C$_6$H$_4$$-CH_2$$-$	2	2	1	-	H	pyrrolidinyl-C(O)-(thiophene)

Table 1.69

Compd. No.	$R^1R^2{>}(CH_2)_j{-}$	k	m	n	chirality	R^3	${-}(CH_2)_p{-}^{R^4}_{R^5}{-}(CH_2)_q{-}G{-}R^6$
749	Cl—⬡—CH₂–	2	2	1	-	H	
750	Cl—⬡—CH₂–	2	2	1	-	H	
751	Cl—⬡—CH₂–	2	2	1	-	H	
752	Cl—⬡—CH₂–	2	2	1	-	H	
753	Cl—⬡—CH₂–	2	2	1	-	H	
754	Cl—⬡—CH₂–	2	2	1	-	H	
755	Cl—⬡—CH₂–	2	2	1	-	H	
756	Cl—⬡—CH₂–	2	2	1	-	H	
757	Cl—⬡—CH₂–	2	2	1	-	H	
758	Cl—⬡—CH₂–	2	2	1	-	H	
759	Cl—⬡—CH₂–	2	2	1	-	H	

Table 1.70

Compd. No.	$\dfrac{R^1}{R^2}$C$-$(CH$_2$)$_j$$-$	k	m	n	chirality	R^3	$-$(CH$_2$)$_p$$\dfrac{R^4}{R^5}C-$(CH$_2$)$_q$$-G-$R^6
760	Cl$-$⬡$-$CH$_2$$-$	2	2	1	-	H	
761	Cl$-$⬡$-$CH$_2$$-$	2	2	1	-	H	
762	Cl$-$⬡$-$CH$_2$$-$	2	2	1	-	H	
763	Cl$-$⬡$-$CH$_2$$-$	2	2	1	-	H	
764	Cl$-$⬡$-$CH$_2$$-$	2	2	1	-	H	
765	Cl$-$⬡$-$CH$_2$$-$	2	2	1	-	H	
766	Cl$-$⬡$-$CH$_2$$-$	2	2	1	-	H	
767	Cl$-$⬡$-$CH$_2$$-$	2	2	1	-	H	
768	Cl$-$⬡$-$CH$_2$$-$	2	2	1	-	H	
769	Cl$-$⬡$-$CH$_2$$-$	2	2	1	-	H	
770	Cl$-$⬡$-$CH$_2$$-$	2	2	1	-	H	

Table 1.71

Compd. No.	R^1, R^2 (CH$_2$)$_j$	k	m	n	chirality	R^3	$-(CH_2)_p$, R^4, R^5, $(CH_2)_q-G-R^6$
771	Cl—⬡—CH$_2$—	2	2	1	-	H	
772	Cl—⬡—CH$_2$—	2	2	1	-	H	
773	Cl—⬡—CH$_2$—	2	2	1	-	H	
774	Cl—⬡—CH$_2$—	2	2	1	-	H	
775	Cl—⬡—CH$_2$—	2	2	1	-	H	
776	Cl—⬡—CH$_2$—	2	2	1	-	H	
777	Cl—⬡—CH$_2$—	2	2	1	-	H	
778	Cl—⬡—CH$_2$—	2	2	1	-	H	
779	Cl—⬡—CH$_2$—	2	2	1	-	H	
780	Cl—⬡—CH$_2$—	2	2	1	-	H	
781	Cl—⬡—CH$_2$—	2	2	1	-	H	

Table 1.72

Compd. No.	R^1, R^2, $(CH_2)_j$—	k	m	n	chirality	R^3	$-(CH_2)_p\underset{R^5}{\overset{R^4}{C}}(CH_2)_q$-G-R^6
782	Cl—〈 〉—CH₂–	2	2	1	-	H	—C(CH₃)₂–NH–C(O)–C₆H₄(3-OCH₃)
783	Cl—〈 〉—CH₂–	2	2	1	-	H	—C(CH₃)₂–NH–C(O)–C₆H₄(3-OCH₂CH₃)
784	Cl—〈 〉—CH₂–	2	2	1	-	H	—C(CH₃)₂–NH–C(O)–CH₂–C₆H₄(3-CF₃)
785	Cl—〈 〉—CH₂–	2	2	1	-	H	—C(CH₃)₂–NH–C(O)–C₆H₃(3,5-OCH₃)
786	Cl—〈 〉—CH₂–	2	2	1	-	H	—C(cyclopropyl)–NH–C(O)–C₆H₅
787	Cl—〈 〉—CH₂–	2	2	1	-	H	—C(cyclopropyl)–NH–C(O)–C₆H₄(3-CH₃)
788	Cl—〈 〉—CH₂–	2	2	1	-	H	—C(cyclopropyl)–NH–C(O)–C₆H₄(3-CF₃)
789	Cl—〈 〉—CH₂–	2	2	1	-	H	—C(cyclopropyl)–NH–C(O)–C₆H₄(SO₂CH₃)
790	Cl—〈 〉—CH₂–	2	2	1	-	H	—C(cyclopropyl)–NH–C(O)–C₆H₄(3-Cl)
791	Cl—〈 〉—CH₂–	2	2	1	-	H	—C(cyclopropyl)–NH–C(O)–C₆H₄(3-NO₂)
792	Cl—〈 〉—CH₂–	2	2	1	-	H	—C(cyclopropyl)–NH–C(O)–C₆H₄(3-OCF₃)

Table 1.73

Compd. No.	R^1,R^2–(CH$_2$)$_k$–	k	m	n	chirality	R^3	–(CH$_2$)$_p$–C(R^4)(R^5)–(CH$_2$)$_q$–G–R^6
793	Cl–⟨C$_6$H$_4$⟩–CH$_2$–	2	2	1	–	H	cyclopropyl–NH–C(O)–phenyl(3-CF$_3$, 4-F)
794	Cl–⟨C$_6$H$_4$⟩–CH$_2$–	2	2	1	–	H	cyclopropyl–NH–C(O)–phenyl(3-CF$_3$, 5-F)
795	Cl–⟨C$_6$H$_4$⟩–CH$_2$–	2	2	1	–	H	cyclopropyl–NH–C(O)–phenyl(4-CF$_3$)
796	Cl–⟨C$_6$H$_4$⟩–CH$_2$–	2	2	1	–	H	cyclopropyl–NH–C(O)–thienyl(SCH$_3$)
797	Cl–⟨C$_6$H$_4$⟩–CH$_2$–	2	2	1	–	H	cyclopropyl–NH–C(O)–furyl(CH$_3$, C(CH$_3$)$_3$)
798	Cl–⟨C$_6$H$_4$⟩–CH$_2$–	2	2	1	–	H	cyclopropyl–NH–C(O)–furyl(CH$_3$, phenyl)
799	Cl–⟨C$_6$H$_4$⟩–CH$_2$–	2	2	1	–	H	cyclopropyl–NH–C(O)–furyl(CF$_3$, CH$_3$)
800	Cl–⟨C$_6$H$_4$⟩–CH$_2$–	2	2	1	–	H	cyclopropyl–NH–C(O)–phenyl(NO$_2$, Cl)
801	Cl–⟨C$_6$H$_4$⟩–CH$_2$–	2	2	1	–	H	cyclopropyl–NH–C(O)–indolyl
802	Cl–⟨C$_6$H$_4$⟩–CH$_2$–	2	2	1	–	H	cyclopropyl–NH–C(O)–phenyl(3-OCH$_3$)
803	Cl–⟨C$_6$H$_4$⟩–CH$_2$–	2	2	1	–	H	cyclopropyl–NH–C(O)–phenyl(3-OCH$_2$CH$_3$)

Table 1.74

Compd. No.	R^1 R^2 $-(CH_2)_j-$	k	m	n	chirality	R^3	$-(CH_2)_p-$ R^4 R^5 $-(CH_2)_q-G-R^6$
804	Cl—⟨C₆H₄⟩—CH₂—	2	2	1	-	H	(structure: CF₃-phenyl amide)
805	Cl—⟨C₆H₄⟩—CH₂—	2	2	1	-	H	(structure: 3,5-diOCH₃-phenyl amide)
806	Cl—⟨C₆H₄⟩—CH₂—	2	2	1	-	H	(structure: Br-phenyl amide)
807	Cl—⟨C₆H₄⟩—CH₂—	2	2	1	-	H	(structure: indole amide)
808	Cl—⟨C₆H₄⟩—CH₂—	2	2	1	-	H	(structure: CH₃-phenyl amide)
809	Cl—⟨C₆H₄⟩—CH₂—	2	2	1	-	H	(structure: Cl-phenyl amide)
810	Cl—⟨C₆H₄⟩—CH₂—	2	2	1	-	H	(structure: CH₃-furyl-phenyl amide)
811	Cl—⟨C₆H₄⟩—CH₂—	2	2	1	-	H	(structure: Cl,NO₂-phenyl amide)
812	Cl—⟨C₆H₄⟩—CH₂—	2	2	1	-	H	(structure: SCH₃-thienyl amide)
813	Cl—⟨C₆H₄⟩—CH₂—	2	2	1	-	H	(structure: CF₃-phenyl amide)
814	Cl—⟨C₆H₄⟩—CH₂—	2	2	1	-	H	(structure: OCF₃-phenyl amide)

Table 1.75

Compd. No.	$\begin{array}{c}R^1\\R^2\end{array}\!\!>\!\!(CH_2)_j-$	k	m	n	chirality	R^3	$-(CH_2)_p\overset{R^4}{\underset{R^5}{C}}(CH_2)_q-G-R^6$
815	Cl—⬡—CH₂—	2	2	1	-	H	—CH—NH—C(O)—benzene(CF₃, F); (CH₂)₂—C(O)—NH₂
816	Cl—⬡—CH₂—	2	2	1	-	H	—CH—NH—C(O)—benzene(CF₃); (CH₂)₂—C(O)—NH₂
817	Cl—⬡—CH₂—	2	2	1	-	H	—CH—NH—C(O)—benzene(CF₃, F); (CH₂)₂—C(O)—NH₂
818	Cl—⬡—CH₂—	2	2	1	-	H	—CH—NH—C(O)—benzene(Br); (CH₂)₂—C(O)—NH₂
819	Cl—⬡—CH₂—	2	2	1	-	H	—CH—NH—C(O)—benzene(CF₃, CF₃); (CH₂)₂—C(O)—NH₂
820	Cl—⬡—CH₂—	2	2	1	-	H	—CH—NH—C(O)—benzene(NO₂); (CH₂)₂—C(O)—NH₂
821	Cl—⬡—CH₂—	2	2	1	-	H	—CH—NH—C(O)—benzene(NO₂, Cl); CH₂OCH₃
822	Cl—⬡—CH₂—	2	2	1	-	H	—CH—NH—C(O)—thiophene(SCH₃); CH₂OCH₃
823	Cl—⬡—CH₂—	2	2	1	-	H	—CH—NH—C(O)—indole; CH₂OCH₃
824	Cl—⬡—CH₂—	2	2	1	-	H	—CH—NH—C(O)—furan(CH₃, C(CH₃)₃); CH₂OCH₃
825	Cl—⬡—CH₂—	2	2	1	-	H	—CH—NH—C(O)—furan(CH₃, phenyl); CH₂OCH₃

Table 1.76

Compd. No.	R^1 R^2 $>$ (CH$_2$)$_j$—	k	m	n	chirality	R^3	—(CH$_2$)$_p$ R^4 / R^5 (CH$_2$)$_q$—G—R^6
826	Cl—⟨◯⟩—CH$_2$—	2	2	1	-	H	—CH(CH$_2$OCH$_3$)—NH—CO—(furan with CF$_3$, CH$_3$)
827	Cl—⟨◯⟩—CH$_2$—	2	2	1	-	H	—CH(CH$_2$OCH$_3$)—NH—CO—(indole-NH)
828	Cl—⟨◯⟩—CH$_2$—	2	2	1	-	H	—CH(CH$_2$OCH$_3$)—NH—CO—(phenyl-OCF$_3$)
829	Cl—⟨◯⟩—CH$_2$—	2	2	1	-	H	—CH(CH$_2$OCH$_3$)—NH—CO—(phenyl-CF$_3$, F)
830	Cl—⟨◯⟩—CH$_2$—	2	2	1	-	H	—CH(CH$_2$OCH$_3$)—NH—CO—(phenyl-CF$_3$, F)
831	Cl—⟨◯⟩—CH$_2$—	2	2	1	-	H	—CH(CH$_2$OCH$_3$)—NH—CO—(phenyl-Br)
832	Cl—⟨◯⟩—CH$_2$—	2	2	1	-	H	—CH(CH$_2$OCH$_3$)—NH—CO—(phenyl-Cl)
833	Cl—⟨◯⟩—CH$_2$—	2	2	1	-	H	—CH(CH$_2$OCH$_3$)—NH—CO—(phenyl-NO$_2$)
834	Cl—⟨◯⟩—CH$_2$—	2	2	1	-	H	—CH(CH$_2$OCH$_3$)—NH—CO—(phenyl-CF$_3$)
835	Cl—⟨◯⟩—CH$_2$—	2	2	1	-	H	—CH(CH$_2$OCH$_3$)—NH—CO—(phenyl)
836	Cl—⟨◯⟩—CH$_2$—	2	2	1	-	H	—CH(CH$_2$OCH$_3$)—NH—CO—(phenyl-CH$_3$)

Table 1.77

Compd. No.	R^1 R^2 $\mathrm{-(CH_2)_j-}$	k	m	n	chirality	R^3	$\mathrm{-(CH_2)_p}\overset{R^4}{\underset{R^5}{C}}\mathrm{(CH_2)_q-G-R^6}$
837	Cl—⟨⟩—CH₂—	2	2	1	-	H	—CH—NH—C(=O)—⟨CF₃⟩ ; CH₂OCH₃
838	Cl—⟨⟩—CH₂—	2	2	1	-	H	—CH—NH—C(=O)—⟨OCH₂CH₃⟩ ; CH₂OCH₃
839	Cl—⟨⟩—CH₂—	2	2	1	-	H	—CH—NH—C(=O)—⟨OCH₃, OCH₃, OCH₃⟩ ; CH₂OCH₃
840	Cl—⟨⟩—CH₂—	2	2	1	-	H	—(CH₂)₃—C(=O)—⟨⟩
841	Cl—⟨⟩—CH₂—	2	2	1	-	H	—(CH₂)₂—C(=O)—⟨⟩
842	Cl—⟨⟩—CH₂—	2	2	1	-	H	—(CH₂)₂—C(=O)—⟨⟩—Cl
843	Cl—⟨⟩—CH₂—	2	2	1	-	H	—(CH₂)₂—C(=O)—⟨CH₃, H₃C⟩
844	Cl—⟨⟩—CH₂—	2	2	1	-	H	—(CH₂)₂—C(=O)—⟨⟩—CH₃
845	Cl—⟨⟩—CH₂—	2	2	1	-	H	—(CH₂)₂—C(=O)—⟨⟩—S(=O)₂—CH₃
846	Cl—⟨⟩—CH₂—	2	2	1	-	H	—(CH₂)₂—C(=O)—⟨⟩—O—⟨⟩
847	Cl—⟨⟩—CH₂—	2	2	1	-	H	—(CH₂)₂—C(=O)—⟨F, OCH₃⟩

Table 1.78

Compd. No.	R¹/R²–(CH₂)ⱼ–	k	m	n	chirality	R³	–(CH₂)ₚ–(R⁴/R⁵)–(CH₂)q–G–R⁶
848	Cl–⬡–CH₂–	2	2	1	–	H	–(CH₂)₂–C(=O)–(2,4-dimethylphenyl)
849	Cl–⬡–CH₂–	2	2	1	–	H	–(CH₂)₂–C(=O)–(2,5-dimethoxyphenyl)
850	Cl–⬡–CH₂–	2	2	1	–	H	–CH₂–S(=O)₂–(4-CH₃-phenyl)
851	Cl–⬡–CH₂–	2	2	1	–	H	–CH₂–NH–C(=O)–NH–(3-CF₃-phenyl)
852	Cl–⬡–CH₂–	2	2	1	–	H	–CH₂–NH–C(=O)–NH–(4-CF₃-phenyl)
853	Cl–⬡–CH₂–	2	2	1	–	H	–CH₂–NH–C(=O)–NH–phenyl
854	Cl–⬡–CH₂–	2	2	1	–	H	–CH₂–NH–C(=O)–NH–(3-CH₃-phenyl)
855	Cl–⬡–CH₂–	2	2	1	–	H	–CH₂–NH–C(=O)–NH–(4-CH₃-phenyl)
856	Cl–⬡–CH₂–	2	2	1	–	H	–CH₂–NH–C(=O)–NH–(3-COCH₃-phenyl)
857	Cl–⬡–CH₂–	2	2	1	–	H	–CH₂–NH–C(=O)–NH–(3-OCH₃-phenyl)
858	Cl–⬡–CH₂–	2	2	1	–	H	–CH₂–NH–C(=O)–NH–(4-OCH₃-phenyl)

Table 1.79

Compd. No.	R^1 $>$ $(CH_2)_j$— R^2	k	m	n	chirality	R^3	—$(CH_2)_p$ R^4 $>$ —$(CH_2)_q$—G—R^6 R^5
859	Cl—⬡—CH₂—	2	2	1	-	H	—CH₂—NH—C(=O)—NH—(3-Cl-C₆H₄)
860	Cl—⬡—CH₂—	2	2	1	-	H	—CH₂—NH—C(=O)—NH—(3-CN-C₆H₄)
861	Cl—⬡—CH₂—	2	2	1	-	H	—CH₂—NH—C(=S)—NH—C₆H₅
862	Cl—⬡—CH₂—	2	2	1	-	H	—CH₂—NH—C(=S)—NH—(4-CH₃-C₆H₄)
863	Cl—⬡—CH₂—	2	2	1	-	H	—CH₂—NH—C(=S)—NH—(3-OCH₃-C₆H₄)
864	Cl—⬡—CH₂—	2	2	1	-	H.	—CH₂—NH—C(=S)—NH—(4-OCH₃-C₆H₄)
865	Cl—⬡—CH₂—	2	2	1	-	H	—CH₂—NH—SO₂—(4-CH₃-C₆H₄)
866	Cl—⬡—CH₂—	2	2	1	-	H	—CH₂—NH—SO₂—(3-CF₃-C₆H₄)
867	Cl—⬡—CH₂—	2	2	1	-	H	—CH₂—NH—SO₂—(3,5-(CF₃)₂-C₆H₃)
868	Cl—⬡—CH₂—	2	2	1	-	H	—CH₂—NH—SO₂—(4-CH₂CH₃-C₆H₄)
869	Cl—⬡—CH₂—	2	2	1	-	H	—CH₂—NH—SO₂—(4-CH(CH₃)₂-C₆H₄)

Table 1.80

Compd. No.	$\begin{matrix} R^1 \\ R^2 \end{matrix}$ CH$-$(CH$_2$)$_j-$	k	m	n	chirality	R^3	$-$(CH$_2$)$_p$ $\begin{matrix} R^4 \\ \overset{\displaystyle\vert}{\underset{\displaystyle\vert}{C}} \\ R^5 \end{matrix}$ (CH$_2$)$_q$G$-$R^6
870	Cl-⟨⟩-CH$_2-$	2	2	1	-	H	$-$CH$_2-$NH$-$SO$_2-$⟨⟩-CH$_3$
871	Cl-⟨⟩-CH$_2-$	2	2	1	-	H	$-$CH$_2-$NH$-$SO$_2-$⟨⟩-(CH$_2$)$_3$CH$_3$
872	Cl-⟨⟩-CH$_2-$	2	2	1	-	H	$-$CH$_2-$NH$-$SO$_2-$⟨⟩
873	Cl-⟨⟩-CH$_2-$	2	2	1	-	H	$-$CH$_2-$NH$-$C(O)$-$O$-$CH$_2-$⟨⟩
874	Cl-⟨⟩-CH$_2-$	2	2	1	-	H	$-$CH(CH$_3$)$-$O$-$C(O)$-$NH$-$⟨⟩-Cl
875	⟨⟩-CH$_2-$	2	2	1	-	H	$-$CH$_2-$NH$-$C(O)$-$⟨⟩-CF$_3$
876	Br-⟨⟩-CH$_2-$	2	2	1	-	H	$-$CH$_2-$NH$-$C(O)$-$⟨⟩-CF$_3$
877	NC-⟨⟩-CH$_2-$	2	2	1	-	H	$-$CH$_2-$NH$-$C(O)$-$⟨⟩-CF$_3$
878	O$_2$N-⟨⟩-CH$_2-$	2	2	1	-	H	$-$CH$_2-$NH$-$C(O)$-$⟨⟩-CF$_3$
879	(O-CH$_2$-O benzodioxole)-CH$_2-$	2	2	1	-	H	$-$CH$_2-$NH$-$C(O)$-$⟨⟩-CF$_3$
880	(O-CH$_2$-O benzodioxole)-CH$_2-$	2	2	1	-	H	$-$CH$_2-$NH$-$C(O)$-$⟨⟩-CF$_3$

89

Table 1.81

Compd. No.	R^1, R^2—(CH$_2$)$_j$—	k	m	n	chirality	R^3	—(CH$_2$)$_p$—R^4, R^5—(CH$_2$)$_q$—G—R^6
881	(2-Br-phenyl)-CH$_2$–	2	2	1	–	H	–CH$_2$–NH–CO–(3-CF$_3$-phenyl)
882	(phenoxy-phenyl)-CH$_2$–	2	2	1	–	H	–CH$_2$–NH–CO–(3-CF$_3$-phenyl)
883	(2-Cl-phenyl)-CH$_2$–	2	2	1	–	H	–CH$_2$–NH–CO–(3-CF$_3$-phenyl)
884	H$_3$C.C(O)–NH–(phenyl)-CH$_2$–	2	2	1	–	H	–CH$_2$–NH–CO–(3-CF$_3$-phenyl)
885	H$_3$C–S(O)$_2$–(phenyl)-CH$_2$–	2	2	1	–	H	–CH$_2$–NH–CO–(3-CF$_3$-phenyl)
886	F–(phenyl)-CH$_2$–	2	2	1	–	H	–CH$_2$–NH–CO–(3-CF$_3$-phenyl)
887	F$_3$C–(phenyl)-CH$_2$–	2	2	1	–	H	–CH$_2$–NH–CO–(3-CF$_3$-phenyl)
888	HO–(phenyl)-CH$_2$–	2	2	1	–	H	–CH$_2$–NH–CO–(3-CF$_3$-phenyl)
889	(biphenyl)-CH$_2$–	2	2	1	–	H	–CH$_2$–NH–CO–(3-CF$_3$-phenyl)
890	(naphthyl)-CH$_2$–	2	2	1	–	H	–CH$_2$–NH–CO–(3-CF$_3$-phenyl)
891	(2,4-diCl-phenyl)-CH$_2$–	2	2	1	–	H	–CH$_2$–NH–CO–(3-CF$_3$-phenyl)

Table 1.82

Compd. No.	R^1 R^2 $\rangle$C$\langle$(CH$_2$)$_j$—	k	m	n	chirality	R^3	—(CH$_2$)$_p$—CR^{4}R^5—(CH$_2$)$_q$—G—R^6
892	H$_3$CO—C$_6$H$_4$—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—CO—C$_6$H$_4$—CF$_3$
893	O$_2$N—C$_6$H$_4$—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—CO—C$_6$H$_4$—CF$_3$
894	HO,CH$_3$,H$_3$C,CH$_3$-substituted phenyl—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—CO—C$_6$H$_4$—CF$_3$
895	C$_6$H$_5$—(CH$_2$)$_2$—	2	2	1	-	H	—CH$_2$—NH—CO—C$_6$H$_4$—CF$_3$
896	CN—C$_6$H$_4$—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—CO—C$_6$H$_4$—CF$_3$
897	HO$_2$C—C$_6$H$_4$—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—CO—C$_6$H$_4$—CF$_3$
898	HO$_2$C—C$_6$H$_4$—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—CO—C$_6$H$_4$—CF$_3$
899	OCH$_3$—C$_6$H$_4$—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—CO—C$_6$H$_4$—CF$_3$
900	H$_3$CO$_2$C—C$_6$H$_4$—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—CO—C$_6$H$_4$—CF$_3$
901	(C$_6$H$_5$)$_2$CH—	2	2	1	-	H	—CH$_2$—NH—CO—C$_6$H$_4$—CF$_3$
902	O$_2$N,O$_2$N—C$_6$H$_3$—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—CO—C$_6$H$_4$—CF$_3$

Table 1.83

Compd. No.	R^1, R^2—$(CH_2)_j$—	k	m	n	chirality	R^3	—$(CH_2)_p$—R^4, R^5—$(CH_2)_q$—G—R^6
903	H$_3$CO—, OCH$_3$ (ring)—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(O)—(3-CF$_3$-phenyl)
904	HO—(ring)—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(O)—(3-CF$_3$-phenyl)
905	O$_2$N—(thiophene, S)—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(O)—(3-CF$_3$-phenyl)
906	(phenyl)—(CH$_2$)$_3$—	2	2	1	-	H	—CH$_2$—NH—C(O)—(3-CF$_3$-phenyl)
907	(diphenyl)CH(CH$_2$)$_2$—	2	2	1	-	H	—CH$_2$—NH—C(O)—(3-CF$_3$-phenyl)
908	(phenyl)—NH—C(O)—(ring)—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(O)—(3-CF$_3$-phenyl)
909	(phenyl)—NH—C(O)—(ring)—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(O)—(3-CF$_3$-phenyl)
910	Cl, Cl—(ring)—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(O)—(3-CF$_3$-phenyl)
911	Cl—(ring)—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(O)—(3-CF$_3$-phenyl)
912	Br, Br—(ring)—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(O)—(3-CF$_3$-phenyl)
913	H$_3$CO—(ring)—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(O)—(3-CF$_3$-phenyl)

Table 1.84

Compd. No.	R^1 R^2 $-(CH_2)_j-$	k	m	n	chirality	R^3	$-(CH_2)_p-$ R^4 R^5 $-(CH_2)_q-G-R^6$
914	⟨⟩-CH₂O-⟨⟩-CH₂-	2	2	1	-	H	-CH₂-N(H)-C(O)-⟨⟩-CF₃
915	⟨⟩-CH(OH)CH₂-	2	2	1	-	H	-CH₂-N(H)-C(O)-⟨⟩-CF₃
916	(N)⟨⟩-CH₂-	2	2	1	-	H	-CH₂-N(H)-C(O)-⟨⟩-CF₃
917	(N)⟨⟩-CH₂-	2	2	1	-	H	-CH₂-N(H)-C(O)-⟨⟩-CF₃
918	H₃CO₂C-CH₂-⟨⟩-CH₂-	2	2	1	-	H	-CH₂-N(H)-C(O)-⟨⟩-CF₃
919	H₃C-⟨⟩-CH₂-	2	2	1	-	H	-CH₂-N(H)-C(O)-⟨⟩-CF₃
920	(OCF₃)⟨⟩-CH₂-	2	2	1	-	H	-CH₂-N(H)-C(O)-⟨⟩-CF₃
921	⟨⟨⟩⟩-CH₂-	2	2	1	-	H	-CH₂-N(H)-C(O)-⟨⟩-CF₃
922	▷-CH₂-	2	2	1	-	H	-CH₂-N(H)-C(O)-⟨⟩-CF₃
923	Cl-⟨⟩-CH(-⟨⟩)-	2	2	1	-	H	-CH₂-N(H)-C(O)-⟨⟩-CF₃
924	H₂N-C(O)-⟨⟩-CH₂-	2	2	1	-	H	-CH₂-N(H)-C(O)-⟨⟩-CF₃

Table 1.85

Compd. No.	R¹R²〉(CH₂)ⱼ—	k	m	n	chirality	R³	—(CH₂)ₚ—R⁴R⁵—(CH₂)q—G—R⁶
925	H₂N–C(O)–C₆H₄–CH₂–	2	2	1	-	H	–CH₂–NH–C(O)–C₆H₄(CF₃)
926	C₆H₅–CH₂–C₆H₄–CH₂–	2	2	1	-	H	–CH₂–NH–C(O)–C₆H₄(CF₃)
927	F₃CO–C₆H₄–CH₂–	2	2	1	-	H	–CH₂–NH–C(O)–C₆H₄(CF₃)
928	F₃CO–C₆H₄–CH₂–	2	2	1	-	H	–CH₂–NH–C(O)–C₆H₄(CF₃)
929	H₃CS–C₆H₄–CH₂–	2	2	1	-	H	–CH₂–NH–C(O)–C₆H₄(CF₃)
930	CH₃–C₆H₄–CH₂–	2	2	1	-	H	–CH₂–NH–C(O)–C₆H₄(CF₃)
931	NC–C₆H₄–CH₂–	2	2	1	-	H	–CH₂–NH–C(O)–C₆H₄(CF₃)
932	Cl,NO₂–C₆H₃–CH₂–	2	2	1	-	H	–CH₂–NH–C(O)–C₆H₄(CF₃)
933	C₆H₅–CH(CH₃)–	2	2	1	-	H	–CH₂–NH–C(O)–C₆H₄(CF₃)
934	(pyridin-2-yl)–CH₂–	2	2	1	-	H	–CH₂–NH–C(O)–C₆H₄(CF₃)
935	O₂N–C₆H₄–CH₂–	2	2	1	-	H	–CH₂–NH–C(O)–C₆H₄(CF₃)

Table 1.86

Compd. No.	$R^1\!\!\diagdown\!\!\diagup(CH_2)_k\!\!-$ R^2	k	m	n	chirality	R^3	$-(CH_2)_p\overset{R^4}{\underset{R^5}{|}}(CH_2)_q\!-G\!-\!R^6$
936	(2-nitrobenzyl) $-CH_2-$	2	2	1	-	H	$-CH_2-N(H)-C(O)-$ (3-CF$_3$ phenyl)
937	$(H_3C)_2N-$(phenyl)$-CH_2-$	2	2	1	-	H	$-CH_2-N(H)-C(O)-$ (3-CF$_3$ phenyl)
938	(4-Cl, 2-F benzyl) $-CH_2-$	2	2	1	-	H	$-CH_2-N(H)-C(O)-$ (3-CF$_3$ phenyl)
939	(O$_2$N, Cl benzyl) $-CH_2-$	2	2	1	-	H	$-CH_2-N(H)-C(O)-$ (3-CF$_3$ phenyl)
940	(2-OH benzyl) $-CH_2-$	2	2	1	-	H	$-CH_2-N(H)-C(O)-$ (3-CF$_3$ phenyl)
941	(F$_3$C, Cl benzyl) $-CH_2-$	2	2	1	-	H	$-CH_2-N(H)-C(O)-$ (3-CF$_3$ phenyl)
942	Cl$-$(phenyl)$-CH_2-$	2	2	1	-	H	$-CH(CH(CH_3)_2)-N(H)-C(O)-$ (3,5-CF$_3$ phenyl)
943	Cl$-$(phenyl)$-CH_2-$	1	4	0	-	H	$-CH_2-N(H)-C(O)-$ (3-CF$_3$ phenyl)
944	Cl$-$(phenyl)$-CH_2-$	1	4	0	-	H	$-CH_2-N(H)-C(O)-$ (3-CH$_3$ phenyl)
945	Cl$-$(phenyl)$-CH_2-$	1	4	0	-	H	$-CH_2-N(H)-C(O)-$ (3-NO$_2$ phenyl)
946	Cl$-$(phenyl)$-CH_2-$	1	4	0	-	H	$-(CH_2)_2-N(H)-C(O)-$ (4-NO$_2$ phenyl)

Table 1.87

Compd. No.	R^1 R^2 $\rangle$(CH₂)ⱼ—	k	m	n	chirality	R^3	—(CH₂)ₚ $\overset{R^4}{\underset{R^5}{|}}$(CH₂)_q—G—R⁶
947	Cl—⟨phenyl⟩—CH₂—	1	4	0	-	H	—(CH₂)₂—NH—C(=O)—⟨3,4-(OCH₃)₂-phenyl⟩
948	Cl—⟨phenyl⟩—CH₂—	1	4	0	-	H	—(CH₂)₃—C(=O)—NH—⟨3-Cl-phenyl⟩
949	Cl—⟨phenyl⟩—CH₂—	1	4	0	-	H	—(CH₂)₃—C(=O)—NH—CH₂—⟨phenyl⟩
950	Cl—⟨phenyl⟩—CH₂—	0	4	1	-	H	—CH₂—NH—C(=O)—⟨phenyl⟩
951	Cl—⟨phenyl⟩—CH₂—	1	2	0	R	H	—CH₂—NH—C(=O)—⟨phenyl⟩—O—C(=O)—CH₃
952	Cl—⟨phenyl⟩—CH₂—	1	2	0	R	H	—CH₂—NH—C(=O)—⟨phenyl⟩—N(CH₃)₂
953	Cl—⟨phenyl⟩—CH₂—	1	2	0	R	H	—(CH₂)₂—NH—C(=O)—⟨phenyl⟩—N(CH₃)₂
954	Cl—⟨phenyl⟩—CH₂—	1	2	0	R	H	—CH₂—NH—C(=O)—⟨2-(H₃C—NH)-phenyl⟩
955	Cl—⟨phenyl⟩—CH₂—	1	2	0	R	H	—(CH₂)₂—NH—C(=O)—⟨2-(H₃C—NH)-phenyl⟩
956	Cl—⟨phenyl⟩—CH₂—	1	2	0	R	H	—(CH₂)₂—NH—C(=O)—⟨2-HO-phenyl⟩
957	Cl—⟨phenyl⟩—CH₂—	1	2	0	R	H	—CH₂—NH—C(=O)—⟨3-OH-phenyl⟩

Table 1.88

Compd. No.	R^1R^2 >(CH₂)ⱼ–	k	m	n	chirality	R^3	$-(CH_2)_p\overset{R^4}{\underset{R^5}{C}}(CH_2)_q-G-R^6$
958	Cl—⟨ ⟩—CH₂–	1	2	0	R	H	(structure)
959	Cl—⟨ ⟩—CH₂–	1	2	0	R	H	(structure)
960	Cl—⟨ ⟩—CH₂–	1	2	0	R	H	(structure)
961	Cl—⟨ ⟩—CH₂–	1	2	0	R	H	(structure)
962	Cl—⟨ ⟩—CH₂–	1	2	0	R	H	(structure)
963	Cl—⟨ ⟩—CH₂–	1	2	0	R	H	(structure)
964	Cl—⟨ ⟩—CH₂–	1	2	0	R	H	(structure)
965	Cl—⟨ ⟩—CH₂–	1	2	0	R	H	(structure)
966	Cl—⟨ ⟩—CH₂–	1	2	0	R	H	(structure)
967	Cl—⟨ ⟩—CH₂–	1	2	0	R	H	(structure)
968	Cl—⟨ ⟩—CH₂–	1	2	0	R	H	(structure)

Table 1.89

Compd. No.	R^1 R^2—$(CH_2)_j$—	k	m	n	chirality	R^3	—$(CH_2)_p$—$\overset{R^4}{\underset{R^5}{C}}$—$(CH_2)_q$—G—$R^6$
969	Cl—⟨C₆H₄⟩—CH₂—	1	2	0	R	H	—(CH₂)₂—NH—C(O)— (1H-indazol-5-yl)
970	Cl—⟨C₆H₄⟩—CH₂—	1	2	0	R	H	—CH₂—NH—C(O)—C₆H₄—N(CH₃)₂
971	Cl—⟨C₆H₄⟩—CH₂—	1	2	0	R	H	—(CH₂)₂—NH—C(O)—C₆H₄—N(CH₃)₂
972	Cl—⟨C₆H₄⟩—CH₂—	1	2	0	R	H	—CH₂—NH—C(O)—C₆H₄—NH₂
973	Cl—⟨C₆H₄⟩—CH₂—	1	2	0	R	H	—(CH₂)₂—NH—C(O)—C₆H₄—NH₂
974	Cl—⟨C₆H₄⟩—CH₂—	1	2	0	R	H	—CH₂—NH—C(O)—C₆H₄—NH₂
975	Cl—⟨C₆H₄⟩—CH₂—	1	2	0	R	H	—(CH₂)₂—NH—C(O)—C₆H₄—NH₂
976	Cl—⟨C₆H₄⟩—CH₂—	1	2	0	R	H	—CH₂—NH—C(O)— (1H-indol-4-yl)
977	Cl—⟨C₆H₄⟩—CH₂—	1	2	0	R	H	—(CH₂)₂—NH—C(O)— (1H-indol-4-yl)
978	Cl—⟨C₆H₄⟩—CH₂—	1	2	0	R	H	—CH₂—NH—C(O)— (1H-indazol-5-yl)
979	Cl—⟨C₆H₄⟩—CH₂—	1	2	0	R	H	—(CH₂)₂—NH—C(O)— (1H-indazol-5-yl)

Table 1.90

Compd. No.	$R^1 R^2$>(CH$_2$)$_j$—	k	m	n	chirality	R^3	—(CH$_2$)$_p$$R^4 R^5$<(CH$_2$)$_q$-G-$R^6$
980	Cl—⟨⟩—CH$_2$—	1	2	0	R	H	—CH$_2$-N(H)-C(O)-phenyl-HN-C(O)-CH$_3$
981	Cl—⟨⟩—CH$_2$—	1	2	0	R	H	—(CH$_2$)$_2$-N(H)-C(O)-phenyl-HN-C(O)-CH$_3$
982	Cl—⟨⟩—CH$_2$—	1	2	0	R	H	—CH$_2$-N(H)-C(O)-phenyl-(H$_3$C)$_2$N
983	Cl—⟨⟩—CH$_2$—	1	2	0	R	H	—(CH$_2$)$_2$-N(H)-C(O)-phenyl-(H$_3$C)$_2$N
984	Cl—⟨⟩—CH$_2$—	1	2	0	R	H	—CH$_2$-N(H)-C(O)-phenyl-CH$_2$OH
985	Cl—⟨⟩—CH$_2$—	1	2	0	R	H	—(CH$_2$)$_2$-N(H)-C(O)-phenyl-CH$_2$OH
986	Cl—⟨⟩—CH(phenyl)—	1	2	0	R	H	—CH$_2$-N(H)-C(O)-phenyl-CF$_3$
987	(phenyl)$_2$CH-CH$_2$—	2	2	1	-	H	—CH$_2$-N(H)-C(O)-phenyl-CF$_3$
988	Cl—⟨⟩—CH$_2$—	1	4	0	-	H	—CH$_2$-N(H)-C(O)-phenyl-CF$_3$
989	Cl—⟨⟩—CH$_2$—	1	4	0	-	H	—CH$_2$-N(H)-C(O)-O-CH$_2$-phenyl
990	Cl—⟨⟩—CH$_2$—	1	4	0	-	H	—CH$_2$-N(H)-C(O)-phenyl

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Table 1.91

Compd. No.	R¹R²(CH₂)ⱼ	k	m	n	chirality	R³	—(CH₂)ₚC(R⁴R⁵)(CH₂)q—G—R⁶
991	Cl—⬡—CH₂–	1	4	0	-	H	–(CH₂)₂C(=O)–C₆H₅
992	Cl—⬡—CH₂–	1	4	0	-	H	–(CH₂)₂C(=O)–C₆H₃(OCH₃)(OCH₃)
993	Cl—⬡—CH₂–	1	4	0	-	H	–(CH₂)₂C(=O)–C₆H₃(CH₃)(CH₃)
994	Cl—⬡—CH₂–	1	4	0	-	H	–(CH₂)₃C(=O)–C₆H₅
995	Cl—⬡—CH₂–	1	4	0	-	H	–(CH₂)₃C(=O)–C₆H₄–OCH₃
996	Cl—⬡—CH₂–	1	4	0	-	H	–(CH₂)₃C(=O)–NH–(6-CH₃-pyridin-2-yl)
997	Cl—⬡—CH₂–	2	2	1	-	H	–CH(CH₂CH(CH₃)₂)–NH–C(=O)–C₆H₅
998	Cl—⬡—CH₂–	2	2	1	-	H	–CH(CH₂CH(CH₃)₂)–NH–C(=O)–C₆H₄–CF₃
999	Cl—⬡—CH₂–	2	2	1	-	H	–CH(CH₂CH(CH₃)₂)–NH–C(=O)–C₆H₄–CH₃
1000	Cl—⬡—CH₂–	2	2	1	-	H	–CH(CH₂CH(CH₃)₂)–NH–C(=O)–C₆H₄–OCH₃
1001	Cl—⬡—CH₂–	2	2	1	-	H	–CH(CH₂CH(CH₃)₂)–NH–C(=O)–C₆H₄–OCH₂CH₃

Table 1.92

Compd. No.	R^1R^2(CH$_2$)$_j$—	k	m	n	chirality	R^3	—(CH$_2$)$_p$—C(R^4)(R^5)—(CH$_2$)$_q$—G—R^6
1002	Cl—C$_6$H$_4$—CH$_2$—	2	2	1	-	H	—CH(CH$_2$CH(CH$_3$)$_2$)NHCO—C$_6$H$_4$—OCF$_3$
1003	Cl—C$_6$H$_4$—CH$_2$—	2	2	1	-	H	—CH(CH$_2$CH(CH$_3$)$_2$)NHCO—C$_6$H$_4$—CH$_2$CH$_3$
1004	Cl—C$_6$H$_4$—CH$_2$—	2	2	1	-	H	—CH(CH$_2$CH(CH$_3$)$_2$)NHCO—C$_6$H$_3$(OCH$_3$)$_2$
1005	Cl—C$_6$H$_4$—CH$_2$—	2	2	1	-	H	—CH(CH$_2$CH(CH$_3$)$_2$)NHCO—C$_6$H$_2$(OCH$_3$)$_3$
1006	Cl—C$_6$H$_4$—CH$_2$—	2	2	1	-	H	—CH(CH$_2$CH(CH$_3$)$_2$)NHCO—C$_6$H$_3$(OCH$_2$CH$_3$)$_2$
1007	Cl—C$_6$H$_4$—CH$_2$—	2	2	1	-	H	—CH(CH$_2$CH(CH$_3$)$_2$)NHCO—C$_6$H$_2$(OCH$_2$CH$_3$)$_3$
1008	Cl—C$_6$H$_4$—CH$_2$—	2	2	1	-	H	—CH((CH$_2$)$_2$C(O)NH$_2$)NHCO—C$_6$H$_5$
1009	Cl—C$_6$H$_4$—CH$_2$—	2	2	1	-	H	—CH((CH$_2$)$_2$C(O)NH$_2$)NHCO—C$_6$H$_4$—OCH$_3$
1010	Cl—C$_6$H$_4$—CH$_2$—	2	2	1	-	H	—CH((CH$_2$)$_2$C(O)NH$_2$)NHCO—C$_6$H$_4$—OCH$_2$CH$_3$
1011	Cl—C$_6$H$_4$—CH$_2$—	2	2	1	-	H	—CH((CH$_2$)$_2$C(O)NH$_2$)NHCO—C$_6$H$_4$—CH$_2$CH$_3$
1012	Cl—C$_6$H$_4$—CH$_2$—	2	2	1	-	H	—CH((CH$_2$)$_2$C(O)NH$_2$)NHCO—C$_6$H$_3$(OCH$_3$)$_2$

Table 1.93

Compd. No.	R^1, R^2 $(CH_2)_j$	k	m	n	chirality	R^3	$-(CH_2)_p$ R^4 R^5 $(CH_2)_q$ $G-R^6$
1013	Cl—⟨ ⟩—CH₂—	2	2	1	–	H	(structure)
1014	Cl—⟨ ⟩—CH₂—	2	2	1	–	H	(structure)
1015	Cl—⟨ ⟩—CH₂—	2	2	1	–	H	(structure)
1016	Cl—⟨ ⟩—CH₂—	2	2	0	–	H	(structure)
1017	Cl—⟨ ⟩—CH₂—	2	2	0	–	H	(structure)
1018	Cl—⟨ ⟩—CH₂—	2	2	1	–	H	(structure)
1019	Cl—⟨ ⟩—CH₂—	2	2	1	–	H	(structure)
1020	Cl—⟨ ⟩—CH₂—	2	2	1	–	H	(structure)
1021	Cl—⟨ ⟩—CH₂—	2	2	1	–	H	(structure)
1022	Cl—⟨ ⟩—CH₂—	2	2	1	–	H	(structure)
1023	Cl—⟨ ⟩—CH₂—	2	2	1	–	H	(structure)

Table 1.94

Compd. No.	R^1 R^2 $\rangle$(CH$_2$)$_j$–	k	m	n	chirality	R^3	$-(CH_2)_p \overset{R^4}{\underset{R^5}{\mid}}(CH_2)_q\text{-G-}R^6$
1024	Cl–⟨C$_6$H$_4$⟩–CH$_2$–	2	2	1	–	H	(S) –CH–NH–CO–C$_6$H$_2$(OCH$_3$)(OCH$_3$)(OCH$_3$), CH$_3$
1025	Cl–⟨C$_6$H$_4$⟩–CH$_2$–	2	2	1	–	H	(S) –CH–NH–CO–C$_6$H$_3$(OCH$_2$CH$_3$)(OCH$_2$CH$_3$), CH$_3$
1026	Cl–⟨C$_6$H$_4$⟩–CH$_2$–	2	2	1	–	H	(S) –CH–NH–CO–C$_6$H$_2$(OCH$_2$CH$_3$)(OCH$_2$CH$_3$)(OCH$_2$CH$_3$), CH$_3$
1027	Cl–⟨C$_6$H$_4$⟩–CH$_2$–	2	2	1	–	H	(S) –CH–NH–CO–C$_6$H$_3$(OCH$_2$CH$_3$)(OCH$_3$), CH$_3$
1028	Cl–⟨C$_6$H$_4$⟩–CH$_2$–	2	2	1	–	H	(S) –CH–NH–CO–C$_6$H$_3$(OCH$_2$CF$_3$)(OCH$_2$CF$_3$), CH$_3$
1029	Cl–⟨C$_6$H$_4$⟩–CH$_2$–	2	2	1	–	H	(S) –CH–NH–CO–C$_6$H$_4$(OCH$_2$CH$_3$), CH$_3$
1030	Cl–⟨C$_6$H$_4$⟩–CH$_2$–	2	2	1	–	H	(S) –CH–NH–CO–C$_6$H$_4$(OCF$_3$), CH$_3$
1031	Cl–⟨C$_6$H$_4$⟩–CH$_2$–	2	2	1	–	H	(S) –CH–NH–CO–C$_6$H$_4$(OCH$_3$), CH$_3$
1032	Cl–⟨C$_6$H$_4$⟩–CH$_2$–	2	2	1	–	H	(R) –CH–NH–CO–C$_6$H$_3$(OCH$_3$)(OCH$_3$), CH$_3$
1033	Cl–⟨C$_6$H$_4$⟩–CH$_2$–	2	2	1	–	H	(R) –CH–NH–CO–C$_6$H$_4$(CH$_2$CH$_3$), CH$_3$
1034	Cl–⟨C$_6$H$_4$⟩–CH$_2$–	2	2	1	–	H	(R) –CH–NH–CO–C$_6$H$_2$(OCH$_3$)(OCH$_3$)(OCH$_3$), CH$_3$

Table 1.95

Compd. No.	$R^1,R^2{-}(CH_2)_j{-}$	k	m	n	chirality	R^3	${-}(CH_2)_p{-}\overset{R^4}{\underset{R^5}{\mid}}{-}(CH_2)_q{-}G{-}R^6$
1035	Cl–⟨C₆H₄⟩–CH₂–	2	2	1	–	H	(R) –CH(CH₃)–NH–CO–⟨2-OCH₂CH₃, 4-OCH₂CH₃-C₆H₃⟩
1036	Cl–⟨C₆H₄⟩–CH₂–	2	2	1	–	H	(R) –CH(CH₃)–NH–CO–⟨2-OCH₂CH₃, 3-OCH₂CH₃, 4-OCH₂CH₃-C₆H₂⟩
1037	Cl–⟨C₆H₄⟩–CH₂–	2	2	1	–	H	(R) –CH(CH₃)–NH–CO–⟨3-OCH₂CH₃, 4-OCH₃-C₆H₃⟩
1038	Cl–⟨C₆H₄⟩–CH₂–	2	2	1	–	H	(R) –CH(CH₃)–NH–CO–⟨2-OCH₂CF₃, 5-OCH₂CF₃-C₆H₃⟩
1039	Cl–⟨C₆H₄⟩–CH₂–	2	2	1	–	H	(R) –CH(CH₃)–NH–CO–⟨3-OCH₂CH₃-C₆H₄⟩
1040	Cl–⟨C₆H₄⟩–CH₂–	2	2	1	–	H	(R) –CH(CH₃)–NH–CO–⟨3-OCF₃-C₆H₄⟩
1041	Cl–⟨C₆H₄⟩–CH₂–	2	2	1	–	H	(R) –CH(CH₃)–NH–CO–⟨3-OCH₃-C₆H₄⟩
1042	Cl–⟨C₆H₄⟩–CH₂–	2	2	1	–	H	–CH₂–NH–CO–⟨5-Br, 2-H₂N-C₆H₃⟩
1043	Cl–⟨C₆H₄⟩–CH₂–	2	2	1	–	H	–CH₂–NH–CO–⟨5-Cl, 2-H₂N-C₆H₃⟩
1044	Cl–⟨C₆H₄⟩–CH₂–	2	2	1	–	H	–CH₂–NH–CO–⟨5-CH₃, 2-H₂N-C₆H₃⟩
1045	Cl–⟨C₆H₄⟩–CH₂–	2	2	1	–	H	–CH₂–NH–CO–⟨5-OCH₃, 2-H₂N-C₆H₃⟩

Table 1.96

Compd. No.	$\begin{smallmatrix}R^1\\R^2\end{smallmatrix}$(CH$_2$)$_j$—	k	m	n	chirality	R^3	—(CH$_2$)$_p$$\begin{smallmatrix}R^4\\R^5\end{smallmatrix}$(CH$_2$)$_q$—G—R^6
1046	Cl—⟨⟩—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(O)—Ar(Cl, NH$_2$, Cl)
1047	Cl—⟨⟩—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(O)—Ar(CH$_3$, NH$_2$, CH$_3$)
1048	Cl—⟨⟩—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(O)—Ar(OCH$_3$, OCH$_3$, NH$_2$, OCH$_3$)
1049	Cl—⟨⟩—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(O)—Ar(CH$_3$, NH$_2$, Br)
1050	Cl—⟨⟩—CH$_2$—	2	2	1	-	H	(S) —CH(CH$_2$CH(CH$_3$)$_2$)—NH—C(O)—Ar(OCH$_3$, OCH$_3$)
1051	Cl—⟨⟩—CH$_2$—	2	2	1	-	H	(S) —CH(CH$_2$CH(CH$_3$)$_2$)—NH—C(O)—Ar(CH$_2$CH$_3$)
1052	Cl—⟨⟩—CH$_2$—	2	2	1	-	H	(S) —CH(CH$_2$CH(CH$_3$)$_2$)—NH—C(O)—Ar(OCH$_3$, OCH$_3$, OCH$_3$)
1053	Cl—⟨⟩—CH$_2$—	2	2	1	-	H	(S) —CH(CH$_2$CH(CH$_3$)$_2$)—NH—C(O)—Ar(OCH$_2$CH$_3$, OCH$_2$CH$_3$)
1054	Cl—⟨⟩—CH$_2$—	2	2	1	-	H	(S) —CH(CH$_2$CH(CH$_3$)$_2$)—NH—C(O)—Ar(OCH$_2$CH$_3$, OCH$_2$CH$_3$, OCH$_2$CH$_3$)
1055	Cl—⟨⟩—CH$_2$—	2	2	1	-	H	(S) —CH(CH$_2$CH(CH$_3$)$_2$)—NH—C(O)—Ar(OCH$_2$CH$_3$, OCH$_3$)
1056	Cl—⟨⟩—CH$_2$—	2	2	1	-	H	(S) —CH(CH$_2$CH(CH$_3$)$_2$)—NH—C(O)—Ar(OCH$_2$CF$_3$, OCH$_2$CF$_3$)

Table 1.97

Compd. No.	R^1, R^2 $-(CH_2)_j-$	k	m	n	chirality	R^3	$-(CH_2)_p \overset{R^4}{\underset{R^5}{C}} (CH_2)_q-G-R^6$
1057	Cl—⬡—CH_2-	2	2	1	-	H	(R) —CH—N—C— benzene with OCH$_2$CH$_3$; CH$_2$CH(CH$_3$)$_2$
1058	Cl—⬡—CH_2-	2	2	1	-	H	(S) —CH—N—C— benzene with OCH$_3$; CH$_2$CH(CH$_3$)$_2$
1059	Cl—⬡—CH_2-	2	2	1	-	H	(S) —CH—N—C— benzene with OCF$_3$; CH$_2$CH(CH$_3$)$_2$
1060	Cl—⬡—CH_2-	2	2	1	-	H	(R) —CH—N—C— benzene with OCH$_2$CH$_3$, OCH$_3$; CH$_2$CH(CH$_3$)$_2$
1061	Cl—⬡—CH_2-	2	2	1	-	H	(R) —CH—N—C— benzene with OCH$_2$CF$_3$, OCH$_2$CF$_3$; CH$_2$CH(CH$_3$)$_2$
1062	Cl—⬡—CH_2-	2	2	1	-	H	(S) —CH—N—C— benzene with OCH$_2$CH$_3$; CH$_2$CH(CH$_3$)$_2$
1063	Cl—⬡—CH_2-	2	2	1	-	H	(R) —CH—N—C— benzene with OCH$_3$; CH$_2$CH(CH$_3$)$_2$
1064	Cl—⬡—CH_2-	2	2	1	-	H	(R) —CH—N—C— benzene with OCF$_3$; CH$_2$CH(CH$_3$)$_2$
1065	Cl—⬡—CH_2-	2	2	1	-	H	(R) —CH—N—C— benzene with OCH$_3$, OCH$_3$; CH$_2$CH(CH$_3$)$_2$
1066	Cl—⬡—CH_2-	2	2	1	-	H	(R) —CH—N—C— benzene with CH$_2$CH$_3$; CH$_2$CH(CH$_3$)$_2$
1067	Cl—⬡—CH_2-	2	2	1	-	H	(R) —CH—N—C— benzene with OCH$_3$, OCH$_3$, OCH$_3$; CH$_2$CH(CH$_3$)$_2$

Table 1.98

Compd. No.	R^1 R^2 $(CH_2)_j$	k	m	n	chirality	R^3	$-(CH_2)_p$ R^4 R^5 $(CH_2)_q$ $-G-R^6$
1068	Cl—⟨benzene⟩—CH₂–	2	2	1	–	H	(R) –CH–NH–C(O)–⟨benzene: OCH₂CH₃, OCH₂CH₃⟩ ; CH₂CH(CH₃)₂
1069	Cl—⟨benzene⟩—CH₂–	2	2	1	–	H	(R) –CH–NH–C(O)–⟨benzene: OCH₂CH₃, OCH₂CH₃, OCH₂CH₃⟩ ; CH₂CH(CH₃)₂
1070	Cl—⟨benzene⟩—CH₂–	2	2	1	–	H	–CH–NH–C(O)–⟨thiophene–SCH₃⟩ ; CH₂OCH₂⟨benzene⟩
1071	Cl—⟨benzene⟩—CH₂–	2	2	1	–	H	–CH–NH–C(O)–⟨indole (NH)⟩ ; CH₂OCH₂⟨benzene⟩
1072	Cl—⟨benzene⟩—CH₂–	2	2	1	–	H	–CH–NH–C(O)–⟨furan: CH₃, C(CH₃)₃⟩ ; CH₂OCH₂⟨benzene⟩
1073	Cl—⟨benzene⟩—CH₂–	2	2	1	–	H	–CH–NH–C(O)–⟨furan: CH₃, phenyl⟩ ; CH₂OCH₂⟨benzene⟩
1074	Cl—⟨benzene⟩—CH₂–	2	2	1	–	H	–CH–NH–C(O)–⟨furan: CF₃, CH₃⟩ ; CH₂OCH₂⟨benzene⟩
1075	Cl—⟨benzene⟩—CH₂–	2	2	1	–	H	–CH–NH–C(O)–⟨benzene–OCF₃⟩ ; CH₂OCH₂⟨benzene⟩
1076	Cl—⟨benzene⟩—CH₂–	2	2	1	–	H	–CH–NH–C(O)–⟨benzene–NO₂⟩ ; CH₂OCH₂⟨benzene⟩
1077	Cl—⟨benzene⟩—CH₂–	2	2	1	–	H	–CH–NH–C(O)–⟨benzene–CF₃⟩ ; CH₂OCH₂⟨benzene⟩
1078	Cl—⟨benzene⟩—CH₂–	2	2	1	–	H	–CH–NH–C(O)–⟨benzene⟩ ; CH₂OCH₂⟨benzene⟩

Table 1.99

Compd. No.	R^1 $R^2$$>$$CH$($CH_2$)$_j$—	k	m	n	chirality	R^3	—$(CH_2)_p$$\underset{R^5}{\overset{R^4}{C}}$$(CH_2)_q$—G—$R^6$
1079	Cl—⟨phenyl⟩—CH_2—	2	2	1	-	H	—CH—NH—CO—(phenyl-CH_3); —CH_2OCH_2(phenyl)
1080	Cl—⟨phenyl⟩—CH_2—	2	2	1	-	H	—CH—NH—CO—(phenyl-OCH_2CH_3); —CH_2OCH_2(cyclohexyl)
1081	Cl—⟨phenyl⟩—CH_2—	2	2	1	-	H	—CH—NH—CO—(phenyl-OCH_3,OCH_3,OCH_3); —CH_2OCH_2(phenyl)
1082	Cl—⟨phenyl⟩—CH_2—	2	2	1	-	H	(S) —CH—NH—CO—(phenyl-O-cyclopentyl); —CH_3
1083	Cl—⟨phenyl⟩—CH_2—	2	2	1	-	H	(R) —CH—NH—CO—(phenyl-O-cyclopentyl); —CH_3
1084	Cl—⟨phenyl⟩—CH_2—	1	2	0	R	H	—CH_2—NH—CO—(phenyl-Cl, H_2N)
1085	Cl—⟨phenyl⟩—CH_2—	1	2	0	R	H	—CH_2—NH—CO—(phenyl-NO_2, H_2N)
1086	Cl—⟨phenyl⟩—CH_2—	1	2	0	R	H	—CH_2—NH—CO—(phenyl-H_2N)
1087	Cl—⟨phenyl⟩—CH_2—	1	2	0	R	H	—CH_2—NH—CO—(indol-2-yl)
1088	Cl—⟨phenyl⟩—CH_2—	1	2	0	R	H	—CH_2—NH—CO—(furan-3-yl)
1089	Cl—⟨phenyl⟩—CH_2—	1	2	0	R	H	—CH_2—NH—CO—(5-fluoroindol-2-yl)

Table 1.100

Compd. No.	R^1 R^2 $-(CH_2)_j-$	k	m	n	chirality	R^3	$-(CH_2)_p-R^4$ R^5 $-(CH_2)_q-G-R^6$
1090	Cl—⟨benzene⟩—CH₂—	1	2	0	R	H	structure
1091	Cl—⟨benzene⟩—CH₂—	1	2	0	R	H	structure
1092	Cl—⟨benzene⟩—CH₂—	1	2	0	R	H	structure
1093	Cl—⟨benzene⟩—CH₂—	1	2	0	R	H	structure
1094	Cl—⟨benzene⟩—CH₂—	1	2	0	R	H	structure
1095	Cl—⟨benzene⟩—CH₂—	1	2	0	R	H	structure
1096	Cl—⟨benzene⟩—CH₂—	1	2	0	R	H	structure
1097	Cl—⟨benzene⟩—CH₂—	1	2	0	R	H	structure
1098	Cl—⟨benzene⟩—CH₂—	1	2	0	R	H	structure
1099	Cl—⟨benzene⟩—CH₂—	1	2	0	R	H	structure
1100	Cl—⟨benzene⟩—CH₂—	1	2	0	R	H	structure

Table 1.101

Compd. No.	$R^1 R^2$>$(CH_2)_j-$	k	m	n	chirality	R^3	$-(CH_2)_p$$R^4 R^5$$(CH_2)_q-G-R^6$
1101	Cl—⟨C₆H₄⟩—CH₂—	1	2	0	R	H	—CH₂—NH—C(O)—C₆H₃(I)(CH₃)
1102	Cl—⟨C₆H₄⟩—CH₂—	1	2	0	R	H	—CH₂—NH—C(O)—C₆H₃(CH₃)(NO₂)
1103	H₃C—⟨C₆H₄⟩—CH₂—	1	2	0	R	H	—CH₂—NH—C(O)—C₆H₃(Br)(CH₃)
1104	H₃C—⟨C₆H₄⟩—CH₂—	1	2	0	R	H	—CH₂—NH—C(O)—C₆H₃(Br)(F)
1105	H₃C—⟨C₆H₄⟩—CH₂—	1	2	0	R	H	—CH₂—NH—C(O)—C₆H₃(Cl)(F)
1106	H₃C—⟨C₆H₄⟩—CH₂—	1	2	0	R	H	—CH₂—NH—C(O)—C₆H₃(I)(CH₃)
1107	H₃C—⟨C₆H₄⟩—CH₂—	1	2	0	R	H	—CH₂—NH—C(O)—C₆H₃(CH₃)(NO₂)
1108	3,5-dimethylisoxazol-4-yl—CH₂—	1	2	0	R	H	—CH₂—NH—C(O)—C₆H₃(Br)(CH₃)
1109	3,5-dimethylisoxazol-4-yl—CH₂—	1	2	0	R	H	—CH₂—NH—C(O)—C₆H₃(Br)(F)
1110	3,5-dimethylisoxazol-4-yl—CH₂—	1	2	0	R	H	—CH₂—NH—C(O)—C₆H₃(Cl)(F)
1111	3,5-dimethylisoxazol-4-yl—CH₂—	1	2	0	R	H	—CH₂—NH—C(O)—C₆H₃(I)(CH₃)

Table 1.102

Compd. No.	R^1, R^2, $-(CH_2)_j-$	k	m	n	chirality	R^3	$-(CH_2)_p-R^4,R^5-(CH_2)_q-G-R^6$
1112	3,5-dimethylisoxazol-4-yl-CH_2-	1	2	0	R	H	$-CH_2-NH-C(O)-$(3-CH_3, 4-NO_2-phenyl)
1113	Cl-phenyl-CH_2-	2	2	1	-	H	$-CH_2-NH-C(O)-$(3-Br, 4-CH_3-phenyl)
1114	Cl-phenyl-CH_2-	2	2	1	-	H	$-CH_2-NH-C(O)-$(3-Br, 4-F-phenyl)
1115	Cl-phenyl-CH_2-	2	2	1	-	H	$-CH_2-NH-C(O)-$(3-Cl, 4-F-phenyl)
1116	Cl-phenyl-CH_2-	2	2	1	-	H	$-CH_2-NH-C(O)-$(3-I, 4-CH_3-phenyl)
1117	Cl-phenyl-CH_2-	2	2	1	-	H	$-CH_2-NH-C(O)-$(3-CH_3, 4-NO_2-phenyl)
1118	phenyl-NH-C(O)-phenyl-CH_2-	1	2	0	R	H	$-CH_2-NH-C(O)-$(3-CF_3-phenyl)
1119	H_3CS-phenyl-CH_2-	1	2	0	R	H	$-CH_2-NH-C(O)-$(3-CF_3-phenyl)
1120	(2,5-di-OCH_3)-phenyl-CH_2-	1	2	0	R	H	$-CH_2-NH-C(O)-$(3-CF_3-phenyl)
1121	(2-O_2N, ...H_3C)-phenyl-CH_2-	1	2	0	R	H	$-CH_2-NH-C(O)-$(3-CF_3-phenyl)
1122	(H_3C, (H_3C)_2CH, CH(CH_3)_2)-phenyl-CH_2-	1	2	0	R	H	$-CH_2-NH-C(O)-$(3-CF_3-phenyl)

Table 1.103

Compd. No.	$R^1R^2CH(CH_2)_k$	k	m	n	chirality	R^3	$(CH_2)_pR^4R^5(CH_2)_qG-R^6$
1123	(1-Br-naphthyl)-CH₂–	1	2	0	R	H	–CH₂–NH–C(=O)–(3-CF₃-phenyl)
1124	(2-O₂N-furyl)-CH₂–	1	2	0	R	H	–CH₂–NH–C(=O)–(3-CF₃-phenyl)
1125	Cl–C₆H₄–CH₂–	2	2	1	-	H	–CH(CH₂OCH₂Ph)–NH–C(=O)–(3-NO₂-4-Cl-phenyl)
1126	Cl–C₆H₄–CH₂–	2	2	1	-	H	–CH(CH₂OCH₂Ph)–NH–C(=O)–(3-NO₂-4-Br-phenyl)
1127	Cl–C₆H₄–CH₂–	2	2	1	-	H	–CH(CH₂OCH₂Ph)–NH–C(=O)–(indolyl)
1128	Cl–C₆H₄–CH₂–	2	2	1	-	H	–CH(CH₂OCH₂Ph)–NH–C(=O)–(3-CF₃-4-F-phenyl)
1129	Cl–C₆H₄–CH₂–	2	2	1	-	H	–CH(CH₂OCH₂Ph)–NH–C(=O)–(3-CF₃-4-F-phenyl)
1130	Cl–C₆H₄–CH₂–	2	2	1	-	H	–CH(CH₂OCH₂Ph)–NH–C(=O)–(2-Br-phenyl)
1131	Cl–C₆H₄–CH₂–	2	2	1	-	H	–CH(CH₂OCH₂Ph)–NH–C(=O)–(3-Cl-phenyl)
1132	Cl–C₆H₄–CH₂–	2	2	1	-	H	–CH(CH₂OCH₂Ph)–NH–C(=O)–(3-CF₃-phenyl)
1133	(3,4-(H₃CO)₂-phenyl)-CH₂–	1	2	0	R	H	–CH₂–NH–C(=O)–(3-CF₃-phenyl)

Table 1.104

Compd. No.	$R^1R^2CH(CH_2)_j-$	k	m	n	chirality	R^3	$-(CH_2)_p-CR^4R^5-(CH_2)_q-G-R^6$
1134	2,3,4-trimethoxy(H_3CO) benzyl $-CH_2-$	1	2	0	R	H	$-CH_2-NH-CO-$ (3-CF_3 phenyl)
1135	6-nitro-1,3-benzodioxol-5-yl-methyl (NO_2) $-CH_2-$	1	2	0	R	H	$-CH_2-NH-CO-$ (3-CF_3 phenyl)
1136	4-methoxy-1,3-benzodioxol-5-yl-methyl (H_3CO) $-CH_2-$	1	2	0	R	H	$-CH_2-NH-CO-$ (3-CF_3 phenyl)
1137	6-bromo-1,3-benzodioxol-5-yl-methyl (Br) $-CH_2-$	1	2	0	R	H	$-CH_2-NH-CO-$ (3-CF_3 phenyl)
1138	indan-5-yl-methyl $-CH_2-$	1	2	0	R	H	$-CH_2-NH-CO-$ (3-CF_3 phenyl)
1139	phenyl$-(CH_2)_2-$	1	2	0	R	H	$-CH_2-NH-CO-$ (3-CF_3 phenyl)
1140	3,5-dinitrobenzyl (O_2N, O_2N) $-CH_2-$	1	2	0	R	H	$-CH_2-NH-CO-$ (3-CF_3 phenyl)
1141	naphthalen-1-yl-methyl $-CH_2-$	1	2	0	R	H	$-CH_2-NH-CO-$ (3-CF_3 phenyl)
1142	naphthalen-2-yl-methyl $-CH_2-$	1	2	0	R	H	$-CH_2-NH-CO-$ (3-CF_3 phenyl)
1143	3,4-bis(benzyloxy)benzyl (CH_2O, CH_2O) $-CH_2-$	1	2	0	R	H	$-CH_2-NH-CO-$ (3-CF_3 phenyl)
1144	3,5-dimethoxybenzyl (H_3CO, H_3CO) $-CH_2-$	1	2	0	R	H	$-CH_2-NH-CO-$ (3-CF_3 phenyl)

Table 1.105

Compd. No.	R^1, R^2 CH—(CH$_2$)$_k$—	k	m	n	chirality	R^3	—(CH$_2$)$_p$—CR^{4}R^5—(CH$_2$)$_q$—G—R^6
1145	H$_3$CO, H$_3$CO— (CH$_2$—), NO$_2$	1	2	0	R	H	—CH$_2$—NH—CO—(3-CF$_3$-C$_6$H$_4$)
1146	C$_6$H$_5$—CH$_2$—O—C$_6$H$_4$—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO—(3-CF$_3$-C$_6$H$_4$)
1147	H$_3$C—CO—NH—C$_6$H$_4$—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO—(3-CF$_3$-C$_6$H$_4$)
1148	(biphenyl)—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO—(3-CF$_3$-C$_6$H$_4$)
1149	(3,5-dimethylisoxazol-4-yl)—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO—(3-OCH$_2$CH$_3$-C$_6$H$_4$)
1150	(3,5-dimethylisoxazol-4-yl)—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO—(3-CH$_2$CH$_3$-C$_6$H$_4$)
1151	(3,5-dimethylisoxazol-4-yl)—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO—CH$_2$—(3-CF$_3$-C$_6$H$_4$)
1152	(3,5-dimethylisoxazol-4-yl)—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO—(5-fluoroindol-2-yl)
1153	(3,5-dimethylisoxazol-4-yl)—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO—(5-chloroindol-2-yl)
1154	(3,5-dimethylisoxazol-4-yl)—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO—(5-methylindol-2-yl)
1155	(3,5-dimethylisoxazol-4-yl)—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO—(5-methyl-3-trifluoromethyl-furan-2-yl)

114

Table 1.106

Compd. No.	R^1R^2–(CH$_2$)$_j$–	k	m	n	chirality	R^3	–(CH$_2$)$_p$–CR^{4}R^5–(CH$_2$)$_q$–G–R^6
1156	3,5-dimethylisoxazol-4-yl-CH$_2$–	1	2	0	R	H	–CH$_2$–NHC(O)–(5-tert-butyl-furan-2-yl)
1157	3,5-dimethylisoxazol-4-yl-CH$_2$–	1	2	0	R	H	–CH$_2$–NHC(O)–(5-methylthio-thiophen-2-yl)
1158	3,5-dimethylisoxazol-4-yl-CH$_2$–	1	2	0	R	H	–CH$_2$–NHC(O)–(2-amino-3,5-dichlorophenyl)
1159	3,5-dimethylisoxazol-4-yl-CH$_2$–	1	2	0	R	H	–CH$_2$–NHC(O)–(2-amino-3,4,5-trimethoxyphenyl)
1160	3,5-dimethylisoxazol-4-yl-CH$_2$–	1	2	0	R	H	–CH$_2$–NHC(O)–(2-amino-3-bromo-5-methylphenyl)
1161	4-methoxy-2-hydroxyphenyl-CH$_2$–	1	2	0	R	H	–CH$_2$–NHC(O)–(3-trifluoromethylphenyl)
1162	4-methoxy-2,5-dimethylphenyl-CH$_2$–	1	2	0	R	H	–CH$_2$–NHC(O)–(3-trifluoromethylphenyl)
1163	4-methoxy-3-fluorophenyl-CH$_2$–	1	2	0	R	H	–CH$_2$–NHC(O)–(3-trifluoromethylphenyl)
1164	4-methoxy-3-methylphenyl-CH$_2$–	1	2	0	R	H	–CH$_2$–NHC(O)–(3-trifluoromethylphenyl)
1165	2,3-dihydro-1,4-benzodioxin-6-yl-CH$_2$–	1	2	0	R	H	–CH$_2$–NHC(O)–(3-trifluoromethylphenyl)
1166	4-methoxy-3-bromophenyl-CH$_2$–	1	2	0	R	H	–CH$_2$–NHC(O)–(3-trifluoromethylphenyl)

Table 1.107

Compd. No.	R^1, R^2 >(CH$_2$)$_j$—	k	m	n	chirality	R^3	—(CH$_2$)$_p$, R^4, R^5, (CH$_2$)$_q$—G—R^6
1167	Cl—〈 〉—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(O)— (3-cyclopentyloxyphenyl)
1168	(5-chloro-thiadiazol-2-yl)—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)— (3-CF$_3$-phenyl)
1169	H$_3$C—C(O)—NH—(thiazol-2-yl)—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)— (3-CF$_3$-phenyl)
1170	(benzimidazol-2-yl)—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)— (3-CF$_3$-phenyl)
1171	Cl—〈 〉—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)— (3-CH$_3$-4-Br-phenyl)
1172	Cl—〈 〉—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)— (5-OH-indol-2-yl)
1173	Cl—〈 〉—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)— (5-OCH$_3$-indol-2-yl)
1174	Cl—〈 〉—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)— (5-F-2-NH$_2$-phenyl)
1175	H$_3$C—〈 〉—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)— (3-CH$_3$-4-Br-phenyl)
1176	H$_3$C—〈 〉—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)— (5-OH-indol-2-yl)
1177	H$_3$C—〈 〉—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)— (5-OCH$_3$-indol-2-yl)

Table 1.108

Compd. No.	R^1/R^2-(CH$_2$)$_j$-	k	m	n	chirality	R^3	-(CH$_2$)$_p$-R^4/R^5-(CH$_2$)$_q$-G-R^6
1178	H$_3$C—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)—(phenyl, F, H$_2$N)
1179	H$_3$C—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)—(phenyl, NO$_2$, H$_2$N)
1180	H$_3$C—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)—(indole)
1181	(3,5-dimethylisoxazol-4-yl)—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)—(phenyl, CH$_3$, Br)
1182	(3,5-dimethylisoxazol-4-yl)—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)—(indole, OH)
1183	(3,5-dimethylisoxazol-4-yl)—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)—(indole, OCH$_3$)
1184	(3,5-dimethylisoxazol-4-yl)—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)—(phenyl, F, H$_2$N)
1185	(3,5-dimethylisoxazol-4-yl)—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)—(phenyl, NO$_2$, H$_2$N)
1186	(3,5-dimethylisoxazol-4-yl)—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)—(indole)
1187	Cl—⬡—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(O)—(phenyl, CH$_3$, Br)
1188	Cl—⬡—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—C(O)—(indole, OH)

Table 1.109

Compd. No.	R^1R^2-(CH$_2$)$_j$-	k	m	n	chirality	R^3	-(CH$_2$)$_p$-C(R^4)(R^5)-(CH$_2$)$_q$-G-R^6
1189	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—CO—(5-OCH$_3$-indol-2-yl)
1190	Cl—⟨C$_6$H$_4$⟩—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—CO—(5-F-2-NH$_2$-phenyl)
1191	(3,4,5-trimethylisoxazol-4-yl)CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO—(3-CF$_3$-5-F-phenyl)
1192	(3,4,5-trimethylisoxazol-4-yl)CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO—(3-CF$_3$-4-F-phenyl)
1193	(3,4,5-trimethylisoxazol-4-yl)CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO—(3-OCF$_3$-phenyl)
1194	(3,4,5-trimethylisoxazol-4-yl)CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO—(3-CF$_3$-6-CF$_3$-phenyl)
1195	(3,4,5-trimethylisoxazol-4-yl)CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO—(3-Br-phenyl)
1196	(3,4,5-trimethylisoxazol-4-yl)CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO—(3-NO$_2$-phenyl)
1197	(3,4,5-trimethylisoxazol-4-yl)CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO—(5-CF$_3$-2-F-phenyl)
1198	(3,4,5-trimethylisoxazol-4-yl)CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO—(3-Cl-phenyl)
1199	(3,4,5-trimethylisoxazol-4-yl)CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO—(3-CH$_3$-phenyl)

Table 1.110

Compd. No.	R^1 R^2 $>$—$(CH_2)_j$—	k	m	n	chirality	R^3	—$(CH_2)_p$—$C(R^4)(R^5)$—$(CH_2)_q$—G—R^6
1200	3,5-dimethylisoxazol-4-yl-CH_2—	1	2	0	R	H	—CH_2—NH—CO—(3,5-dichlorophenyl)
1201	3,5-dimethylisoxazol-4-yl-CH_2—	1	2	0	R	H	—CH_2—NH—CO—(3,4-difluorophenyl)
1202	3,5-dimethylisoxazol-4-yl-CH_2—	1	2	0	R	H	—CH_2—NH—CO—(2-F,3-CF_3-phenyl)
1203	H_3C—C$_6H_4$—CH_2—	1	2	0	R	H	—CH_2—NH—CO—(3-OCF_3-phenyl)
1204	H_3C—C$_6H_4$—CH_2—	1	2	0	R	H	—CH_2—NH—CO—(2-CF_3,5-CF_3-phenyl)
1205	H_3C—C$_6H_4$—CH_2—	1	2	0	R	H	—CH_2—NH—CO—(3-Br-phenyl)
1206	H_3C—C$_6H_4$—CH_2—	1	2	0	R	H	—CH_2—NH—CO—(3-NO_2-phenyl)
1207	H_3C—C$_6H_4$—CH_2—	1	2	0	R	H	—CH_2—NH—CO—(2-F,5-CF_3-phenyl)
1208	H_3C—C$_6H_4$—CH_2—	1	2	0	R	H	—CH_2—NH—CO—(3-Cl-phenyl)
1209	H_3C—C$_6H_4$—CH_2—	1	2	0	R	H	—CH_2—NH—CO—(3-CH_3-phenyl)
1210	H_3C—C$_6H_4$—CH_2—	1	2	0	R	H	—CH_2—NH—CO—(3,5-dichlorophenyl)

Table 1.111

Compd. No.	$R^1R^2CH(CH_2)_k-$	k	m	n	chirality	R^3	$-(CH_2)_p-CR^4R^5-(CH_2)_q-G-R^6$
1211	H₃C—⟨C₆H₄⟩—CH₂—	1	2	0	R	H	—CH₂—NH—CO—(2,4-difluorophenyl)
1212	H₃C—⟨C₆H₄⟩—CH₂—	1	2	0	R	H	—CH₂—NH—CO—(2-F-3-CF₃-phenyl)
1213	Cl—⟨C₆H₄⟩—CH₂—	2	2	1	-	H	—CH₂—NH—CO—(2-CF₃-5-CF₃-phenyl)
1214	Cl—⟨C₆H₄⟩—CH₂—	2	2	1	-	H	—CH₂—NH—CO—(2-F-5-CF₃-phenyl)
1215	Cl—⟨C₆H₄⟩—CH₂—	2	2	1	-	H	—CH₂—NH—CO—(3,5-dichlorophenyl)
1216	Cl—⟨C₆H₄⟩—CH₂—	2	2	1	-	H	—CH₂—NH—CO—(3,4-difluorophenyl)
1217	Cl—⟨C₆H₄⟩—CH₂—	1	2	0	R	H	—CH₂—NH—CO—(2-Cl-5-CF₃-phenyl)
1218	Cl—⟨C₆H₄⟩—CH₂—	1	2	0	R	H	—CH₂—NH—CO—(2-F-5-CH₃-phenyl)
1219	Cl—⟨C₆H₄⟩—CH₂—	1	2	0	R	H	—CH₂—NH—CO—(4-Cl-3-CH₃-phenyl)
1220	Cl—⟨C₆H₄⟩—CH₂—	1	2	0	R	H	—CH₂—NH—CO—(2-NH₂-5-I-phenyl)
1221	Cl—⟨C₆H₄⟩—CH₂—	1	2	0	R	H	—CH₂—NH—CO—(2-NH₂-3,5-difluorophenyl)

Table 1.112

Compd. No.	R^1 R^2>$-(CH_2)_j-$	k	m	n	chirality	R^3	$-(CH_2)_p-\overset{R^4}{\underset{R^5}{C}}-(CH_2)_q-G-R^6$
1222	Cl—⟨C₆H₄⟩—CH₂—	1	2	0	R	H	
1223	Cl—⟨C₆H₄⟩—CH₂—	1	2	0	R	H	
1224	Cl—⟨C₆H₄⟩—CH₂—	1	2	0	R	H	
1225	H₃C—⟨C₆H₄⟩—CH₂—	1	2	0	R	H	
1226	H₃C—⟨C₆H₄⟩—CH₂—	1	2	0	R	H	
1227	H₃C—⟨C₆H₄⟩—CH₂—	1	2	0	R	H	
1228	H₃C—⟨C₆H₄⟩—CH₂—	1	2	0	R	H	
1229	H₃C—⟨C₆H₄⟩—CH₂—	1	2	0	R	H	
1230	H₃C—⟨C₆H₄⟩—CH₂—	1	2	0	R	H	
1231	H₃C—⟨C₆H₄⟩—CH₂—	1	2	0	R	H	
1232	H₃C—⟨C₆H₄⟩—CH₂—	1	2	0	R	H	

Table 1.113

Compd. No.	R^1R^2-$(CH_2)_j$-	k	m	n	chirality	R^3	$-(CH_2)_p-R^4R^5-(CH_2)_q-G-R^6$
1233	3,5-dimethylisoxazol-4-yl-CH₂-	1	2	0	R	H	-CH₂-NH-C(=O)-(2-Cl-5-CF₃-phenyl)
1234	3,5-dimethylisoxazol-4-yl-CH₂-	1	2	0	R	H	-CH₂-NH-C(=O)-(2-F-5-CH₃-phenyl)
1235	3,5-dimethylisoxazol-4-yl-CH₂-	1	2	0	R	H	-CH₂-NH-C(=O)-(4-Cl-3-CH₃-phenyl)
1236	3,5-dimethylisoxazol-4-yl-CH₂-	1	2	0	R	H	-CH₂-NH-C(=O)-(2-NH₂-5-I-phenyl)
1237	3,5-dimethylisoxazol-4-yl-CH₂-	1	2	0	R	H	-CH₂-NH-C(=O)-(2-NH₂-4,5-F-phenyl)
1238	3,5-dimethylisoxazol-4-yl-CH₂-	1	2	0	R	H	-CH₂-NH-C(=O)-(4-CH₃-pyrrol-2-yl)
1239	3,5-dimethylisoxazol-4-yl-CH₂-	1	2	0	R	H	-CH₂-NH-C(=O)-(3-(phenylsulfinyl)phenyl)
1240	3,5-dimethylisoxazol-4-yl-CH₂-	1	2	0	R	H	-CH₂-NH-C(=O)-(2-HO-5-NO₂-phenyl)
1241	4-Cl-phenyl-CH₂-	2	2	1	-	H	-CH₂-NH-C(=O)-(2-Cl-5-CF₃-phenyl)
1242	4-Cl-phenyl-CH₂-	2	2	1	-	H	-CH₂-NH-C(=O)-(2-F-5-CH₃-phenyl)
1243	4-Cl-phenyl-CH₂-	2	2	1	-	H	-CH₂-NH-C(=O)-(4-Cl-3-CH₃-phenyl)

Table 1.114

Compd. No.	R^1 R^2 $>$ $(CH_2)_j-$	k	m	n	chirality	R^3	$-(CH_2)_p-CR^4R^5-(CH_2)_q-G-R^6$
1244	Cl—⬡—CH₂—	2	2	1	-	H	—CH₂—NH—C(O)—[2-amino-5-iodophenyl]
1245	Cl—⬡—CH₂—	2	2	1	-	H	—CH₂—NH—C(O)—[2-amino-4,5-difluorophenyl]
1246	Cl—⬡—CH₂—	2	2	1	-	H	—CH₂—NH—C(O)—[4-methyl-1H-pyrrol-2-yl]
1247	Cl—⬡—CH₂—	2	2	1	-	H	—CH₂—NH—C(O)—[3-(phenylsulfinyl)phenyl]
1248	Cl—⬡—CH₂—	2	2	1	-	H	—CH₂—NH—C(O)—[2-hydroxy-5-nitrophenyl]
1249	Cl—⬡—CH₂—	1	2	0	R	H	—CH₂—NH—C(O)—[4-chloro-3-nitrophenyl]
1250	H₃C—⬡—CH₂—	1	2	0	R	H	—CH₂—NH—C(O)—[4-chloro-3-nitrophenyl]
1251	[3,5-dimethylisoxazol-4-yl]—CH₂—	1	2	0	R	H	—CH₂—NH—C(O)—[4-chloro-3-nitrophenyl]
1252	Cl—⬡—CH₂—	1	2	0	R	H	—CH₂—NH—C(O)—[4-(CH(CH₃)₂)phenyl]
1253	H₃C—⬡—CH₂—	1	2	0	R	H	—CH₂—NH—C(O)—[4-(CH(CH₃)₂)phenyl]
1254	[3,5-dimethylisoxazol-4-yl]—CH₂—	1	2	0	R	H	—CH₂—NH—C(O)—[4-(CH(CH₃)₂)phenyl]

123

Table 1.115

Compd. No.	$R^1R^2>CH-(CH_2)_j-$	k	m	n	chirality	R^3	$-(CH_2)_p-\underset{R^5}{\overset{R^4}{C}}-(CH_2)_q-G-R^6$
1255	Cl—⟨benzene⟩—CH_2-	1	2	0	R	H	$-CH_2-\underset{H}{N}-\overset{O}{C}-$ benzene (Br, H_2N)
1256	H_3C—⟨benzene⟩—CH_2-	1	2	0	R	H	$-CH_2-\underset{H}{N}-\overset{O}{C}-$ benzene (Br, H_2N)
1257	3,5-dimethylisoxazol-4-yl-CH_2-	1	2	0	R	H	$-CH_2-\underset{H}{N}-\overset{O}{C}-$ benzene (Br, H_2N)
1258	H_3C—⟨benzene⟩—CH_2-	1	2	0	R	H	$-CH_2-\underset{H}{N}-\overset{O}{C}-$ benzene (Cl, H_2N)
1259	3,5-dimethylisoxazol-4-yl-CH_2-	1	2	0	R	H	$-CH_2-\underset{H}{N}-\overset{O}{C}-$ benzene (Cl, H_2N)
1260	H_3C—⟨benzene⟩—CH_2-	1	2	0	R	H	$-CH_2-\underset{H}{N}-\overset{O}{C}-$ benzene (OCH_2CH_3)
1261	Cl—⟨benzene⟩—CH_2-	1	2	0	R	H	$-CH_2-\underset{H}{N}-\overset{O}{C}-$ furan ($C(CH_3)_3$, H_3C)
1262	H_3C—⟨benzene⟩—CH_2-	1	2	0	R	H	$-CH_2-\underset{H}{N}-\overset{O}{C}-$ furan ($C(CH_3)_3$, H_3C)
1263	3,5-dimethylisoxazol-4-yl-CH_2-	1	2	0	R	H	$-CH_2-\underset{H}{N}-\overset{O}{C}-$ furan ($C(CH_3)_3$, H_3C)
1264	Cl—⟨benzene⟩—CH_2-	1	2	0	R	H	$-CH_2-\underset{H}{N}-\overset{O}{C}-$ furan (phenyl, H_3C)
1265	H_3C—⟨benzene⟩—CH_2-	1	2	0	R	H	$-CH_2-\underset{H}{N}-\overset{O}{C}-$ furan (phenyl, H_3C)

Table 1.116

Compd. No.	R^1R^2CH-(CH$_2$)$_j$-	k	m	n	chirality	R^3	-(CH$_2$)$_p$-CR^{4}R^5-(CH$_2$)$_q$-G-R^6
1266	3,5-dimethylisoxazol-4-yl-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-C(O)-(2-methyl-5-phenylfuran-3-yl)
1267	Cl-C$_6$H$_4$-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-C(O)-(5-OCF$_3$-indol-2-yl)
1268	Cl-C$_6$H$_4$-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-C(O)-(5-Cl-2-OCH$_3$-phenyl)
1269	Cl-C$_6$H$_4$-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-C(O)-(5-Br-2-OH-phenyl)
1270	Cl-C$_6$H$_4$-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-C(O)-(5-Cl-2-OH-phenyl)
1271	Cl-C$_6$H$_4$-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-C(O)-(3-NO$_2$-4-F-phenyl)
1272	H$_3$C-C$_6$H$_4$-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-C(O)-(5-OCF$_3$-indol-2-yl)
1273	H$_3$C-C$_6$H$_4$-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-C(O)-(5-Cl-2-OCH$_3$-phenyl)
1274	H$_3$C-C$_6$H$_4$-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-C(O)-(5-Br-2-OH-phenyl)
1275	H$_3$C-C$_6$H$_4$-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-C(O)-(5-Cl-2-OH-phenyl)
1276	H$_3$C-C$_6$H$_4$-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-C(O)-(3-NO$_2$-4-F-phenyl)

Table 1.117

Compd. No.	R^1R^2C–$(CH_2)_j$–	k	m	n	chirality	R^3	–$(CH_2)_p$C$R^4R^5$$(CH_2)_q$–G–$R^6$
1277	3,5-dimethylisoxazol-4-yl-CH₂–	1	2	0	R	H	–CH₂NHC(O)-(5-OCF₃-indol-2-yl)
1278	3,5-dimethylisoxazol-4-yl-CH₂–	1	2	0	R	H	–CH₂NHC(O)-(5-Cl-2-OCH₃-phenyl)
1279	3,5-dimethylisoxazol-4-yl-CH₂–	1	2	0	R	H	–CH₂NHC(O)-(5-Br-2-OH-phenyl)
1280	3,5-dimethylisoxazol-4-yl-CH₂–	1	2	0	R	H	–CH₂NHC(O)-(5-Cl-2-OH-phenyl)
1281	3,5-dimethylisoxazol-4-yl-CH₂–	1	2	0	R	H	–CH₂NHC(O)-(3-NO₂-4-F-phenyl)
1282	4-Cl-phenyl-CH₂–	2	2	1	-	H	–CH₂NHC(O)-(5-OCF₃-indol-2-yl)
1283	4-Cl-phenyl-CH₂–	2	2	1	-	H	–CH₂NHC(O)-(5-Cl-2-OCH₃-phenyl)
1284	4-Cl-phenyl-CH₂–	2	2	1	-	H	–CH₂NHC(O)-(5-Br-2-OH-phenyl)
1285	4-Cl-phenyl-CH₂–	2	2	1	-	H	–CH₂NHC(O)-(5-Cl-2-OH-phenyl)
1286	(H₃C)₂N(CH₂)₃O-phenyl-CH₂–	1	2	0	R	H	–CH₂NHC(O)-(3-CF₃-phenyl)
1287	2,4-dinitrophenyl-CH₂–	1	2	0	R	H	–CH₂NHC(O)-(3-CF₃-phenyl)

Table 1.118

Compd. No.	$R^1R^2\!\!>\!\!-(CH_2)_j-$	k	m	n	chirality	R^3	$-(CH_2)_p\!\!-\!\!^{R^4}_{R^5}\!\!-\!\!(CH_2)_q\!\!-\!G\!-\!R^6$
1288	H_3CO–, HO–benzyl ($-CH_2-$)	1	2	0	R	H	$-CH_2-NH-C(O)-$ phenyl-CF_3
1289	3,5-dimethylisoxazol-4-yl-CH_2-	1	2	0	R	H	$-CH_2-NH-C(O)-$ phenyl(OCH_3)(H_2N)
1290	3,5-dimethylisoxazol-4-yl-CH_2-	1	2	0	R	H	$-CH_2-NH-C(O)-$ phenyl(CH_3)(CH_3)(H_2N)
1291	H_3C-phenyl-CH_2-	1	2	0	R	H	$-CH_2-NH-C(O)-$ 5-methylindol-2-yl
1292	H_3C-phenyl-CH_2-	1	2	0	R	H	$-CH_2-NH-C(O)-$ phenyl(CH_3)(H_2N)(Br)
1293	H_3C-phenyl-CH_2-	1	2	0	R	H	$-CH_2-NH-C(O)-$ phenyl(CF_3)(F)
1294	H_3C-phenyl-CH_2-	1	2	0	R	H	$-CH_2-NH-C(O)-$ phenyl(CF_3)(F)
1295	H_3C-phenyl-CH_2-	1	2	0	R	H	$-CH_2-NH-C(O)-$ 5-$C(CH_3)_3$-furan-2-yl
1296	H_3C-phenyl-CH_2-	1	2	0	R	H	$-CH_2-NH-C(O)-$ 5-SCH_3-thiophen-2-yl
1297	H_3C-phenyl-CH_2-	1	2	0	R	H	$-CH_2-NH-C(O)-$ furan(CH_3)(F_3C)
1298	H_3CO–, H_3CO–, Br–benzyl-CH_2-	1	2	0	R	H	$-CH_2-NH-C(O)-$ phenyl-CF_3

Table 1.119

Compd. No.	R^1, R^2-$(CH_2)_j$-	k	m	n	chirality	R^3	-$(CH_2)_p$-, R^4, R^5-$(CH_2)_q$-G-R^6
1299	H_3CO, H_3CO, H_3CO (trimethoxy) benzyl –CH_2–	1	2	0	R	H	–CH_2–NH–CO–C_6H_4–CF_3
1300	OCH_3, H_3CO (dimethoxy) benzyl –CH_2–	1	2	0	R	H	–CH_2–NH–CO–C_6H_4–CF_3
1301	OCH_3, H_3CO, H_3CO (trimethoxy) benzyl –CH_2–	1	2	0	R	H	–CH_2–NH–CO–C_6H_4–CF_3
1302	H_3C, CH_3, H_3CO benzyl –CH_2–	1	2	0	R	H	–CH_2–NH–CO–C_6H_4–CF_3
1303	H_3CO, H_3CO, Br benzyl –CH_2–	1	2	0	R	H	–CH_2–NH–CO–C_6H_4–CF_3
1304	H_3CO, CH_2O (benzyloxy) benzyl –CH_2–	1	2	0	R	H	–CH_2–NH–CO–C_6H_4–CF_3
1305	H_3CO naphthyl –CH_2–	1	2	0	R	H	–CH_2–NH–CO–C_6H_4–CF_3
1306	H_3CCH_2O, H_3CO benzyl –CH_2–	1	2	0	R	H	–CH_2–NH–CO–C_6H_4–CF_3
1307	H_3CO, H_3CO, HO benzyl –CH_2–	1	2	0	R	H	–CH_2–NH–CO–C_6H_4–CF_3
1308	dihydrobenzofuranyl –CH_2–	1	2	0	R	H	–CH_2–NH–CO–C_6H_4–CF_3
1309	H_3CO, H_3CO, I benzyl –CH_2–	1	2	0	R	H	–CH_2–NH–CO–C_6H_4–CF_3

Table 1.120

Compd. No.	$\begin{matrix} R^1 \\ R^2 \end{matrix}\!\!>\!\!-(CH_2)_j\!-$	k	m	n	chirality	R^3	$-(CH_2)_p\!\!-\!\!\underset{R^5}{\overset{R^4}{C}}\!\!-(CH_2)_q\!\!-G\!\!-R^6$
1310		1	2	0	R	H	
1311		1	2	0	R	H	
1312		1	2	0	R	H	
1313		1	2	0	R	H	
1314		1	2	0	R	H	
1315		1	2	0	R	H	
1316		1	2	0	R	H	
1317		1	2	0	R	H	
1318		1	2	0	R	H	
1319		1	2	0	R	H	
1320		1	2	0	R	H	

Table 1.121

Compd. No.	R¹ R² >CH-(CH₂)ⱼ-	k	m	n	chirality	R³	-(CH₂)p-CR⁴R⁵-(CH₂)q-G-R⁶
1321	Cl-⬡-CH₂-	1	2	0	R	H	-CH₂-NH-C(O)-⬡(Br)(Cl)
1322	Cl-⬡-CH₂-	1	2	0	R	H	-CH₂-NH-C(O)-⬡(Cl)(CH₃)
1323	Cl-⬡-CH₂-	1	2	0	R	H	-CH₂-NH-C(O)-⬡(I)(Cl)
1324	Cl-⬡-CH₂-	1	2	0	R	H	-CH₂-NH-C(O)-⬡(CH₃)(HO)
1325	Cl-⬡-CH₂-	1	2	0	R	H	-CH₂-NH-C(O)-⬡-C(O)-⬡
1326	Cl-⬡-CH₂-	1	2	0	R	H	-CH₂-NH-C(O)-⬡(I)(HO)
1327	Cl-⬡-CH₂-	1	2	0	R	H	-CH₂-NH-C(O)-⬡(CH₃)(H₂N)
1328	H₃C-⬡-CH₂-	1	2	0	R	H	-CH₂-NH-C(O)-⬡(Br)(Cl)
1329	H₃C-⬡-CH₂-	1	2	0	R	H	-CH₂-NH-C(O)-⬡(Cl)(CH₃)
1330	H₃C-⬡-CH₂-	1	2	0	R	H	-CH₂-NH-C(O)-⬡(I)(Cl)
1331	H₃C-⬡-CH₂-	1	2	0	R	H	-CH₂-NH-C(O)-⬡(CH₃)(HO)

Table 1.122

Compd. No.	R^1 / R^2 –(CH$_2$)$_j$–	k	m	n	chirality	R^3	–(CH$_2$)$_p$–CR^4R^5–(CH$_2$)$_q$–G–R^6
1332	H$_3$C–⟨C$_6$H$_4$⟩–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–CO–C$_6$H$_4$–CO–C$_6$H$_5$
1333	H$_3$C–⟨C$_6$H$_4$⟩–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–CO–C$_6$H$_3$(I)(OH)
1334	H$_3$C–⟨C$_6$H$_4$⟩–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–CO–C$_6$H$_3$(CH$_3$)(NH$_2$)
1335	3,5-dimethylisoxazol-4-yl–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–CO–C$_6$H$_3$(Br)(Cl)
1336	3,5-dimethylisoxazol-4-yl–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–CO–C$_6$H$_3$(Cl)(CH$_3$)
1337	3,5-dimethylisoxazol-4-yl–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–CO–C$_6$H$_3$(I)(Cl)
1338	3,5-dimethylisoxazol-4-yl–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–CO–C$_6$H$_3$(CH$_3$)(OH)
1339	3,5-dimethylisoxazol-4-yl–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–CO–C$_6$H$_4$–CO–C$_6$H$_5$
1340	3,5-dimethylisoxazol-4-yl–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–CO–C$_6$H$_3$(I)(OH)
1341	3,5-dimethylisoxazol-4-yl–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–CO–C$_6$H$_3$(CH$_3$)(NH$_2$)
1342	Cl–⟨C$_6$H$_4$⟩–CH$_2$–	2	2	1	–	H	–CH$_2$–NH–CO–C$_6$H$_3$(Br)(Cl)

Table 1.123

Compd. No.	R^1, R^2 $-(CH_2)_j-$	k	m	n	chirality	R^3	$-(CH_2)_p$ R^4, R^5 $-(CH_2)_q-G-R^6$
1343	Cl—⬡—CH₂—	2	2	1	-	H	—CH₂—NH—C(O)—(3-Cl-4-CH₃-phenyl)
1344	Cl—⬡—CH₂—	2	2	1	-	H	—CH₂—NH—C(O)—(3-I-4-Cl-phenyl)
1345	Cl—⬡—CH₂—	2	2	1	-	H	—CH₂—NH—C(O)—(5-CH₃-2-OH-phenyl)
1346	Cl—⬡—CH₂—	2	2	1	-	H	—CH₂—NH—C(O)—(5-I-2-OH-phenyl)
1347	Cl—⬡—CH₂—	1	2	0	R	H	—CH₂—NH—C(O)—(4-CH₃-thienyl)
1348	H₃C—⬡—CH₂—	1	2	0	R	H	—CH₂—NH—C(O)—(4-CH₃-thienyl)
1349	3,5-(CH₃)₂-isoxazolyl—CH₂—	1	2	0	R	H	—CH₂—NH—C(O)—(4-CH₃-thienyl)
1350	Cl—⬡—CH₂—	2	2	1	-	H	—CH₂—NH—C(O)—(4-CH₃-thienyl)
1351	Cl—⬡—CH₂—	1	2	0	R	H	—CH₂—NH—C(O)—(5-Br-2-NHC(O)CH₃-phenyl)
1352	H₃C—⬡—CH₂—	1	2	0	R	H	—CH₂—NH—C(O)—(5-Br-2-NHC(O)CH₃-phenyl)
1353	3,5-(CH₃)₂-isoxazolyl—CH₂—	1	2	0	R	H	—CH₂—NH—C(O)—(5-Br-2-NHC(O)CH₃-phenyl)

Table 1.124

Compd. No.	R¹/R²\(CH₂\)ⱼ—	k	m	n	chirality	R³	—(CH₂)ₚ—R⁴/R⁵(CH₂)q—G—R⁶
1354	Cl—⬡—CH₂—	2	2	1	–	H	
1355	Cl—⬡—CH₂—	1	2	0	R	H	
1356	H₃C—⬡—CH₂—	1	2	0	R	H	
1357	(isoxazole CH₃/CH₃)—CH₂—	1	2	0	R	H	
1358	Cl—⬡—CH₂—	2	2	1	–	H	
1359	(isoxazole CH₃/CH₃)—CH₂—	1	2	0	R	H	
1360	(isoxazole CH₃/CH₃)—CH₂—	1	2	0	R	H	
1361	H₃C—⬡—CH₂—	1	2	0	R	H	
1362	(isoxazole CH₃/CH₃)—CH₂—	1	2	0	R	H	
1363	(isoxazole CH₃/CH₃)—CH₂—	1	2	0	R	H	
1364	H₃C—⬡—CH₂—	1	2	0	R	H	

Table 1.125

Compd. No.	R^1, R^2, $-(CH_2)_j-$	k	m	n	chirality	R^3	$-(CH_2)_p-\overset{R^4}{\underset{R^5}{C}}-(CH_2)_q-G-R^6$
1365	3,5-dimethylisoxazol-4-yl-CH_2-	1	2	0	R	H	$-CH_2-NH-C(=O)-$(2-methylcyclohexyl)
1366	3,5-dimethylisoxazol-4-yl-CH_2-	1	2	0	R	H	$-CH_2-NH-C(=O)-$(4-methylcyclohexyl)
1367	H_3C-(p-phenylene)$-CH_2-$	1	2	0	R	H	$-CH_2-NH-C(=O)-$(4-methylcyclohexyl)
1368	$Cl-$(p-phenylene)$-CH_2-$	1	2	0	R	H	$-CH_2-NH-C(=O)-$(4-chloro-3-trifluoromethylphenyl)
1369	$Cl-$(p-phenylene)$-CH_2-$	1	2	0	R	H	$-CH_2-NH-C(=O)-$(2,5-bis(2,2,2-trifluoroethoxy)phenyl)
1370	$Cl-$(p-phenylene)$-CH_2-$	1	2	0	R	H	$-CH_2-NH-C(=O)-$(4-bromothiophen-2-yl)
1371	$Cl-$(p-phenylene)$-CH_2-$	1	2	0	R	H	$-CH_2-NH-C(=O)-$(3-(phenylsulfonyl)phenyl)
1372	$Cl-$(p-phenylene)$-CH_2-$	1	2	0	R	H	$-CH_2-NH-C(=O)-$(biphenyl-3-yl)
1373	H_3C-(p-phenylene)$-CH_2-$	1	2	0	R	H	$-CH_2-NH-C(=O)-$(4-chloro-3-trifluoromethylphenyl)
1374	H_3C-(p-phenylene)$-CH_2-$	1	2	0	R	H	$-CH_2-NH-C(=O)-$(2,5-bis(2,2,2-trifluoroethoxy)phenyl)
1375	H_3C-(p-phenylene)$-CH_2-$	1	2	0	R	H	$-CH_2-NH-C(=O)-$(5-bromothiophen-2-yl)

Table 1.126

Compd. No.	$R^1R^2CH(CH_2)_j-$	k	m	n	chirality	R^3	$-(CH_2)_p CR^4R^5 (CH_2)_q-G-R^6$
1376	H_3C-⬡$-CH_2-$	1	2	0	R	H	
1377	H_3C-⬡$-CH_2-$	1	2	0	R	H	
1378	(3,5-dimethylisoxazol-4-yl)$-CH_2-$	1	2	0	R	H	
1379	(3,5-dimethylisoxazol-4-yl)$-CH_2-$	1	2	0	R	H	
1380	(3,5-dimethylisoxazol-4-yl)$-CH_2-$	1	2	0	R	H	
1381	(3,5-dimethylisoxazol-4-yl)$-CH_2-$	1	2	0	R	H	
1382	(3,5-dimethylisoxazol-4-yl)$-CH_2-$	1	2	0	R	H	
1383	$Cl-$⬡$-CH_2-$	2	2	1	-	H	
1384	$Cl-$⬡$-CH_2-$	2	2	1	-	H	
1385	$Cl-$⬡$-CH_2-$	2	2	1	-	H	
1386	$Cl-$⬡$-CH_2-$	2	2	1	-	H	

Table 1.127

Compd. No.	$\begin{array}{c}R^1\\R^2\end{array}(CH_2)_j-$	k	m	n	chirality	R^3	$-(CH_2)_p\begin{array}{c}R^4\\|\\R^5\end{array}(CH_2)_q-G-R^6$
1387	3,5-dimethylisoxazol-4-yl-CH₂–	1	2	0	R	H	–CH₂–NH–CO–(norbornyl)
1388	3,4,5-trimethylisoxazol-4-yl-CH₂–	1	2	0	R	H	–CH₂–NH–CO–(5-tert-butyl-1-methylpyrazol-3-yl)
1389	3,5-dimethylisoxazol-4-yl-CH₂–	1	2	0	R	H	–CH₂–NH–CO–(benzofurazan)
1390	pentamethylphenyl-CH₂–	1	2	0	R	H	–CH₂–NH–CO–(3-CF₃-phenyl)
1391	2,4-dimethylphenyl-CH₂–	1	2	0	R	H	–CH₂–NH–CO–(3-CF₃-phenyl)
1392	2-chloro-4-methylphenyl-CH₂–	1	2	0	R	H	–CH₂–NH–CO–(3-CF₃-phenyl)
1393	4-ethylphenyl-CH₂–	1	2	0	R	H	–CH₂–NH–CO–(3-CF₃-phenyl)
1394	2-methyl-5-nitrophenyl-CH₂–	1	2	0	R	H	–CH₂–NH–CO–(3-CF₃-phenyl)
1395	4-vinylphenyl-CH₂–	1	2	0	R	H	–CH₂–NH–CO–(3-CF₃-phenyl)
1396	4-methylnaphthalen-1-yl-CH₂–	1	2	0	R	H	–CH₂–NH–CO–(3-CF₃-phenyl)
1397	3,4-dibromophenyl-CH₂–	1	2	0	R	H	–CH₂–NH–CO–(3-CF₃-phenyl)

Table 1.128

Compd. No.	R^1, R^2 -(CH$_2$)$_j$-	k	m	n	chirality	R^3	-(CH$_2$)$_p$-$\overset{R^4}{\underset{R^5}{C}}$-(CH$_2$)$_q$-G-R^6
1398	Cl,Cl-C$_6$H$_3$-CH(CH$_3$)-	1	2	0	R	H	-CH$_2$-NH-CO-C$_6$H$_4$(CF$_3$)
1399	Cl,Cl-C$_6$H$_3$-CH(CH$_3$)-	1	2	0	R	H	-CH$_2$-NH-CO-C$_6$H$_4$(CF$_3$)
1400	Cl-C$_6$H$_4$-CH(CH$_3$)-	1	2	0	R	H	-CH$_2$-NH-CO-C$_6$H$_4$(CF$_3$)
1401	H$_3$C-C$_6$H$_4$-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-CO-(5-Cl-indol-2-yl)
1402	H$_3$C-C$_6$H$_4$-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-CO-(OCH$_3$,OCH$_3$,H$_2$N,OCH$_3$-phenyl)
1403	H$_3$C-C$_6$H$_4$-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-CO-(pyridin-4-yl)
1404	H$_3$C-C$_6$H$_4$-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-CO-(pyridin-3-yl)
1405	H$_3$C-C$_6$H$_4$-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-CO-(2-H$_3$CS-pyridin-3-yl)
1406	H$_3$C-C$_6$H$_4$-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-CO-(2-CH$_3$-cyclopropyl)
1407	H$_3$C-C$_6$H$_4$-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-CO-(2-H$_3$CCH$_2$S-pyridin-3-yl)
1408	H$_3$C-C$_6$H$_4$-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-CO-(pyridin-2-yl)

Table 1.129

Compd. No.	$R^1R^2CH(CH_2)_j-$	k	m	n	chirality	R^3	$-(CH_2)_p\!-\!CR^4R^5\!-\!(CH_2)_q\!-\!G\!-\!R^6$
1409	H₃C—⟨C₆H₄⟩—CH₂—	1	2	0	R	H	—CH₂—NH—C(O)—(cyclohexyl)—CH₃
1410	(3,5-dimethylisoxazol-4-yl)—CH₂—	1	2	0	R	H	—CH₂—NH—C(O)—C₆H₅
1411	Cl—⟨C₆H₄⟩—CH₂—	1	2	0	R	H	—CH₂—NH—C(O)—(C₆H₃)(Cl)(NH—C(O)—CH₃)
1412	H₃C—⟨C₆H₄⟩—CH₂—	1	2	0	R	H	—CH₂—NH—C(O)—(C₆H₃)(Cl)(NH—C(O)—CH₃)
1413	(3,5-dimethylisoxazol-4-yl)—CH₂—	1	2	0	R	H	—CH₂—NH—C(O)—(C₆H₃)(Cl)(NH—C(O)—CH₃)
1414	Cl—⟨C₆H₄⟩—CH₂—	2	2	1	-	H	—CH₂—NH—C(O)—(C₆H₃)(Cl)(NH—C(O)—CH₃)
1415	Cl—⟨C₆H₄⟩—CH₂—	1	2	0	R	H	—CH₂—NH—C(O)—(C₆H₃)(SCN)(NH₂)
1416	H₃C—⟨C₆H₄⟩—CH₂—	1	2	0	R	H	—CH₂—NH—C(O)—(C₆H₃)(SCN)(NH₂)
1417	(3,5-dimethylisoxazol-4-yl)—CH₂—	1	2	0	R	H	—CH₂—NH—C(O)—(C₆H₃)(SCN)(NH₂)
1418	Cl—⟨C₆H₄⟩—CH₂—	2	2	1	-	H	—CH₂—NH—C(O)—(C₆H₃)(SCN)(NH₂)
1419	Cl—⟨C₆H₄⟩—CH₂—	1	2	0	R	H	—CH₂—NH—C(O)—(C₆H₃)(SH)(NH₂)

Table 1.130

Compd. No.	R^1 R^2 $\rangle$CH$-$(CH$_2$)$_j-$	k	m	n	chirality	R^3	$-$(CH$_2$)$_p$$-$CH($R^4$)($R^5$)$-$(CH$_2$)$_q$$-G-$R^6
1420	H_3C—⟨C$_6$H$_4$⟩—CH_2—	1	2	0	R	H	—CH_2—NH—CO— (2-amino-5-mercaptophenyl)
1421	3,5-dimethylisoxazol-4-yl—CH_2—	1	2	0	R	H	—CH_2—NH—CO— (2-amino-5-mercaptophenyl)
1422	Cl—⟨C$_6$H$_4$⟩—CH_2—	2	2	1	–	H	—CH_2—NH—CO— (2-amino-5-mercaptophenyl)
1423	Cl—⟨C$_6$H$_4$⟩—CH_2—	1	2	0	R	H	—CH_2—NH—CO— (3-benzoylphenyl)
1424	H_3C—⟨C$_6$H$_4$⟩—CH_2—	1	2	0	R	H	—CH_2—NH—CO— (3-benzoylphenyl)
1425	3,5-dimethylisoxazol-4-yl—CH_2—	1	2	0	R	H	—CH_2—NH—CO— (3-benzoylphenyl)
1426	Cl—⟨C$_6$H$_4$⟩—CH_2—	2	2	1	–	H	—CH_2—NH—CO— (3-benzoylphenyl)
1427	Cl—⟨C$_6$H$_4$⟩—CH_2—	2	2	1	–	H	—CH_2—NH—CO— (5-bromo-2-methylaminophenyl)
1428	Cl—⟨C$_6$H$_4$⟩—CH_2—	2	2	1	–	H	—CH_2—NH—CO— (5-bromo-2-dimethylaminophenyl)
1429	H_3CCH_2O—⟨C$_6$H$_4$⟩—CH_2—	2	2	1	–	H	—CH_2—NH—CO— (2-amino-5-chlorophenyl)
1430	(2,3-dihydro-1,4-benzodioxin-6-yl)—CH_2—	2	2	1	–	H	—CH_2—NH—CO— (2-amino-5-chlorophenyl)

Table 1.131

Compd. No.	R^1 $R^2$$\!\!>\!\!C(CH_2)_j\!-$	k	m	n	chirality	R^3	$-(CH_2)_p\!\!<\!\!^{R^4}_{R^5}(CH_2)_q\!-\!G\!-\!R^6$
1431	H$_3$CCH$_2$O—⟨⟩—CH$_2$—	2	2	1	- ·	H	
1432	⟨⟩—CH$_2$— (benzodioxane)	2	2	1	-	H	
1433	H$_3$CCH$_2$O—⟨⟩—CH$_2$—	2	2	1	-	H	
1434	H$_3$CCH$_2$O—⟨⟩—CH$_2$—	2	2	1	-	H	
1435	H$_3$CCH$_2$—⟨⟩—CH$_2$—	2	2	1	-	H	
1436	(H$_3$C)$_2$CH—⟨⟩—CH$_2$—	2	2	1	-	H	
1437	H$_3$C(CH$_2$)$_2$O—⟨⟩—CH$_2$—	2	2	1	-	H	
1438	H$_3$CCH$_2$—⟨⟩—CH$_2$—	2	2	1	-	H	
1439	(H$_3$C)$_2$CH—⟨⟩—CH$_2$—	2	2	1	-	H	
1440	H$_3$C(CH$_2$)$_2$O—⟨⟩—CH$_2$—	2	2	1	-	H	
1441	H$_3$CS—⟨⟩—CH$_2$—	2	2	1	-	H	

Table 1.132

Compd. No.	R¹R²CH(CH₂)ⱼ—	k	m	n	chirality	R³	—(CH₂)ₚCR⁴R⁵(CH₂)q-G-R⁶
1442	H₃CCH₂-⟨⟩-CH₂-	2	2	1	-	H	
1443	(H₃C)₂CH-⟨⟩-CH₂-	2	2	1	-	H	
1444	H₃C(CH₂)₂O-⟨⟩-CH₂-	2	2	1	-	H	
1445	H₃CCH₂-⟨⟩-CH₂-	2	2	1	-	H	
1446	(H₃C)₂CH-⟨⟩-CH₂-	2	2	1	-	H	
1447	H₃C(CH₂)₂O-⟨⟩-CH₂-	2	2	1	-	H	
1448	H₃CS-⟨⟩-CH₂-	2	2	1	-	H	
1449	H₃CCH₂-⟨⟩-CH₂-	2	2	1	-	H	
1450	(H₃C)₂CH-⟨⟩-CH₂-	2	2	1	-	H	
1451	(H₃CCH₂)₂N-⟨⟩-CH₂-	2	2	1	-	H	
1452	H₃CO-⟨(HO)⟩-CH₂-	2	2	1	-	H	

Table 1.133

Compd. No.	$R^1R^2CH(CH_2)_j-$	k	m	n	chirality	R^3	$-(CH_2)_p CR^4R^5(CH_2)_q-G-R^6$
1453	H₃C(CH₂)₂O–⬡–CH₂–	2	2	1	–	H	–CH₂–NHC(O)–⬡–CF₃
1454	H₃CCH₂O–⬡–CH₂–	2	2	1	–	H	–CH₂–NHC(O)–⬡–CF₃
1455	H₃CO, HO–⬡–CH₂–	2	2	1	– ,	H	–CH₂–NHC(O)–⬡–CF₃
1456	(O₂C₂H₄)–⬡–CH₂–	2	2	1	–	H	–CH₂–NHC(O)–⬡–CF₃
1457	(CH₃)₂N–⬡–CH₂–	2	2	1	–	H	–CH₂–NHC(O)–⬡(Cl)(NH₂)
1458	H₃CO, HO–⬡–CH₂–	2	2	1	–	H	–CH₂–NHC(O)–⬡(Cl)(NH₂)
1459	(H₃C)₂N–⬡–CH₂–	2	2	1	–	H	–CH₂–NHC(O)–⬡(Br)(NH₂)
1460	H₃CO, HO–⬡–CH₂–	2	2	1	–	H	–CH₂–NHC(O)–⬡(Br)(NH₂)
1461	H₃CO, HO–⬡–CH₂–	2	2	1	–	H	–CH₂–NHC(O)–⬡(Cl)(HN–CH₂–⬡(OCH₃)(OH))
1462	H₃CO, HO–⬡–CH₂–	2	2	1	–	H	–CH₂–NHC(O)–⬡(Br)(HN–CH₂–⬡(OCH₃)(OH))
1463	Cl–⬡–CH₂–	2	1	1	–	H	–CH₂–NHC(O)–⬡–CF₃

142

Table 1.134

Compd. No.	$R^1R^2>$(CH$_2$)$_j$–	k	m	n	chirality	R^3	–(CH$_2$)$_p$–C(R^4)(R^5)–(CH$_2$)$_q$–G–R^6
1464	Cl–C$_6$H$_4$–CH$_2$–	2	1	1	–	H	–CH$_2$–NH–CO–(3-OCF$_3$-C$_6$H$_4$)
1465	Cl–C$_6$H$_4$–CH$_2$–	2	1	1	–	H	–CH$_2$–NH–CO–(2,5-(CF$_3$)$_2$-C$_6$H$_3$)
1466	Cl–C$_6$H$_4$–CH$_2$–	2	1	1	–	H	–CH$_2$–NH–CO–(3-Br-C$_6$H$_4$)
1467	Cl–C$_6$H$_4$–CH$_2$–	2	1	1	–	H	–CH$_2$–NH–CO–(3-Cl-C$_6$H$_4$)
1468	Cl–C$_6$H$_4$–CH$_2$–	2	1	1	–	H	–CH$_2$–NH–CO–(3-NO$_2$-C$_6$H$_4$)
1469	Cl–C$_6$H$_4$–CH$_2$–	2	1	1	–	H	–CH$_2$–NH–CO–(3-CF$_3$-5-F-C$_6$H$_3$)
1470	Cl–C$_6$H$_4$–CH$_2$–	2	1	1	–	H	–CH$_2$–NH–CO–(3,4-Cl$_2$-C$_6$H$_3$)
1471	Cl–C$_6$H$_4$–CH$_2$–	2	1	1	–	H	–CH$_2$–NH–CO–(3,4-F$_2$-C$_6$H$_3$)
1472	(3-CH$_3$-thiophen-2-yl)–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–CO–(3-CF$_3$-C$_6$H$_4$)
1473	(5-Br-thiophen-2-yl)–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–CO–(3-CF$_3$-C$_6$H$_4$)
1474	(5-Cl-1-phenyl-3-CH$_3$-pyrazol-4-yl)–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–CO–(3-CF$_3$-C$_6$H$_4$)

Table 1.135

Compd. No.	R^1, R^2-(CH$_2$)$_j$-	k	m	n	chirality	R^3	-(CH$_2$)$_p$-, R^4, R^5-(CH$_2$)$_q$-G-R^6
1475	(4-Cl-phenyl)-furan-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-C(O)-(3-CF$_3$-phenyl)
1476	(2,3-diBr-thiophene)-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-C(O)-(3-CF$_3$-phenyl)
1477	(4-Br-phenyl)-furan-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-C(O)-(3-CF$_3$-phenyl)
1478	(3-Br-phenyl)-furan-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-C(O)-(3-CF$_3$-phenyl)
1479	(2,4,6-triCH$_3$-phenyl)-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-C(O)-(3-CF$_3$-phenyl)
1480	(3,4-diCH$_3$-phenyl)-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-C(O)-(3-CF$_3$-phenyl)
1481	(2,4,5-triCH$_3$-phenyl)-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-C(O)-(3-CF$_3$-phenyl)
1482	(4-Br-thiophene)-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-C(O)-(3-CF$_3$-phenyl)
1483	(3,4-diCH$_3$-furan)-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-C(O)-(3-CF$_3$-phenyl)
1484	(4-Cl-phenyl-S)-furan-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-C(O)-(3-CF$_3$-phenyl)
1485	(2,5-diCH$_3$-phenyl)-CH$_2$-	1	2	0	R	H	-CH$_2$-NH-C(O)-(5-F-benzothiophene)

Table 1.136

Compd. No.	R¹ R² CH₂)ⱼ—	k	m	n	chirality	R³	—(CH₂)ₚ R⁴ R⁵ (CH₂)q—G—R⁶
1486	H₃C—⟨benzene⟩—CH₂—	1	2	0	R	H	—CH₂NHC(O)-aryl(OCH₃)(NH₂)
1487	H₃C—⟨benzene⟩—CH₂—	1	2	0	R	H	—CH₂NHC(O)-aryl(Cl)(NH₂)(Cl)
1488	H₃C—⟨benzene⟩—CH₂—	1	2	0	R	H	—CH₂NHC(O)-cyclopropyl(CH₃)
1489	H₃C—⟨benzene⟩—CH₂—	1	2	0	R	H	—CH₂NHC(O)-cyclobutyl
1490	H₃C—⟨benzene⟩—CH₂—	1	2	0	R	H	—CH₂NHC(O)-pyridyl(CH₃)
1491	H₃C—⟨benzene⟩—CH₂—	1	2	0	R	H	—CH₂NHC(O)-cyclopropyl(C(O)NH₂)
1492	H₃C—⟨benzene⟩—CH₂—	1	2	0	R	H	—CH₂NHC(O)-pyridyl(NO₂)
1493	isoxazolyl(CH₃)(CH₃)—CH₂—	1	2	0	R	H	—CH₂NHC(O)-isoxazolyl(CH₃)/aryl(Cl)
1494	isoxazolyl(CH₃)(CH₃)—CH₂—	1	2	0	R	H	—CH₂NHC(O)-bicycloheptyl
1495	isoxazolyl(CH₃)(CH₃)—CH₂—	1	2	0	R	H	—CH₂NHC(O)-pyrazolyl(CH₃)(CH₃)(CH₃)
1496	isoxazolyl(CH₃)(CH₃)—CH₂—	1	2	0	R	H	—CH₂NHC(O)-isoxazolyl(CH₃)(CH₃)

145

Table 1.137

Compd. No.	R^1 / R^2—(CH$_2$)$_j$—	k	m	n	chirality	R^3	—(CH$_2$)$_p$—C(R^4)(R^5)—(CH$_2$)$_q$—G—R^6
1497	3,5-dimethylisoxazol-4-yl-CH$_2$—	1	2	0	R	H	—CH$_2$NHC(O)— (1,3,3-trimethyl-cyclopentyl with CH$_3$)
1498	3,5-dimethylisoxazol-4-yl-CH$_2$—	1	2	0	R	H	—CH$_2$NHC(O)— (1-cyano-cyclopropyl)
1499	3,5-dimethylisoxazol-4-yl-CH$_2$—	1	2	0	R	H	—CH$_2$NHC(O)— (1-methyl-cyclopropyl)
1500	3,5-dimethylisoxazol-4-yl-CH$_2$—	1	2	0	R	H	—CH$_2$NHC(O)— (2-methyl-cyclopropyl)
1501	3,5-dimethylisoxazol-4-yl-CH$_2$—	1	2	0	R	H	—CH$_2$NHC(O)— cyclobutyl
1502	3,5-dimethylisoxazol-4-yl-CH$_2$—	1	2	0	R	H	—CH$_2$NHC(O)— (3-CF$_3$,4-F,5-F-phenyl)
1503	3,5-dimethylisoxazol-4-yl-CH$_2$—	1	2	0	R	H	—CH$_2$NHC(O)— (3-OCHF$_2$-phenyl)
1504	H$_2$N—C$_6$H$_4$—CH$_2$—	1	2	0	R	H	—CH$_2$NHC(O)— (3-CF$_3$-phenyl)
1505	3,5-bis(benzyloxy)phenyl-CH$_2$—	1	2	0	R	H	—CH$_2$NHC(O)— (3-CF$_3$-phenyl)
1506	Cl—C$_6$H$_4$—CH$_2$—	2	1	1	-	H	—CH$_2$NHC(O)— (5-Br,2-NH$_2$-phenyl)
1507	Cl—C$_6$H$_4$—CH$_2$—	2	1	1	-	H	—CH$_2$NHC(O)— (3-F,2-NH$_2$-phenyl)

146

Table 1.138

Compd. No.	$R^1R^2CH(CH_2)_j-$	k	m	n	chirality	R^3	$-(CH_2)_p C R^4 R^5 (CH_2)_q-G-R^6$
1508	Cl—⬡—CH₂–	2	1	1	-	H	
1509	Cl—⬡—CH₂–	2	1	1	-	H	
1510	Cl—⬡—CH₂–	2	1	1	-	H	
1511	Cl—⬡—CH₂–	2	1	1	-	H	
1512	Cl—⬡—CH₂–	2	1	1	-	H	
1513	Cl—⬡—CH₂–	2	1	1	-	H	
1514	(H₃CCH₂)₂N—⬡—CH₂–	2	2	1	-	H	
1515	H₃CO—⬡(HO)—CH₂–	2	2	1	-	H	
1516	(H₃CCH₂)₂N—⬡—CH₂–	2	2	1	-	H	
1517	H₃CO—⬡(HO)—CH₂–	2	2	1	-	H	
1518	H₃CO—⬡(HO)—CH₂–	2	2	1	-	H	

Table 1.139

Compd. No.	R¹R²(CH₂)ⱼ—	k	m	n	chirality	R³	—(CH₂)ₚR⁴R⁵(CH₂)ᵩ-G-R⁶
1519		2	2	1	-	H	
1520		1	2	0	R	H	
1521		1	2	0	R	H	
1522		1	2	0	R	H	
1523		1	2	0	R	H	
1524		1	2	0	R	H	
1525		1	2	0	R	H	
1526		1	2	0	R	H	
1527		1	2	0	R	H	
1528		1	2	0	R	H	
1529		1	2	0	R	H	

Table 1.140

Compd. No.	R^1 / R^2 —(CH$_2$)$_j$—	k	m	n	chirality	R^3	$-(CH_2)_p$ R^4/R^5 $(CH_2)_q$—G—R^6
1530	Br—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$NHCO—⬡(CF$_3$)(F)
1531	H$_3$CO—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$NHCO—⬡(CF$_3$)(F)
1532	(methylenedioxyphenyl)—CH$_2$—	1	2	0	R	H	—CH$_2$NHCO—⬡(CF$_3$)(F)
1533	H$_3$CO / H$_3$CO —⬡—CH$_2$—	1	2	0	R	H	—CH$_2$NHCO—⬡(CF$_3$)(F)
1534	H$_3$CO / HO —⬡—CH$_2$—	1	2	0	R	H	—CH$_2$NHCO—⬡(CF$_3$)(F)
1535	Br—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$NHCO—⬡(CF$_3$)(F)
1536	H$_3$CO—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$NHCO—⬡(CF$_3$)(F)
1537	(methylenedioxyphenyl)—CH$_2$—	1	2	0	R	H	—CH$_2$NHCO—⬡(CF$_3$)(F)
1538	H$_3$CO / H$_3$CO —⬡—CH$_2$—	1	2	0	R	H	—CH$_2$NHCO—⬡(CF$_3$)(F)
1539	H$_3$CO / HO —⬡—CH$_2$—	1	2	0	R	H	—CH$_2$NHCO—⬡(CF$_3$)(F)
1540	Br—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$NHCO—⬡(CF$_3$)(F)(F)

Table 1.141

Compd. No.	R^1 R^2 $-(CH_2)_j-$	k	m	n	chirality	R^3	$-(CH_2)_p-\overset{R^4}{\underset{R^5}{C}}-(CH_2)_q-G-R^6$
1541	H₃CO-⟨⟩-CH₂-	1	2	0	R	H	-CH₂-NH-C(=O)- benzene with CF₃, F, F
1542	(methylenedioxyphenyl)-CH₂-	1	2	0	R	H	-CH₂-NH-C(=O)- benzene with CF₃, F, F
1543	(3,4-dimethoxyphenyl)-CH₂-	1	2	0	R	H	-CH₂-NH-C(=O)- benzene with CF₃, F, F
1544	(3-methoxy-4-hydroxyphenyl)-CH₂-	1	2	0	R	H	-CH₂-NH-C(=O)- benzene with CF₃, F, F
1545	(5-chlorothiophen-2-yl)-CH₂-	1	2	0	R	H	-CH₂-NH-C(=O)- benzene with CF₃
1546	(tetrafluoro-methoxyphenyl)-CH₂-	1	2	0	R	H	-CH₂-NH-C(=O)- benzene with CF₃
1547	(dibromo-methoxyphenyl)-CH₂-	1	2	0	R	H	-CH₂-NH-C(=O)- benzene with CF₃
1548	H₃C-⟨⟩-CH₂-	1	2	0	R	H	-CH₂-NH-C(=O)-O- cyclopentane (CH₃, H₃C, CH₃)
1549	H₃C-⟨⟩-CH₂-	1	2	0	R	H	-CH₂-NH-C(=O)- cyclopropane with CH=C(CH₃)₂, CH₃, H₃C
1550	H₃C-⟨⟩-CH₂-	1	2	0	R	H	-CH₂-NH-C(=O)- benzene-HN-C(=O)-NH- (Cl, OCH₃, H₃CO) phenyl
1551	H₃C-⟨⟩-CH₂-	1	2	0	R	H	-CH₂-NH-C(=O)- benzene-S(=O)₂-N(CH₂CH₂OH)₂

Table 1.142

Compd. No.	$\begin{matrix}R^1\\R^2\end{matrix}C-(CH_2)_j-$	k	m	n	chirality	R^3	$-(CH_2)_p\overset{R^4}{\underset{R^5}{C}}(CH_2)_q-G-R^6$
1552	H₃C—⬡—CH₂—	1	2	0	R	H	
1553	H₃C—⬡—CH₂—	1	2	0	R	H	
1554	H₃C—⬡—CH₂—	1	2	0	R	H	
1555	H₃C—⬡—CH₂—	1	2	0	R	H	
1556	H₃C—⬡—CH₂—	1	2	0	R	H	
1557	H₃C—⬡—CH₂—	1	2	0	R	H	
1558	H₃C—⬡—CH₂—	1	2	0	R	H	
1559	H₃C—⬡—CH₂—	1	2	0	R	H	
1560	H₃C—⬡—CH₂—	1	2	0	R	H	
1561	H₃C—⬡—CH₂—	1	2	0	R	H	
1562	H₃C—⬡—CH₂—	1	2	0	R	H	

Table 1.143

Compd. No.	$R^1R^2(CH_2)_j-$	k	m	n	chirality	R^3	$-(CH_2)_p-R^4R^5-(CH_2)_q-G-R^6$
1563	H₃C—⟨ ⟩—CH₂—	1	2	0	R	H	
1564	H₃C—⟨ ⟩—CH₂—	1	2	0	R	H	
1565	(3,5-dimethylisoxazol-4-yl)CH₂—	1	2	0	R	H	
1566	(3,5-dimethylisoxazol-4-yl)CH₂—	1	2	0	R	H	
1567	(3,5-dimethylisoxazol-4-yl)CH₂—	1	2	0	R	H	
1568	(3,5-dimethylisoxazol-4-yl)CH₂—	1	2	0	R	H	
1569	(3,5-dimethylisoxazol-4-yl)CH₂—	1	2	0	R	H	
1570	H₃CS—⟨ ⟩—CH₂—	2	2	1	-	H	
1571	H₃CS—⟨ ⟩—CH₂—	2	2	1	-	H	
1572	piperidinyl-CO—⟨ ⟩—CH₂—	2	2	1	-	H	
1573	H₃CO—⟨ ⟩—NH—CO—⟨ ⟩—CH₂—	2	2	1	-	H	

Table 1.144

Compd. No.	R^1R^2—(CH₂)ⱼ—	k	m	n	chirality	R^3	—(CH₂)ₚ—R^4R^5—(CH₂)q—G—R^6
1574	H₃C—C₆H₄—NH—C(O)—C₆H₄—CH₂—	2	2	1	-	H	—CH₂—NH—C(O)—C₆H₄(3-CF₃)
1575	Cl—C₆H₄—NH—C(O)—C₆H₄—CH₂—	2	2	1	-	H	—CH₂—NH—C(O)—C₆H₄(3-CF₃)
1576	morpholino—C(O)—C₆H₄—CH₂—	2	2	1	-	H	—CH₂—NH—C(O)—C₆H₄(3-CF₃)
1577	HO(CH₂)₂—NH—C(O)—C₆H₄—CH₂—	2	2	1	-	H	—CH₂—NH—C(O)—C₆H₄(3-CF₃)
1578	(2-H₃C)C₆H₄—NH—C(O)—C₆H₄—CH₂—	2	2	1	-	H	—CH₂—NH—C(O)—C₆H₄(3-CF₃)
1579	(2-CH₃)C₆H₄—NH—C(O)—C₆H₄—CH₂—	2	2	1	-	H	—CH₂—NH—C(O)—C₆H₄(3-CF₃)
1580	cyclopentyl—NH—C(O)—C₆H₄—CH₂—	2	2	1	-	H	—CH₂—NH—C(O)—C₆H₄(3-CF₃)
1581	Cl—C₆H₄—CH₂—	2	2	1	-	H	—CH₂—NH—C(O)—C₆H₃(3-Br)(H₃C—SO₂—NH)
1582	Cl—C₆H₄—CH₂—	2	2	1	-	H	—CH₂—NH—C(O)—C₆H₃(5-Br)(H₃C—SO₂—N—SO₂—CH₃)
1583	Cl—C₆H₄—CH₂—	1	2	0	R	H	—CH₂—NH—C(O)—C₆H₃(5-CF₃)(2-H₂N)
1584	Cl—C₆H₄—CH₂—	1	2	0	R	H	—CH₂—NH—C(O)—C₆H₃(5-OCF₃)(2-H₂N)

153

Table 1.145

Compd. No.	R^1R^2CH$(CH_2)_j$—	k	m	n	chirality	R^3	$(CH_2)_p$C$R^4R^5(CH_2)_q$-G-R^6
1585	Cl—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO—(5-Br-pyridin-3-yl)
1586	Cl—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO—(4-Cl-pyridin-2-yl)
1587	Cl—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO—(3-phenoxyphenyl)
1588	Cl—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO—(6-CH$_3$-pyridin-2-yl)
1589	H$_3$C—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO—(5-CF$_3$-2-NH$_2$-phenyl)
1590	H$_3$C—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO—(5-OCF$_3$-2-NH$_2$-phenyl)
1591	H$_3$C—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO—(5-Br-pyridin-3-yl)
1592	H$_3$C—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO—(4-Cl-pyridin-2-yl)
1593	H$_3$C—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO—(3-phenoxyphenyl)
1594	(3,5-dimethylisoxazol-4-yl)—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO—(5-CF$_3$-2-NH$_2$-phenyl)
1595	(3,5-dimethylisoxazol-4-yl)—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO—(5-OCF$_3$-2-NH$_2$-phenyl)

154

Table 1.146

Compd. No.	R^1 R^2 $\!\!>\!\!$CH$-$(CH$_2$)$_j$$-$	k	m	n	chirality	R^3	$-$(CH$_2$)$_p$$-$C(R^4)(R^5)$-$(CH$_2$)$_q$$-G-$R^6
1596	3,5-dimethyl-isoxazol-4-yl-CH$_2$–	1	2	0	R	H	$-$CH$_2$NHC(O)$-$(5-bromopyridin-3-yl)
1597	3,5-dimethyl-isoxazol-4-yl-CH$_2$–	1	2	0	R	H	$-$CH$_2$NHC(O)$-$(4-chloropyridin-2-yl)
1598	3,5-dimethyl-isoxazol-4-yl-CH$_2$–	1	2	0	R	H	$-$CH$_2$NHC(O)$-$(3-phenoxyphenyl)
1599	3,5-dimethyl-isoxazol-4-yl-CH$_2$–	1	2	0	R	H	$-$CH$_2$NHC(O)$-$(6-methylpyridin-2-yl)
1600	4-Cl-C$_6$H$_4$-CH$_2$–	2	2	1	–	H	$-$CH$_2$NHC(O)$-$(2-amino-5-CF$_3$-phenyl)
1601	4-Cl-C$_6$H$_4$-CH$_2$–	2	2	1	–	H	$-$CH$_2$NHC(O)$-$(2-amino-5-OCF$_3$-phenyl)
1602	4-Cl-C$_6$H$_4$-CH$_2$–	2	2	1	–	H	$-$CH$_2$NHC(O)$-$(5-bromopyridin-3-yl)
1603	4-Cl-C$_6$H$_4$-CH$_2$–	2	2	1	–	H	$-$CH$_2$NHC(O)$-$(4-chloropyridin-2-yl)
1604	4-Cl-C$_6$H$_4$-CH$_2$–	2	2	1	–	H	$-$CH$_2$NHC(O)$-$(3-phenoxyphenyl)
1605	4-Cl-C$_6$H$_4$-CH$_2$–	2	2	1	–	H	$-$CH$_2$NHC(O)$-$(6-methylpyridin-2-yl)
1606	4-Cl-C$_6$H$_4$-CH$_2$–	1	2	0	R	H	$-$CH$_2$NHC(O)$-$(3-SCF$_3$-phenyl)

Table 1.147

Compd. No.	R^1 R^2 $-(CH_2)_j-$	k	m	n	chirality	R^3	$-(CH_2)_p-C(R^4)(R^5)-(CH_2)_q-G-R^6$
1607	H_3C–C$_6H_4$–CH_2–	1	2	0	R	H	$-CH_2-NH-C(O)-C_6H_4-SCF_3$ (meta)
1608	3,5-dimethylisoxazol-4-yl–CH_2–	1	2	0	R	H	$-CH_2-NH-C(O)-C_6H_4-SCF_3$ (meta)
1609	Cl–C$_6H_4$–CH_2–	2	2	1	-	H	$-CH_2-NH-C(O)-C_6H_4-SCF_3$ (meta)
1610	(2-CF_3-C$_6H_4$)-NH-C(O)-C$_6H_4$–CH_2–	2	2	1	-	H	$-CH_2-NH-C(O)-C_6H_4-CF_3$ (meta)
1611	(3,4-di-Cl-C$_6H_3$)-NH-C(O)-C$_6H_4$–CH_2–	2	2	1	-	H	$-CH_2-NH-C(O)-C_6H_4-CF_3$ (meta)
1612	$H_2CO(CH_2)$-NH-C(O)-C$_6H_4$–CH_2–	2	2	1	-	H	$-CH_2-NH-C(O)-C_6H_4-CF_3$ (meta)
1613	(H_3C, CH_3-C$_6H_3$)-N-C(O)-C$_6H_4$–CH_2–	2	2	1	-	H	$-CH_2-NH-C(O)-C_6H_4-CF_3$ (meta)
1614	F_3CS–C$_6H_4$–CH_2–	1	2	0	R	H	$-CH_2-NH-C(O)-C_6H_4-CF_3$ (meta)
1615	F_3CS–C$_6H_4$–CH_2–	2	2	1	-	H	$-CH_2-NH-C(O)-C_6H_4-CF_3$ (meta)
1616	F_3CS–C$_6H_4$–CH_2–	2	2	1	-	H	$-CH_2-NH-C(O)-C_6H_3(Cl)(NH_2)$
1617	F_3CS–C$_6H_4$–CH_2–	2	2	1	-	H	$-CH_2-NH-C(O)-C_6H_3(Br)(NH_2)$

Table 1.148

Compd. No.	R^1, R^2 $(CH_2)_j-$	k	m	n	chirality	R^3	$-(CH_2)_p$ $\overset{R^4}{\underset{R^5}{C}}$ $(CH_2)_q$-G-R^6
1618	H_3CO–, HO–phenyl–CH_2-	1	2	0	R	H	$-CH_2-NH-C(O)-$ phenyl (3-Br)
1619	H_3CO–, HO–phenyl–CH_2-	1	2	0	R	H	$-CH_2-NH-C(O)-$ phenyl (3-OCF_3)
1620	H_3CO–, HO–phenyl–CH_2-	1	2	0	R	H	$-CH_2-NH-C(O)-$ phenyl (CF_3, F)
1621	H_3CO–, HO–phenyl–CH_2-	1	2	0	R	H	$-CH_2-NH-C(O)-$ phenyl (CF_3, F)
1622	H_3CO–, HO–phenyl–CH_2-	1	2	0	R	H	$-CH_2-NH-C(O)-$ phenyl (CF_3, F, F)
1623	HO–phenyl–CH_2-	1	2	0	R	H	$-CH_2-NH-C(O)-$ phenyl (3-Br)
1624	HO–phenyl–CH_2-	1	2	0	R	H	$-CH_2-NH-C(O)-$ phenyl (3-OCF_3)
1625	HO–phenyl–CH_2-	1	2	0	R	H	$-CH_2-NH-C(O)-$ phenyl (CF_3, F)
1626	HO–phenyl–CH_2-	1	2	0	R	H	$-CH_2-NH-C(O)-$ phenyl (CF_3, F)
1627	HO–phenyl–CH_2-	1	2	0	R	H	$-CH_2-NH-C(O)-$ phenyl (CF_3, F, F)
1628	H_3CS–phenyl–CH_2-	1	2	0	R	H	$-CH_2-NH-C(O)-$ phenyl (CF_3, F)

Table 1.149

Compd. No.	$R^1R^2CH(CH_2)_j-$	k	m	n	chirality	R^3	$-(CH_2)_p-CR^4R^5-(CH_2)_q-G-R^6$
1629	H₃CS—⟨C₆H₄⟩—CH₂—	1	2	0	R	H	—CH₂—NHC(O)—(3-CF₃, 4-F-phenyl)
1630	4-H₃C-furan-2-yl—CH₂—	1	2	0	R	H	—CH₂—NHC(O)—(3-CF₃-phenyl)
1631	H₂NCH₂—⟨C₆H₄⟩—CH₂—	1	2	0	R	H	—CH₂—NHC(O)—(3-CF₃-phenyl)
1632	(5-CF₃, 3-Cl-pyridin-2-yl)—CH₂—	1	2	0	R	H	—CH₂—NHC(O)—(3-CF₃-phenyl)
1633	(2-SCH₃, 3-CN-pyridin-6-yl)—CH₂—	1	2	0	R	H	—CH₂—NHC(O)—(3-CF₃-phenyl)
1634	(H₃C)₂CH—⟨C₆H₄⟩—CH₂—	1	2	0	R	H	—CH₂—NHC(O)—(3-CF₃-phenyl)
1635	H₃C—⟨C₆H₄⟩—CH₂—	1	2	0	R	H	—CH₂—NHC(O)—(4-C(CH₃)₃-cyclohexyl)
1636	H₃C—⟨C₆H₄⟩—CH₂—	1	2	0	R	H	—CH₂—NHC(O)—(2,7,7-trimethylbicyclo[2.2.1]heptyl)
1637	(3,5-(CH₃)₂-isoxazol-4-yl)—CH₂—	1	2	0	R	H	—CH₂—NHC(O)—(4-(CH₂)₄CH₃-phenyl)
1638	(3,5-(CH₃)₂-isoxazol-4-yl)—CH₂—	1	2	0	R	H	—CH₂—NHC(O)—(4-O(CH₂)₃CH₃-phenyl)
1639	(3,5-(CH₃)₂-isoxazol-4-yl)—CH₂—	1	2	0	R	H	—CH₂—NHC(O)—(2-NH₂, 4-NHC(O)OCH₂CH₃-phenyl)

Table 1.150

Compd. No.	R^1, R^2 $-(CH_2)_j-$	k	m	n	chirality	R^3	$-(CH_2)_p$ R^4, R^5 $(CH_2)_q$-G-R^6
1640	isoxazole(3-CH₃,5-CH₃)-CH₂–	1	2	0	R	H	–CH₂–C(O)NH–C₆H₄–NH(CH₂)₅CH₃
1641	isoxazole(3-CH₃,5-CH₃)-CH₂–	1	2	0	R	H	–CH₂–NHC(O)–C₆H₄–OCF₂CHCF
1642	isoxazole(3-CH₃,5-CH₃)-CH₂–	1	2	0	·R	H	–CH₂–NHC(O)–quinoline(O₂N)
1643	isoxazole(3-CH₃,5-CH₃)-CH₂–	1	2	0	R	H	–CH₂–NHC(O)–naphthyl
1644	isoxazole(3-CH₃,5-CH₃)-CH₂–	1	2	0	R	H	–CH₂–NHC(O)–C₆H₄–C(O)–C₆H₅
1645	benzodioxole(Cl)-CH₂–	1	2	0	R	H	–CH₂–NHC(O)–C₆H₄–CF₃
1646	furan(Br)-CH₂–	1	2	0	R	H	–CH₂–NHC(O)–C₆H₄–CF₃
1647	H₃C(CH₂)₅–C₆H₄–CH₂–	2	2	1	-	H	–CH₂–NHC(O)–C₆H₄–CF₃
1648	H₃C(CH₂)₃–C₆H₄–CH₂–	1	2	0	R	H	–CH₂–NHC(O)–C₆H₄–CF₃
1649	H₃C(CH₂)₂–C₆H₄–CH₂–	2	2	1	-	H	–CH₂–NHC(O)–C₆H₄–CF₃
1650	H₃C(CH₂)₂–C₆H₄–CH₂–	1	2	0	R	H	–CH₂–NHC(O)–C₆H₄–CF₃

Table 1.151

Compd. No.	R^1 R^2>$(CH_2)_j$—	k	m	n	chirality	R^3	—$(CH_2)_p$$R^4$$R^5$$(CH_2)_q$—G—$R^6$
1651	$H_3C(CH_2)_7$—◯—CH_2—	2	2	1	-	H	
1652	$H_3C(CH_2)_3$—◯—CH_2—	2	2	1	-	H	
1653	$H_3C(CH_2)_2$—◯—CH_2—	2	2	1	-	H	
1654	$H_3C(CH_2)_2$—◯—CH_2—	2	2	1	-	H	
1655	$H_3C(CH_2)_3$—◯—CH_2—	2	2	1	-	H	
1656	$H_3C(CH_2)_3$—◯—CH_2—	2	2	1	-	H	
1657	$H_3C(CH_2)_2$—◯—CH_2—	2	2	1	-	H	
1658	$H_3C(CH_2)_2$—◯—CH_2—	2	2	1	-	H	
1659	Cl—◯—CH_2—	2	2	1	-	H	
1660	Br—◯—CH_2—	1	2	0	R	H	
1661	Br—◯—CH_2—	1	2	0	R	H	

160

Table 1.152

Compd. No.	R^1, R^2 >C<(CH$_2$)$_j$–	k	m	n	chirality	R^3	–(CH$_2$)$_p$–C(R^4)(R^5)–(CH$_2$)$_q$–G–R^6
1662	Br–⟨phenyl⟩–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(=O)–(2-amino-4,5-difluorophenyl)
1663	Br–⟨phenyl⟩–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(=O)–(2-amino-5-chlorophenyl)
1664	H$_3$CS–⟨phenyl⟩–CH$_2$–	2	2	1	–	H	–CH$_2$–NH–C(=O)–(2-amino-5-(CF$_3$)phenyl)
1665	H$_3$CS–⟨phenyl⟩–CH$_2$–	2	2	1	–	H	–CH$_2$–NH–C(=O)–(2-amino-5-(OCF$_3$)phenyl)
1666	H$_3$CS–⟨phenyl⟩–CH$_2$–	2	2	1	–	H	–CH$_2$–NH–C(=O)–(2-amino-4,5-difluorophenyl)
1667	H$_3$CCH$_2$–⟨phenyl⟩–CH$_2$–	2	2	1	–	H	–CH$_2$–NH–C(=O)–(4,5-dibromofuran-2-yl)
1668	H$_3$CCH$_2$–⟨phenyl⟩–CH$_2$–	2	2	1	–	H	–CH$_2$–NH–C(=O)–(2-amino-4,5-difluorophenyl)
1669	H$_3$CCH$_2$–⟨phenyl⟩–CH$_2$–	2	2	1	–	H	–CH$_2$–NH–C(=O)–(2-amino-5-fluorophenyl)
1670	H$_3$CCH$_2$–⟨phenyl⟩–CH$_2$–	2	2	1	–	H	–CH$_2$–NH–C(=O)–(2-amino-5-iodophenyl)
1671	H$_3$CCH$_2$–⟨phenyl⟩–CH$_2$–	2	2	1	–	H	–CH$_2$–NH–C(=O)–(2-amino-5-(OCF$_3$)phenyl)
1672	H$_3$CCH$_2$–⟨phenyl⟩–CH$_2$–	2	2	1	–	H	–CH$_2$–NH–C(=O)–(2-amino-5-(CF$_3$)phenyl)

Table 1.153

Compd. No.	R^1 R^2 $\mathrm{-(CH_2)-}$	k	m	n	chirality	R^3	$\mathrm{-(CH_2)_p}$ R^4 R^5 $\mathrm{(CH_2)_q}$-G-R^6
1673	H₃CCH₂—⟨⟩—CH₂—	2	2	1	-	H	—CH₂—NH—C(O)—⟨Br, Cl⟩
1674	F—⟨⟩—CH₂—	2	2	1	-	H	—CH₂—NH—C(O)—⟨Br, Br⟩
1675	F—⟨⟩—CH₂—	2	2	1	-	H	—CH₂—NH—C(O)—⟨F, F, H₂N⟩
1676	F—⟨⟩—CH₂—	2	2	1	-	H	—CH₂—NH—C(O)—⟨F, H₂N⟩
1677	F—⟨⟩—CH₂—	2	2	1	-	H	—CH₂—NH—C(O)—⟨Br, H₂N⟩
1678	F—⟨⟩—CH₂—	2	2	1	-	H	—CH₂—NH—C(O)—⟨I, H₂N⟩
1679	F—⟨⟩—CH₂—	2	2	1	-	H	—CH₂—NH—C(O)—⟨Cl, H₂N⟩
1680	F—⟨⟩—CH₂—	2	2	1	-	H	—CH₂—NH—C(O)—⟨OCF₃, H₂N⟩
1681	F—⟨⟩—CH₂—	2	2	1	-	H	—CH₂—NH—C(O)—⟨CF₃, H₂N⟩
1682	F—⟨⟩—CH₂—	2	2	1	-	H	—CH₂—NH—C(O)—⟨Br, Cl⟩
1683	⟨⟩—NH—C(O)—⟨⟩—CH₂—	2	2	1	-	H	—CH₂—NH—C(O)—⟨Br, Br⟩

Table 1.154

Compd. No.	R^1R^2>(CH$_2$)$_j$—	k	m	n	chirality	R^3	—(CH$_2$)$_p$$R^4R^5$(CH$_2$)$_q$—G—R^6
1684	(phenyl)–NH–C(O)–(phenyl)–CH$_2$–	2	2	1	-	H	–CH$_2$–NH–C(O)–(benzene: 2-F, 4-F, 6-NH$_2$)
1685	(phenyl)–NH–C(O)–(phenyl)–CH$_2$–	2	2	1	-	H	–CH$_2$–NH–C(O)–(benzene: 3-F, 6-NH$_2$)
1686	(phenyl)–NH–C(O)–(phenyl)–CH$_2$–	2	2	1	-	·H	–CH$_2$–NH–C(O)–(benzene: 4-Br, 6-NH$_2$)
1687	(phenyl)–NH–C(O)–(phenyl)–CH$_2$–	2	2	1	-	H	–CH$_2$–NH–C(O)–(benzene: 4-I, 6-NH$_2$)
1688	(phenyl)–NH–C(O)–(phenyl)–CH$_2$–	2	2	1	-	H	–CH$_2$–NH–C(O)–(benzene: 4-Cl, 6-NH$_2$)
1689	(phenyl)–NH–C(O)–(phenyl)–CH$_2$–	2	2	1	-	H	–CH$_2$–NH–C(O)–(benzene: 4-OCF$_3$, 6-NH$_2$)
1690	(phenyl)–NH–C(O)–(phenyl)–CH$_2$–	2	2	1	-	H	–CH$_2$–NH–C(O)–(benzene: 4-CF$_3$, 6-NH$_2$)
1691	(phenyl)–NH–C(O)–(phenyl)–CH$_2$–	2	2	1	-	H	–CH$_2$–NH–C(O)–(benzene: 3-Br, 4-Cl)
1692	H$_3$C–(2-CH$_3$ phenyl)–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(O)–(furan: 4-Br, 5-Br)
1693	H$_3$C–(2-CH$_3$ phenyl)–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(O)–(benzene: 2-F, 4-F, 6-NH$_2$)
1694	H$_3$C–(2-CH$_3$ phenyl)–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(O)–(benzene: 3-F, 6-NH$_2$)

Table 1.155

Compd. No.	R^1/R^2–(CH$_2$)$_j$–	k	m	n	chirality	R^3	–(CH$_2$)$_p$–R^4/R^5–(CH$_2$)$_q$–G–R^6
1695	2,4-dimethylbenzyl (H$_3$C, CH$_3$, CH$_2$–)	1	2	0	R	H	–CH$_2$–NH–C(=O)– (2-amino-5-bromophenyl)
1696	2,4-dimethylbenzyl	1	2	0	R	H	–CH$_2$–NH–C(=O)– (2-amino-5-iodophenyl)
1697	2,4-dimethylbenzyl	1	2	0	R	H	–CH$_2$–NH–C(=O)– (2-amino-5-chlorophenyl)
1698	2,4-dimethylbenzyl	1	2	0	R	H	–CH$_2$–NH–C(=O)– (2-amino-5-OCF$_3$-phenyl)
1699	2,4-dimethylbenzyl	1	2	0	R	H	–CH$_2$–NH–C(=O)– (2-amino-5-CF$_3$-phenyl)
1700	2,4-dimethylbenzyl	1	2	0	R	H	–CH$_2$–NH–C(=O)– (3-bromo-4-chlorophenyl)
1701	H$_2$C=CH–(C$_6$H$_4$)–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(=O)– (2-amino-5-CF$_3$-phenyl)
1702	H$_3$CO–(C$_6$H$_4$)–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(=O)– (2-amino-5-CF$_3$-phenyl)
1703	(1,3-benzodioxol-5-yl)–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(=O)– (2-amino-5-CF$_3$-phenyl)
1704	HO–(C$_6$H$_4$)–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(=O)– (2-amino-5-CF$_3$-phenyl)
1705	2,4-dichlorobenzyl (Cl, Cl, CH$_2$–)	1	2	0	R	H	–CH$_2$–NH–C(=O)– (2-amino-5-CF$_3$-phenyl)

Table 1.156

Compd. No.	R^1R^2CH$-$(CH$_2$)$_j-$	k	m	n	chirality	R^3	$-$(CH$_2$)$_p-$CR^4R^5-(CH$_2$)$_q-$G$-R^6$
1706		1	2	0	R	H	
1707		1	2	0	R	H	
1708		1	2	0	R	H	
1709		1	2	0	R	H	
1710		1	2	0	R	H	
1711		1	2	0	R	H	
1712		1	2	0	R	H	
1713		1	2	0	R	H	
1714		1	2	0	R	H	
1715		1	2	0	R	H	
1716		1	2	0	R	H	

Table 1.157

Compd. No.	$R^1R^2CH(CH_2)_j-$	k	m	n	chirality	R^3	$-(CH_2)_p\overset{R^4}{\underset{R^5}{C}}(CH_2)_q-G-R^6$
1717		1	2	0	R	H	
1718		1	2	0	R	H	
1719		1	2	0	R	H	
1720		1	2	0	R	H	
1721		1	2	0	R	H	
1722		1	2	0	R	H	
1723		1	2	0	R	H	
1724		1	2	0	R	H	
1725		1	2	0	R	H	
1726		1	2	0	R	H	
1727		1	2	0	R	H	

Table 1.158

Compd. No.	R^1 R^2 $-(CH_2)_j-$	k	m	n	chirality	R^3	$-(CH_2)_p$ R^4 R^5 $-(CH_2)_q-G-R^6$
1728	(indane)–CH_2–	1	2	0	R	H	–CH_2–NH–C(O)–(phenyl, CF_3, F)
1729	H_3C–(phenyl)(CH_3)–CH_2–	1	2	0	R	H	–CH_2–NH–C(O)–(phenyl, CF_3, F)
1730	H_3C–(phenyl)–(oxadiazole)–CH_2–	1	2	0	R	H	–CH_2–NH–C(O)–(phenyl, CF_3)
1731	H_3CO–(benzimidazole)–CH_2–	1	2	0	R	H	–CH_2–NH–C(O)–(phenyl, CF_3)
1732	$HOCH_2$–(phenyl)–CH_2–	1	2	0	R	H	–CH_2–NH–C(O)–(phenyl, CF_3)
1733	(indane)–CH_2–	1	2	0	R	H	–CH_2–NH–C(O)–(phenyl, CF_3, F, F)
1734	H_3CS–(phenyl)–CH_2–	1	2	0	R	H	–CH_2–NH–C(O)–(phenyl, CF_3, F, F)
1735	H_3CCH_2–(phenyl)–CH_2–	1	2	0	R	H	–CH_2–NH–C(O)–(phenyl, CF_3, F, F)
1736	(benzofuran)–CH_2–	1	2	0	R	H	–CH_2–NH–C(O)–(phenyl, CF_3, F, F)
1737	H_3C–(phenyl)(CH_3)–CH_2–	1	2	0	R	H	–CH_2–NH–C(O)–(phenyl, CF_3, F, F)
1738	H_3C–(phenyl)(CH_3)(H_3C)–CH_2–	1	2	0	R	H	–CH_2–NH–C(O)–(phenyl, CF_3, F, F)

Table 1.159

Compd. No.	R^1, R^2-(CH$_2$)$_i$-	k	m	n	chirality	R^3	-(CH$_2$)$_p$-C(R^4)(R^5)-(CH$_2$)$_q$-G-R^6
1739	(H$_3$C)$_2$CH—⟨C$_6$H$_4$⟩—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)—⟨C$_6$H$_2$(CF$_3$)(F)(F)⟩
1740	(indanyl)—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)—⟨C$_6$H$_4$Br⟩
1741	H$_3$CS—⟨C$_6$H$_4$⟩—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)—⟨C$_6$H$_4$Br⟩
1742	H$_3$CCH$_2$—⟨C$_6$H$_4$⟩—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)—⟨C$_6$H$_4$Br⟩
1743	(2,3-dihydrobenzofuranyl)—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)—⟨C$_6$H$_4$Br⟩
1744	(2,4-(CH$_3$)$_2$C$_6$H$_3$)—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)—⟨C$_6$H$_4$Br⟩
1745	(2,4,5-(CH$_3$)$_3$C$_6$H$_2$)—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)—⟨C$_6$H$_4$Br⟩
1746	(H$_3$C)$_2$CH—⟨C$_6$H$_4$⟩—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)—⟨C$_6$H$_4$Br⟩
1747	(indanyl)—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)—⟨C$_6$H$_3$(Br)(NH$_2$)⟩
1748	H$_3$CCH$_2$—⟨C$_6$H$_4$⟩—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)—⟨C$_6$H$_3$(Br)(NH$_2$)⟩
1749	(2,4-(CH$_3$)$_2$C$_6$H$_3$)—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)—⟨C$_6$H$_3$(Br)(NH$_2$)⟩

Table 1.160

Compd. No.	R^1R^2CH$-$(CH$_2$)$_j-$	k	m	n	chirality	R^3	$-$(CH$_2$)$_p$CR^4R^5(CH$_2$)$_q-$G$-$R^6
1750	(indanyl)$-$CH$_2-$	1	2	0	R	H	$-$CH$_2$NHC(O)$-$C$_6$H$_4-$OCF$_3$
1751	H$_3$CS$-$C$_6$H$_4-$CH$_2-$	1	2	0	R	H	$-$CH$_2$NHC(O)$-$C$_6$H$_4-$OCF$_3$
1752	H$_3$CCH$_2-$C$_6$H$_4-$CH$_2-$	1	2	0	R	H	$-$CH$_2$NHC(O)$-$C$_6$H$_4-$OCF$_3$
1753	(dihydrobenzofuranyl)$-$CH$_2-$	1	2	0	R	H	$-$CH$_2$NHC(O)$-$C$_6$H$_4-$OCF$_3$
1754	(2,4-dimethylphenyl)$-$CH$_2-$	1	2	0	R	H	$-$CH$_2$NHC(O)$-$C$_6$H$_4-$OCF$_3$
1755	(2,4,5-trimethylphenyl)$-$CH$_2-$	1	2	0	R	H	$-$CH$_2$NHC(O)$-$C$_6$H$_4-$OCF$_3$
1756	(H$_3$C)$_2$CH$-$C$_6$H$_4-$CH$_2-$	1	2	0	R	H	$-$CH$_2$NHC(O)$-$C$_6$H$_4-$OCF$_3$
1757	(tetrabromophenyl)$-$CH$_2-$	1	2	0	R	H	$-$CH$_2$NHC(O)$-$C$_6$H$_4-$CF$_3$
1758	H$_3$CO(tetrabromophenyl)$-$CH$_2-$	1	2	0	R	H	$-$CH$_2$NHC(O)$-$C$_6$H$_4-$CF$_3$
1759	H$_3$C$-$C$_6$H$_4-$CH$_2-$	1	2	0	R	H	$-$CH$_2$NHC(O)$-$C$_6$H$_4-$CH$_2$CH$_3$
1760	H$_3$C$-$C$_6$H$_4-$CH$_2-$	1	2	0	R	H	$-$CH$_2$NHC(O)$-$C$_6$H$_3$($-$OCH$_3$)$-$OCF$_2$CHClF

Table 1.161

Compd. No.	R^1 $R^2$$\!>\!$(CH$_2$)$_j$—	k	m	n	chirality	R^3	—(CH$_2$)$_p$$\!\overset{R^4}{\underset{R^5}{C}}\!$(CH$_2$)$_q$-G-R^6
1761	H$_3$C—◯—CH$_2$—	1	2	0	R	H	—CH$_2$NHCO—(phenyl)—NHCONH—(3,4-dichlorophenyl)
1762	(3,5-dimethylisoxazol-4-yl)—CH$_2$—	1	2	0	R	H	—CH$_2$NHCO—(phenyl)—NHCONH—(2-chlorophenyl)
1763	◯—CH$_2$—	2	2	0	-	H	—CH$_2$NHCO—(3-OCH$_2$CH$_3$-phenyl)
1764	◯—CH$_2$—	2	2	0	-	H	—CH$_2$CH$_2$NHCO—(3-OCH$_2$CH$_3$-phenyl)
1765	◯—CH$_2$—	2	2	0	-	H	(S) —CH(CH$_2$CH(CH$_3$)$_2$)NHCO—(3-OCH$_2$CH$_3$-phenyl)
1766	◯—CH$_2$—	2	2	0	-	H	(R) —CH(CH$_2$CH(CH$_3$)$_2$)NHCO—(3-OCH$_2$CH$_3$-phenyl)
1767	Cl—◯—CH$_2$—	1	3	1	-	H	—CH$_2$NHCO—(3-OCH$_2$CH$_3$-phenyl)
1768	Cl—◯—CH$_2$—	1	3	1	-	H	—CH$_2$CH$_2$NHCO—(3-OCH$_2$CH$_3$-phenyl)
1769	(3,5-dimethylisoxazol-4-yl)—CH$_2$—	1	2	0	R	H	—CH$_2$NHCO—(4-OCH$_3$-2-(O-CHCl-CHF$_2$)-phenyl)
1770	(3,5-dimethylisoxazol-4-yl)—CH$_2$—	1	2	0	R	H	—CH$_2$NHCO—(phenyl)—NHCONH—(3,4-dichlorophenyl)
1771	(3,5-dimethylisoxazol-4-yl)—CH$_2$—	1	2	0	R	H	—CH$_2$NHCO—(phenyl)—CONH—CH(CH(CH$_3$)$_3$)CH$_3$

170

Table 1.162

Compd. No.	R^1, R^2-(CH$_2$)$_j$-	k	m	n	chirality	R^3	-(CH$_2$)$_p$-R^4,R^5-(CH$_2$)$_q$-G-R^6
1772	3,5-dimethylisoxazol-4-yl-CH$_2$-	1	2	0	R	H	(structure)
1773	3,5-dimethylisoxazol-4-yl-CH$_2$-	1	2	0	R	H	(structure)
1774	3,5-dimethylisoxazol-4-yl-CH$_2$-	1	2	0	R	H	(structure)
1775	HO-, H$_3$CO-phenyl-CH$_2$-	1	2	0	R	H	(structure)
1776	H$_3$CO-, HO-phenyl-CH$_2$-	1	2	0	R	H	(structure)
1777	Cl,Cl-phenyl-CH$_2$-	2	2	1	-	H	(structure)
1778	H$_3$C-phenyl-CH$_2$-	2	2	1	-	H	(structure)
1779	benzodioxol-CH$_2$-	2	2	1	-	H	(structure)
1780	Br-phenyl-CH$_2$-	2	2	1	-	H	(structure)
1781	HO-phenyl-CH$_2$-	2	2	1	-	H	(structure)
1782	H$_2$C=CH-phenyl-CH$_2$-	2	2	1	-	H	(structure)

171

Table 1.163

Compd. No.	R^1R^2C$-$(CH$_2$)$_j-$	k	m	n	chirality	R^3	$-$(CH$_2$)$_p-$CR^{4}R$^5-$(CH$_2$)$_q-$G$-$R^6
1783	NC—⬡—CH$_2-$	2	2	1	-	H	$-$CH$_2-$NH$-$C(=O)$-$(2-NH$_2$,5-CF$_3$-phenyl)
1784	⬡—CH$_2-$	2	2	1	-	H	$-$CH$_2-$NH$-$C(=O)$-$(2-NH$_2$,5-CF$_3$-phenyl)
1785	CH$_3$(CH$_2$)$_2$—⬡—CH$_2-$	2	2	1	-	H	$-$CH$_2-$NH$-$C(=O)$-$(2-NH$_2$,5-CF$_3$-phenyl)
1786	(2,3-dihydrobenzofuran-5-yl)—CH$_2-$	2	2	1	-	H	$-$CH$_2-$NH$-$C(=O)$-$(2-NH$_2$,5-CF$_3$-phenyl)
1787	CH$_3$(CH$_2$)$_2$—⬡—CH$_2-$	1	2	0	R	H	$-$CH$_2-$NH$-$C(=O)$-$(2-NH$_2$,5-CF$_3$-phenyl)
1788	H$_3$C—(2-CH$_3$-phenyl)—CH$_2-$	2	2	1	-	H	$-$CH$_2-$NH$-$C(=O)$-$(2-NH$_2$,5-CF$_3$-phenyl)
1789	H$_3$CO—⬡—CH$_2-$	2	2	1	-	H	$-$CH$_2-$NH$-$C(=O)$-$(2-NH$_2$,5-CF$_3$-phenyl)
1790	Cl—⬡—CH$_2-$	1	2	0	S	H	$-$CH$_2-$NH$-$C(=O)$-$(2-NH$_2$,5-CF$_3$-phenyl)
1791	Cl—⬡—CH$_2-$	1	2	0	S	H	$-$CH$_2-$NH$-$C(=O)$-$(2-NH$_2$,5-OCF$_3$-phenyl)
1792	H$_3$C—(2-CH$_3$-phenyl)—CH$_2-$	2	2	1	-	H	$-$CH$_2-$NH$-$C(=O)$-$(2-NH$_2$,4,5-F$_2$-phenyl)
1793	Cl—(2-Cl-phenyl)—CH$_2-$	2	2	1	-	H	$-$CH$_2-$NH$-$C(=O)$-$(2-NH$_2$,4,5-F$_2$-phenyl)

Table 1.164

Compd. No.	R^1 R^2 $-(CH_2)_j-$	k	m	n	chirality	R^3	$-(CH_2)_p-\overset{R^4}{\underset{R^5}{C}}-(CH_2)_q-G-R^6$
1794	H_3C—〇—CH_2—	2	2	1	-	H	$-CH_2-N(H)-C(O)-$ aryl (F, F, H_2N)
1795	dioxole—CH_2—	2	2	1	-	H	$-CH_2-N(H)-C(O)-$ aryl (F, F, H_2N)
1796	Br—〇—CH_2—	2	2	1	-	H	$-CH_2-N(H)-C(O)-$ aryl (F, F, H_2N)
1797	HO—〇—CH_2—	2	2	1	-	H	$-CH_2-N(H)-C(O)-$ aryl (F, F, H_2N)
1798	H_3CO—〇—CH_2—	2	2	1	-	H	$-CH_2-N(H)-C(O)-$ aryl (F, F, H_2N)
1799	$H_2C=CH$—〇—CH_2—	2	2	1	-	H	$-CH_2-N(H)-C(O)-$ aryl (F, F, H_2N)
1800	NC—〇—CH_2—	2	2	1	-	H	$-CH_2-N(H)-C(O)-$ aryl (F, F, H_2N)
1801	〇—CH_2—	2	2	1	-	H	$-CH_2-N(H)-C(O)-$ aryl (F, F, H_2N)
1802	HO, H_3CCH_2O—〇—CH_2—	1	2	0	R	H	$-CH_2-N(H)-C(O)-$ aryl (CF_3, H_2N)
1803	HO, H_3C—〇—CH_2—	1	2	0	R	H	$-CH_2-N(H)-C(O)-$ aryl (CF_3, H_2N)
1804	$H_3C(CH_2)_2$—〇—CH_2—	2	2	1	-	H	$-CH_2-N(H)-C(O)-$ aryl (F, F, H_2N)

Table 1.165

Compd. No.	R^1 / R^2 >(CH$_2$)$_j$—	k	m	n	chirality	R^3	—(CH$_2$)$_p$—CR^4R^5—(CH$_2$)$_q$—G—R^6
1805	Br-C$_6$H$_4$-CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO—C$_6$H$_4$—SCF$_3$
1806	H$_3$CO-C$_6$H$_4$-CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO—C$_6$H$_4$—SCF$_3$
1807	(H$_3$CO, HO)-C$_6$H$_3$-CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO—C$_6$H$_4$—SCF$_3$
1808	(HO, H$_3$CO)-C$_6$H$_3$-CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO—C$_6$H$_4$—SCF$_3$
1809	HO-C$_6$H$_4$-CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO—C$_6$H$_4$—SCF$_3$
1810	methylenedioxyphenyl-CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO—C$_6$H$_4$—SCF$_3$
1811	indanyl-CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO—C$_6$H$_4$—SCF$_3$
1812	H$_3$CS-C$_6$H$_4$-CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO—C$_6$H$_4$—SCF$_3$
1813	H$_3$CCH$_2$-C$_6$H$_4$-CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO—C$_6$H$_4$—SCF$_3$
1814	dihydrobenzofuranyl-CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO—C$_6$H$_4$—SCF$_3$
1815	(CH$_3$, H$_3$C)-C$_6$H$_3$-CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO—C$_6$H$_4$—SCF$_3$

Table 1.166

Compd. No.	R^1R^2-CH-(CH$_2$)$_j$-	k	m	n	chirality	R^3	-(CH$_2$)$_p$-CR^{4}R^5-(CH$_2$)$_q$-G-R^6
1816	(CH$_3$)$_2$CH—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)—⬡(SCF$_3$)
1817	(CH$_3$)$_3$C—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)—⬡(SCF$_3$)
1818	Br—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)—⬡(OCHF$_2$)
1819	H$_3$CO—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)—⬡(OCHF$_2$)
1820	H$_3$CO,HO—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)—⬡(OCHF$_2$)
1821	HO,H$_3$CO—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)—⬡(OCHF$_2$)
1822	HO—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)—⬡(OCHF$_2$)
1823	(methylenedioxyphenyl)—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)—⬡(OCHF$_2$)
1824	(indanyl)—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)—⬡(OCHF$_2$)
1825	H$_3$CS—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)—⬡(OCHF$_2$)
1826	H$_3$CCH$_2$—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(O)—⬡(OCHF$_2$)

Table 1.167

Compd. No.	$R^1\!\!\diagdown\!\! {}_{R^2}\!\!\diagup\!\!(CH_2)_j\!\!-$	k	m	n	chirality	R^3	$-(CH_2)_p\overset{R^4}{\underset{R^5}{C}}(CH_2)_q\!-G\!-R^6$
1827	2,3-dihydrobenzofuran-CH₂–	1	2	0	R	H	–CH₂–NH–C(=O)–C₆H₄–OCHF₂
1828	(2,4-(CH₃)₂)C₆H₃–CH₂–	1	2	0	R	H	–CH₂–NH–C(=O)–C₆H₄–OCHF₂
1829	(2,4,5-(CH₃)₃)C₆H₂–CH₂–	1	2	0	R	H	–CH₂–NH–C(=O)–C₆H₄–OCHF₂
1830	(CH₃)₂CH–C₆H₄–CH₂–	1	2	0	R	H	–CH₂–NH–C(=O)–C₆H₄–OCHF₂
1831	Br–C₆H₄–CH₂–	1	2	0	R	H	–CH₂–NH–C(=O)–furan–C(CH₃)₃
1832	H₃CO–C₆H₄–CH₂–	1	2	0	R	H	–CH₂–NH–C(=O)–furan–C(CH₃)₃
1833	(3-OCH₃, 4-OH)C₆H₃–CH₂–	1	2	0	R	H	–CH₂–NH–C(=O)–furan–C(CH₃)₃
1834	(2-OH, 1-OCH₃)C₆H₃–CH₂–	1	2	0	R	H	–CH₂–NH–C(=O)–furan–C(CH₃)₃
1835	HO–C₆H₄–CH₂–	1	2	0	R	H	–CH₂–NH–C(=O)–furan–C(CH₃)₃
1836	benzo[1,3]dioxol-CH₂–	1	2	0	R	H	–CH₂–NH–C(=O)–furan–C(CH₃)₃
1837	indan-CH₂–	1	2	0	R	H	–CH₂–NH–C(=O)–furan–C(CH₃)₃

Table 1.168

Compd. No.	$R^1R^2CH\text{-}(CH_2)_j\text{-}$	k	m	n	chirality	R^3	$-(CH_2)_p\text{-}CR^4R^5\text{-}(CH_2)_q\text{-}G\text{-}R^6$
1838	H₃CS—⬡—CH₂—	1	2	0	R	H	—CH₂-NH-C(O)-furan-C(CH₃)₃
1839	H₃CCH₂—⬡—CH₂—	1	2	0	R	H	—CH₂-NH-C(O)-furan-C(CH₃)₃
1840	(2,3-dihydrobenzofuran)—CH₂—	1	2	0	R	H	—CH₂-NH-C(O)-furan-C(CH₃)₃
1841	H₃C—⬡(CH₃)—CH₂—	1	2	0	R	H	—CH₂-NH-C(O)-furan-C(CH₃)₃
1842	H₃C—⬡(CH₃)(H₃C)—CH₂—	1	2	0	R	H	—CH₂-NH-C(O)-furan-C(CH₃)₃
1843	(CH₃)₂CH—⬡—CH₂—	1	2	0	R	H	—CH₂-NH-C(O)-furan-C(CH₃)₃
1844	(CH₃)₃C—⬡—CH₂—	1	2	0	R	H	—CH₂-NH-C(O)-furan-C(CH₃)₃
1845	H₃CCH₂—⬡—CH₂—	1	2	0	R	H	—CH₂-NH-C(O)-(Br-phenyl-NH-CH₂-⬡-CH₂CH₃)
1846	H₃C—⬡(CH₃)(H₃C)—CH₂—	1	2	0	R	H	—CH₂-NH-C(O)-phenyl-SCF₃
1847	(CH₃)₃C—⬡—CH₂—	1	2	0	R	H	—CH₂-NH-C(O)-phenyl-OCHF₂
1848	H₃CO(HO)—⬡—CH₂—	1	2	0	R	H	—CH₂-NH-C(O)-biphenyl

177

Table 1.169

Compd. No.	R^1, R^2 $\backslash$(CH$_2$)$_j$—	k	m	n	chirality	R^3	—(CH$_2$)$_p$—CR^{4}R^5—(CH$_2$)$_q$—G—R^6
1849	(indane)–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(O)–(biphenyl)
1850	H$_3$CCH$_2$–(C$_6$H$_4$)–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(O)–(biphenyl)
1851	H$_3$C–(CH$_3$)(C$_6$H$_3$)–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(O)–(biphenyl)
1852	(dihydrobenzofuran)–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(O)–(biphenyl)
1853	H$_3$CO, HO–(C$_6$H$_3$)–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(O)–(C$_6$H$_4$)–S(O)$_2$–C$_6$H$_5$
1854	(indane)–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(O)–(C$_6$H$_4$)–S(O)$_2$–C$_6$H$_5$
1855	H$_3$CCH$_2$–(C$_6$H$_4$)–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(O)–(C$_6$H$_4$)–S(O)$_2$–C$_6$H$_5$
1856	H$_3$C–(CH$_3$)(C$_6$H$_3$)–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(O)–(C$_6$H$_4$)–S(O)$_2$–C$_6$H$_5$
1857	(dihydrobenzofuran)–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(O)–(C$_6$H$_4$)–S(O)$_2$–C$_6$H$_5$
1858	Br–(C$_6$H$_4$)–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(O)–(C$_6$H$_3$)(Br)(NH$_2$)
1859	H$_3$CO–(C$_6$H$_4$)–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(O)–(C$_6$H$_3$)(Br)(NH$_2$)

Table 1.170

Compd. No.	R^1, R^2-(CH$_2$)$_j$-	k	m	n	chirality	R^3	-(CH$_2$)$_p$-R^4,R^5-(CH$_2$)$_q$-G-R^6
1860	H$_3$CO, HO—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO—⬡(Br)(NH$_2$)
1861	HO, H$_3$CO—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO—⬡(Br)(NH$_2$)
1862	HO—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO—⬡(Br)(NH$_2$)
1863	⬡(O-CH$_2$-O)—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO—⬡(Br)(NH$_2$)
1864	H$_3$CS—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO—⬡(Br)(NH$_2$)
1865	⬡(O-CH$_2$-CH$_2$)—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO—⬡(Br)(NH$_2$)
1866	H$_3$C, H$_3$C, H$_3$C, CH$_3$—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO—⬡(Br)(NH$_2$)
1867	(CH$_3$)$_2$CH—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO—⬡(Br)(NH$_2$)
1868	(CH$_3$)$_3$C—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO—⬡(Br)(NH$_2$)
1869	Br—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO—⬡(I)(NH$_2$)
1870	H$_3$CO—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO—⬡(I)(NH$_2$)

Table 1.171

Compd. No.	R^1 R^2 $\rangle$ (CH$_2$)$_j$—	k	m	n	chirality	R^3	—(CH$_2$)$_p$ R^4 R^5 (CH$_2$)$_q$—G—R^6
1871	H$_3$CO / HO —CH$_2$—	1	2	0	R	H	—CH$_2$—N(H)—C(O)— ... H$_2$N
1872	HO / H$_3$CO —CH$_2$—	1	2	0	R	H	—CH$_2$—N(H)—C(O)— ... H$_2$N
1873	HO—◯—CH$_2$—	1	2	0	R	H	—CH$_2$—N(H)—C(O)— ... H$_2$N
1874	◯(O–CH$_2$–O)—CH$_2$—	1	2	0	R	H	—CH$_2$—N(H)—C(O)— ... H$_2$N
1875	◯—CH$_2$—	1	2	0	R	H	—CH$_2$—N(H)—C(O)— ... H$_2$N
1876	H$_3$CS—◯—CH$_2$—	1	2	0	R	H	—CH$_2$—N(H)—C(O)— ... H$_2$N
1877	H$_3$CCH$_2$—◯—CH$_2$—	1	2	0	R	H	—CH$_2$—N(H)—C(O)— ... H$_2$N
1878	◯—CH$_2$—	1	2	0	R	H	—CH$_2$—N(H)—C(O)— ... H$_2$N
1879	H$_3$C / CH$_3$ / H$_3$C —CH$_2$—	1	2	0	R	H	—CH$_2$—N(H)—C(O)— ... H$_2$N
1880	(CH$_3$)$_2$CH—◯—CH$_2$—	1	2	0	R	H	—CH$_2$—N(H)—C(O)— ... H$_2$N
1881	(CH$_3$)$_3$C—◯—CH$_2$—	1	2	0	R	H	—CH$_2$—N(H)—C(O)— ... H$_2$N

Table 1.172

Compd. No.	R^1 R^2 $\!>\!$ (CH$_2$)$_j$—	k	m	n	chirality	R^3	—(CH$_2$)$_p$ $\overset{R^4}{\underset{R^5}{C}}$ (CH$_2$)$_q$–G–R^6
1882	Br—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(=O)— (2-NH$_2$, 5-NO$_2$ phenyl)
1883	H$_3$CO—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(=O)— (2-NH$_2$, 5-NO$_2$ phenyl)
1884	H$_3$CO / HO—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(=O)— (2-NH$_2$, 5-NO$_2$ phenyl)
1885	HO / H$_3$CO—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(=O)— (2-NH$_2$, 5-NO$_2$ phenyl)
1886	HO—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(=O)— (2-NH$_2$, 5-NO$_2$ phenyl)
1887	(1,3-benzodioxol)—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(=O)— (2-NH$_2$, 5-NO$_2$ phenyl)
1888	(indanyl)—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(=O)— (2-NH$_2$, 5-NO$_2$ phenyl)
1889	H$_3$CS—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(=O)— (2-NH$_2$, 5-NO$_2$ phenyl)
1890	H$_3$CCH$_2$—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(=O)— (2-NH$_2$, 5-NO$_2$ phenyl)
1891	(2,3-dihydrobenzofuran)—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(=O)— (2-NH$_2$, 5-NO$_2$ phenyl)
1892	H$_3$C / CH$_3$—⬡—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—C(=O)— (2-NH$_2$, 5-NO$_2$ phenyl)

Table 1.173

Compd. No.	$R^1R^2CH-(CH_2)_j-$	k	m	n	chirality	R^3	$-(CH_2)_p-CR^4R^5-(CH_2)_q-G-R^6$
1893	3,4,5-trimethylbenzyl (H_3C, CH_3, H_3C substituted, $-CH_2-$)	1	2	0	R	H	$-CH_2-NH-CO-$ (2-amino-5-nitrophenyl)
1894	$(CH_3)_2CH-$ phenyl $-CH_2-$	1	2	0	R	H	$-CH_2-NH-CO-$ (2-amino-5-nitrophenyl)
1895	$(CH_3)_3C-$ phenyl $-CH_2-$	1	2	0	R	H	$-CH_2-NH-CO-$ (2-amino-5-nitrophenyl)
1896	HO, H_3CO substituted benzyl $-CH_2-$	1	2	0	R	H	$-CH_2-NH-CO-$ (2-amino-5-OCF_3-phenyl)
1897	H_3CS- phenyl $-CH_2-$	1	2	0	R	H	$-CH_2-NH-CO-$ (2-amino-5-OCF_3-phenyl)
1898	H_3CCH_2- phenyl $-CH_2-$	1	2	0	R	H	$-CH_2-NH-CO-$ (2-amino-5-OCF_3-phenyl)
1899	$(CH_3)_2CH-$ phenyl $-CH_2-$	1	2	0	R	H	$-CH_2-NH-CO-$ (2-amino-5-OCF_3-phenyl)
1900	H_3CO, HO substituted benzyl $-CH_2-$	1	2	0	R	H	$-CH_2-NH-CO-$ (2-amino-5-OCF_3-phenyl)
1901	$H_3C(CH_2)_2-$ phenyl $-CH_2-$	1	2	0	R	H	$-CH_2-NH-CO-$ (2-amino-5-OCF_3-phenyl)
1902	2,3-dihydrobenzofuranyl $-CH_2-$	1	2	0	R	H	$-CH_2-NH-CO-$ (2-amino-5-OCF_3-phenyl)
1903	$(CH_3)_2CH-$ phenyl $-CH_2-$	2	2	1	-	H	$-CH_2-NH-CO-$ (2-amino-5-OCF_3-phenyl)

Table 1.174

Compd. No.	R^1 / R^2 >CH-(CH_2)_m-	k	m	n	chirality	R^3	$-(CH_2)_p-C(R^4)(R^5)-(CH_2)_q-G-R^6$
1904	$H_3C(CH_2)_2$—〈C6H4〉—CH_2—	2	2	1	-	H	$-CH_2-NH-C(O)-$ (2-amino-5-OCF_3-phenyl)
1905	(2,4-diCl-phenyl)—CH_2—	1	2	0	R	H	$-CH_2-NH-C(O)-$ (2-amino-5-OCF_3-phenyl)
1906	(benzo[1,3]dioxol-5-yl)—CH_2—	1	2	0	R	H	$-CH_2-NH-C(O)-$ (2-amino-5-OCF_3-phenyl)
1907	HO—〈C6H4〉—CH_2—	1	2	0	R	H	$-CH_2-NH-C(O)-$ (2-amino-5-OCF_3-phenyl)
1908	H_3CO—〈C6H4〉—CH_2—	1	2	0	R	H	$-CH_2-NH-C(O)-$ (2-amino-5-OCF_3-phenyl)
1909	$H_2C=CH$—〈C6H4〉—CH_2—	1	2	0	R	H	$-CH_2-NH-C(O)-$ (2-amino-5-OCF_3-phenyl)
1910	Br—〈C6H4〉—CH_2—	2	2	1	-	H	$-CH_2-NH-C(O)-$ (2-amino-5-OCF_3-phenyl)
1911	(2,4-diCl-phenyl)—CH_2—	2	2	1	-	H	$-CH_2-NH-C(O)-$ (2-amino-5-OCF_3-phenyl)
1912	HO—〈C6H4〉—CH_2—	2	2	1	-	H	$-CH_2-NH-C(O)-$ (2-amino-5-OCF_3-phenyl)
1913	(2,4-di-CH_3-phenyl)—CH_2—	2	2	1	-	H	$-CH_2-NH-C(O)-$ (2-amino-5-OCF_3-phenyl)
1914	H_3C—〈C6H4〉—CH_2—	2	2	1	-	H	$-CH_2-NH-C(O)-$ (2-amino-5-OCF_3-phenyl)

183

Table 1.175

Compd. No.	$R^1 R^2$ $>$ $(CH_2)_j-$	k	m	n	chirality	R^3	$-(CH_2)_p$ $R^4 R^5$ $(CH_2)_q$ $G-R^6$
1915	H₃CCH₂O / HO –CH₂– (benzene)	1	2	0	R	H	–CH₂–NH–C(=O)– benzene, OCF₃, H₂N
1916	H₃C / HO –CH₂– (benzene)	1	2	0	R	H	–CH₂–NH–C(=O)– benzene, OCF₃, H₂N
1917	H₃CCH₂O / HO –CH₂– (benzene)	2	2	1	–	H	–CH₂–NH–C(=O)– benzene, OCF₃, H₂N
1918	H₃C / HO –CH₂– (benzene)	2	2	1	–	H	–CH₂–NH–C(=O)– benzene, OCF₃, H₂N
1919	Cl / NH₂ –CH₂– (benzene)	2	2	1	–	H	–CH₂–NH–C(=O)– benzene, CF₃, H₂N
1920	Cl / NH₂ –CH₂– (benzene)	2	2	1	–	H	–CH₂–NH–C(=O)– benzene, F, F, H₂N
1921	Cl / NH₂ –CH₂– (benzene)	1	2	0	R	H	–CH₂–NH–C(=O)– benzene, OCF₃, H₂N
1922	Cl / NH₂ –CH₂– (benzene)	2	2	1	–	H	–CH₂–NH–C(=O)– benzene, OCF₃, H₂N
1923	Br– benzene –CH₂–	2	2	1	–	H	–CH₂–NH–C(=O)– benzene, SCF₃
1924	H₃CO– benzene –CH₂–	2	2	1	–	H	–CH₂–NH–C(=O)– benzene, SCF₃
1925	F– benzene –CH₂–	2	2	1	–	H	–CH₂–NH–C(=O)– benzene, SCF₃

Table 1.176

Compd. No.	R^1, R^2 –(CH$_2$)$_j$–	k	m	n	chirality	R^3	–(CH$_2$)$_p$–C(R^4)(R^5)–(CH$_2$)$_q$–G–R^6
1926	2,4-difluorophenyl–CH$_2$–	2	2	1	–	H	–CH$_2$–NH–C(O)–C$_6$H$_4$–SCF$_3$
1927	HO–C$_6$H$_4$–CH$_2$–	2	2	1	–	H	–CH$_2$–NH–C(O)–C$_6$H$_4$–SCF$_3$
1928	benzo[1,3]dioxol-yl–CH$_2$–	2	2	1	–	H	–CH$_2$–NH–C(O)–C$_6$H$_4$–SCF$_3$
1929	indanyl–CH$_2$–	2	2	1	–	H	–CH$_2$–NH–C(O)–C$_6$H$_4$–SCF$_3$
1930	H$_3$CS–C$_6$H$_4$–CH$_2$–	2	2	1	–	H	–CH$_2$–NH–C(O)–C$_6$H$_4$–SCF$_3$
1931	H$_3$CCH$_2$–C$_6$H$_4$–CH$_2$–	2	2	1	–	H	–CH$_2$–NH–C(O)–C$_6$H$_4$–SCF$_3$
1932	2,3-dihydrobenzofuranyl–CH$_2$–	2	2	1	–	H	–CH$_2$–NH–C(O)–C$_6$H$_4$–SCF$_3$
1933	2,4-dimethylphenyl–CH$_2$–	2	2	1	–	H	–CH$_2$–NH–C(O)–C$_6$H$_4$–SCF$_3$
1934	2,4,6-trimethylphenyl–CH$_2$–	2	2	1	–	H	–CH$_2$–NH–C(O)–C$_6$H$_4$–SCF$_3$
1935	O$_2$N–C$_6$H$_4$–CH$_2$–	2	2	1	–	H	–CH$_2$–NH–C(O)–C$_6$H$_4$–SCF$_3$
1936	H$_3$C–C$_6$H$_4$–CH$_2$–	2	2	1	–	H	–CH$_2$–NH–C(O)–C$_6$H$_4$–SCF$_3$

Table 1.177

Compd. No.	R^1R^2CH$(CH_2)_j$—	k	m	n	chirality	R^3	$-(CH_2)_p\underset{R^5}{\overset{R^4}{C}}(CH_2)_q$-G-$R^6$
1937	(CH₃)₂CH—⬡—CH₂—	2	2	1	-	H	—CH₂-NH-CO-⬡-SCF₃
1938	Br—⬡—CH₂—	2	2	1	-	H	—CH₂-NH-CO-⬡(Br)(CH₃)
1939	H₃CO—⬡—CH₂—	2	2	1	-	H	—CH₂-NH-CO-⬡(Br)(CH₃)
1940	F—⬡—CH₂—	2	2	1	-	H	—CH₂-NH-CO-⬡(Br)(CH₃)
1941	F,F—⬡—CH₂—	2	2	1	-	H	—CH₂-NH-CO-⬡(Br)(CH₃)
1942	HO—⬡—CH₂—	2	2	1	-	H	—CH₂-NH-CO-⬡(Br)(CH₃)
1943	(benzodioxole)—CH₂—	2	2	1	-	H	—CH₂-NH-CO-⬡(Br)(CH₃)
1944	(indane)—CH₂—	2	2	1	-	H	—CH₂-NH-CO-⬡(Br)(CH₃)
1945	H₃CS—⬡—CH₂—	2	2	1	-	H	—CH₂-NH-CO-⬡(Br)(CH₃)
1946	H₃CCH₂—⬡—CH₂—	2	2	1	-	H	—CH₂-NH-CO-⬡(Br)(CH₃)
1947	(dihydrobenzofuran)—CH₂—	2	2	1	-	H	—CH₂-NH-CO-⬡(Br)(CH₃)

Table 1.178

Compd. No.	R^1, R^2 $-(CH_2)_j-$	k	m	n	chirality	R^3	$-(CH_2)_p$ R^4, R^5 $(CH_2)_q$-G-R^6
1948	H₃C— (2,5-dimethylphenyl) —CH₂— (with CH₃)	2	2	1	–	H	—CH₂—NH—C(=O)— (3-Br-4-CH₃-phenyl)
1949	H₃C— (trimethylphenyl, with CH₃ and H₃C) —CH₂—	2	2	1	–	H	—CH₂—NH—C(=O)— (3-Br-4-CH₃-phenyl)
1950	O₂N— (phenyl) —CH₂—	2	2	1	–	H	—CH₂—NH—C(=O)— (3-Br-4-CH₃-phenyl)
1951	H₃C— (phenyl) —CH₂—	2	2	1	–	H	—CH₂—NH—C(=O)— (3-Br-4-CH₃-phenyl)
1952	Br— (phenyl) —CH₂—	2	2	1	–	H	—CH₂—NH—C(=O)— (3-Br-4-F-phenyl)
1953	H₃CO— (phenyl) —CH₂—	2	2	1	–	H	—CH₂—NH—C(=O)— (3-Br-4-F-phenyl)
1954	F— (phenyl) —CH₂—	2	2	1	–	H	—CH₂—NH—C(=O)— (3-Br-4-F-phenyl)
1955	F— (difluorophenyl, with F) —CH₂—	2	2	1	–	H	—CH₂—NH—C(=O)— (3-Br-4-F-phenyl)
1956	HO— (phenyl) —CH₂—	2	2	1	–	H	—CH₂—NH—C(=O)— (3-Br-4-F-phenyl)
1957	(benzodioxole) —CH₂—	2	2	1	–	H	—CH₂—NH—C(=O)— (3-Br-4-F-phenyl)
1958	(indane) —CH₂—	2	2	1	–	H	—CH₂—NH—C(=O)— (3-Br-4-F-phenyl)

Table 1.179

Compd. No.	R¹R²>C<(CH₂)ⱼ—	k	m	n	chirality	R³	—(CH₂)ₚ—CR⁴R⁵—(CH₂)q—G—R⁶
1959	H₃CS—⟨C₆H₄⟩—CH₂—	2	2	1	-	H	—CH₂—NH—C(=O)—(3-Br,4-F-C₆H₃)
1960	H₃CCH₂—⟨C₆H₄⟩—CH₂—	2	2	1	-	H	—CH₂—NH—C(=O)—(3-Br,4-F-C₆H₃)
1961	(2,3-dihydrobenzofuran-5-yl)—CH₂—	2	2	1	-	H	—CH₂—NH—C(=O)—(3-Br,4-F-C₆H₃)
1962	(2,4-(CH₃)₂-C₆H₃)—CH₂—	2	2	1	-	H	—CH₂—NH—C(=O)—(3-Br,4-F-C₆H₃)
1963	(2,4,5-(CH₃)₃-C₆H₂)—CH₂—	2	2	1	-	H	—CH₂—NH—C(=O)—(3-Br,4-F-C₆H₃)
1964	O₂N—⟨C₆H₄⟩—CH₂—	2	2	1	-	H	—CH₂—NH—C(=O)—(3-Br,4-F-C₆H₃)
1965	H₃C—⟨C₆H₄⟩—CH₂—	2	2	1	-	H	—CH₂—NH—C(=O)—(3-Br,4-F-C₆H₃)
1966	(CH₃)₂CH—⟨C₆H₄⟩—CH₂—	2	2	1	-	H	—CH₂—NH—C(=O)—(3-Br,4-F-C₆H₃)
1967	Br—⟨C₆H₄⟩—CH₂—	2	2	1	-	H	—CH₂—NH—C(=O)—(5-F,2-NH₂-C₆H₃)
1968	H₃CO—⟨C₆H₄⟩—CH₂—	2	2	1	-	H	—CH₂—NH—C(=O)—(5-F,2-NH₂-C₆H₃)
1969	HO—⟨C₆H₄⟩—CH₂—	2	2	1	-	H	—CH₂—NH—C(=O)—(5-F,2-NH₂-C₆H₃)

Table 1.180

Compd. No.	R^1R^2C—$(CH_2)_j$—	k	m	n	chirality	R^3	—$(CH_2)_p$—CR^4R^5—$(CH_2)_q$—G—R^6
1970	(benzodioxole)—CH₂—	2	2	1	-	H	—CH₂—NH—C(O)—(2-amino-5-fluorophenyl)
1971	(indane)—CH₂—	2	2	1	-	H	—CH₂—NH—C(O)—(2-amino-5-fluorophenyl)
1972	H₃CS—(C₆H₄)—CH₂—	2	2	1	-	H	—CH₂—NH—C(O)—(2-amino-5-fluorophenyl)
1973	H₃CCH₂—(C₆H₄)—CH₂—	2	2	1	-	H	—CH₂—NH—C(O)—(2-amino-5-fluorophenyl)
1974	H₃C—(C₆H₃)(CH₃)—CH₂—	2	2	1	-	H	—CH₂—NH—C(O)—(2-amino-5-fluorophenyl)
1975	O₂N—(C₆H₄)—CH₂—	2	2	1	-	H	—CH₂—NH—C(O)—(2-amino-5-fluorophenyl)
1976	H₃C—(C₆H₄)—CH₂—	2	2	1	-	H	—CH₂—NH—C(O)—(2-amino-5-fluorophenyl)
1977	NC—(C₆H₄)—CH₂—	2	2	1	-	H	—CH₂—NH—C(O)—(2-amino-5-fluorophenyl)
1978	(CH₃)₂CH—(C₆H₄)—CH₂—	2	2	1	-	H	—CH₂—NH—C(O)—(2-amino-5-fluorophenyl)
1979	(indane)—CH₂—	2	2	1	-	H	—CH₂—NH—C(O)—(2-amino-4,5-difluorophenyl)
1980	(dihydrobenzofuran)—CH₂—	2	2	1	-	H	—CH₂—NH—C(O)—(2-amino-4,5-difluorophenyl)

189

Table 1.181

Compd. No.	R^1, R^2—(CH₂)ⱼ— (R^1/R^2>(CH₂)ⱼ)	k	m	n	chirality	R^3	—(CH₂)ₚ—C(R^4)(R^5)—(CH₂)q—G—R^6
1981	O₂N—C₆H₄—CH₂—	2	2	1	-	H	—CH₂—NH—C(O)—(2-NH₂, 5-F, 4-F phenyl)
1982	NC—C₆H₄—CH₂—	2	2	1	-	H	—CH₂—NH—C(O)—(2-NH₂, 5-F, 4-F phenyl)
1983	(CH₃)₂CH—C₆H₄—CH₂—	2	2	1	-	H	—CH₂—NH—C(O)—(2-NH₂, 5-F, 4-F phenyl)
1984	Br—C₆H₄—CH₂—	2	2	1	-	H	—CH₂—NH—C(O)—(2-NH₂, 5-I phenyl)
1985	H₃CO—C₆H₄—CH₂—	2	2	1	-	H	—CH₂—NH—C(O)—(2-NH₂, 5-I phenyl)
1986	HO—C₆H₄—CH₂—	2	2	1	-	H	—CH₂—NH—C(O)—(2-NH₂, 5-I phenyl)
1987	(benzodioxole)—CH₂—	2	2	1	-	H	—CH₂—NH—C(O)—(2-NH₂, 5-I phenyl)
1988	(indane)—CH₂—	2	2	1	-	H	—CH₂—NH—C(O)—(2-NH₂, 5-I phenyl)
1989	H₃CS—C₆H₄—CH₂—	2	2	1	-	H	—CH₂—NH—C(O)—(2-NH₂, 5-I phenyl)
1990	H₃CCH₂—C₆H₄—CH₂—	2	2	1	-	H	—CH₂—NH—C(O)—(2-NH₂, 5-I phenyl)
1991	(dihydrobenzofuran)—CH₂—	2	2	1	-	H	—CH₂—NH—C(O)—(2-NH₂, 5-I phenyl)

Table 1.182

Compd. No.	R^1 R^2 $(CH_2)_j$	k	m	n	chirality	R^3	$(CH_2)_p$ R^4 R^5 $(CH_2)_q$ G R^6
1992	H₃C— (CH₃) —CH₂—	2	2	1	-	H	—CH₂—NH—C(=O)— (I, H₂N)
1993	O₂N— —CH₂—	2	2	1	-	H	—CH₂—NH—C(=O)— (I, H₂N)
1994	H₃C— —CH₂—	2	2	1	-	H	—CH₂—NH—C(=O)— (I, H₂N)
1995	NC— —CH₂—	2	2	1	-	H	—CH₂—NH—C(=O)— (I, H₂N)
1996	(CH₃)₂CH— —CH₂—	2	2	1	-	H	—CH₂—NH—C(=O)— (I, H₂N)
1997	H₃C—(CH₃)(H₃C)—CH₂—	2	2	1	-	H	—CH₂—NH—C(=O)— (I, H₂N)
1998	Br— —CH₂—	2	2	1	-	H	—CH₂—NH—C(=O)— (Cl)
1999	H₃CO— —CH₂—	2	2	1	-	H	—CH₂—NH—C(=O)— (Cl)
2000	F— —CH₂—	2	2	1	-	H	—CH₂—NH—C(=O)— (Cl)
2001	HO— —CH₂—	2	2	1	-	H	—CH₂—NH—C(=O)— (Cl)
2002	O—O— —CH₂—	2	2	1	-	H	—CH₂—NH—C(=O)— (Cl)

191

Table 1.183

Compd. No.	R^1 R^2 >(CH$_2$)$_j$—	k	m	n	chirality	R^3	—(CH$_2$)$_p$ R^4 R^5 (CH$_2$)$_q$—G—R^6
2003	(indane)—CH$_2$—	2	2	1	-	H	—CH$_2$—N(H)—CO—(3-Cl-C$_6$H$_4$)
2004	H$_3$CS—C$_6$H$_4$—CH$_2$—	2	2	1	-	H	—CH$_2$—N(H)—CO—(3-Cl-C$_6$H$_4$)
2005	H$_3$CCH$_2$—C$_6$H$_4$—CH$_2$—	2	2	1	-	H	—CH$_2$—N(H)—CO—(3-Cl-C$_6$H$_4$)
2006	(CH$_3$, H$_3$C—C$_6$H$_3$)—CH$_2$—	2	2	1	-	H	—CH$_2$—N(H)—CO—(3-Cl-C$_6$H$_4$)
2007	O$_2$N—C$_6$H$_4$—CH$_2$—	2	2	1	-	H	—CH$_2$—N(H)—CO—(3-Cl-C$_6$H$_4$)
2008	H$_3$C—C$_6$H$_4$—CH$_2$—	2	2	1	-	H	—CH$_2$—N(H)—CO—(3-Cl-C$_6$H$_4$)
2009	NC—C$_6$H$_4$—CH$_2$—	2	2	1	-	H	—CH$_2$—N(H)—CO—(3-Cl-C$_6$H$_4$)
2010	(CH$_3$)$_2$CH—C$_6$H$_4$—CH$_2$—	2	2	1	-	H	—CH$_2$—N(H)—CO—(3-Cl-C$_6$H$_4$)
2011	(CH$_3$, H$_3$C, H$_3$C—C$_6$H$_2$)—CH$_2$—	2	2	1	-	H	—CH$_2$—N(H)—CO—(3-Cl-C$_6$H$_4$)
2012	Br—C$_6$H$_4$—CH$_2$—	2	2	1	-	H	—CH$_2$—N(H)—CO—(3-Br-4-Cl-C$_6$H$_3$)
2013	H$_3$CO—C$_6$H$_4$—CH$_2$—	2	2	1	-	H	—CH$_2$—N(H)—CO—(3-Br-4-Cl-C$_6$H$_3$)

Table 1.184

Compd. No.	R^1 R^2 $>$(CH$_2$)$_j$—	k	m	n	chirality	R^3	—(CH$_2$)$_p$ R^4 R^5 (CH$_2$)$_q$—G—R^6
2014	HO—⬡—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—CO—⬡(Br)(Cl)
2015	(methylenedioxyphenyl)—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—CO—⬡(Br)(Cl)
2016	(indanyl)—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—CO—⬡(Br)(Cl)
2017	H$_3$CS—⬡—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—CO—⬡(Br)(Cl)
2018	H$_3$CCH$_2$—⬡—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—CO—⬡(Br)(Cl)
2019	(dihydrobenzofuranyl)—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—CO—⬡(Br)(Cl)
2020	H$_3$C,CH$_3$—⬡—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—CO—⬡(Br)(Cl)
2021	O$_2$N—⬡—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—CO—⬡(Br)(Cl)
2022	H$_3$C—⬡—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—CO—⬡(Br)(Cl)
2023	NC—⬡—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—CO—⬡(Br)(Cl)
2024	(CH$_3$)$_2$CH—⬡—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—CO—⬡(Br)(Cl)

Table 1.185

Compd. No.	R^1 R^2 $(CH_2)_j$	k	m	n	chirality	R^3	$(CH_2)_p$ R^4 R^5 $(CH_2)_q$ G–R^6
2025		2	2	1	-	H	
2026		2	2	1	-	H	
2027		2	2	1	-	H	
2028		2	2	1	-	H	
2029		2	2	1	-	H	
2030		2	2	1	-	H	
2031		2	2	1	-	H	
2032		2	2	1	-	H	
2033		2	2	1	-	H	
2034		2	2	1	-	H	
2035		2	2	1	-	H	

194

Table 1.186

Compd. No.	$R^1R^2C\!\!<\!(CH_2)_j-$	k	m	n	chirality	R^3	$-(CH_2)_p\overset{R^4}{\underset{R^5}{C}}(CH_2)_q\!-\!G\!-\!R^6$
2036	NC—⬡—CH₂–	2	2	1	-	H	
2037	H₃C–⬡(CH₃)–CH₂– H₃C	2	2	1	-	H	
2038	F–⬡(F)–CH₂–	2	2	1	-	H	
2039	H₃C—⬡—CH₂–	2	2	1	-	H	
2040	H₃C—⬡—CH₂–	1	2	0	R	H	
2041	H₃C—⬡—CH₂–	1	2	0	R	H	
2042	H₃C—⬡—CH₂–	1	2	0	R	H	
2043	H₃C—⬡—CH₂–	1	2	0	R	H	
2044	⬡(CH₃)(CH₃)–CH₂–	1	2	0	R	H	
2045	⬡(CH₃)(CH₃)–CH₂–	1	2	0	R	H	
2046	⬡(CH₃)(CH₃)–CH₂–	1	2	0	R	H	

Table 1.187

Compd. No.	R^1, R^2, $(CH_2)_j$	k	m	n	chirality	R^3	$-(CH_2)_p$, R^4, R^5, $(CH_2)_q$-G-R^6
2047	3,5-dimethylisoxazol-4-yl–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(O)– (cyclohexene carbonyl)–(4-ethylphenyl)
2048	3,5-dimethylisoxazol-4-yl–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(O)– (cyclohexene)–C(O)–HN–(4-OCH$_2$CH$_3$-phenyl)
2049	3,5-dimethylisoxazol-4-yl–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(O)–(cyclohexane)–C(O)–HN–(3,5-dimethylphenyl)
2050	5-methylthiophen-2-yl–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(O)–(3-CF$_3$-phenyl)
2051	6-methylpyridin-2-yl–CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(O)–(3-CF$_3$-phenyl)
2052	5-Br-2-OCH$_2$CH$_3$-benzyl–CH$_2$–	2	2	1	-	H	–CH$_2$–NH–C(O)–(2-H$_2$N-4,5-difluorophenyl)
2053	H$_3$CO, PhCH$_2$O-cyclohexenyl–CH$_2$–	2	2	1	-	H	–CH$_2$–NH–C(O)–(2-H$_2$N-4,5-difluorophenyl)
2054	4-H$_3$CO-naphthalen-1-yl–CH$_2$–	2	2	1	-	H	–CH$_2$–NH–C(O)–(2-H$_2$N-4,5-difluorophenyl)
2055	5-H$_3$CO-2-OH-benzyl–CH$_2$–	2	2	1	-	H	–CH$_2$–NH–C(O)–(2-H$_2$N-4,5-difluorophenyl)
2056	5-Br-2-F-benzyl–CH$_2$–	2	2	1	-	H	–CH$_2$–NH–C(O)–(2-H$_2$N-4,5-difluorophenyl)
2057	2-Br-1-H$_3$CO-benzyl–CH$_2$–	2	2	1	-	H	–CH$_2$–NH–C(O)–(2-H$_2$N-4,5-difluorophenyl)

Table 1.188

Compd. No.	R^1, R^2, $(CH_2)_j$	k	m	n	chirality	R^3	$-(CH_2)_p-R^4,R^5-(CH_2)_q-G-R^6$
2058	H₃CO, OCH₃, —CH₂—	2	2	1	-	H	—CH₂—NH—C(=O)—(2-NH₂, 4-F, 5-F-phenyl)
2059	(phenyl)—O—(phenyl)—CH₂—	2	2	1	-	H	—CH₂—NH—C(=O)—(2-NH₂, 4-F, 5-F-phenyl)
2060	H₃CO, H₃CO, OCH₃, —CH₂—	2	2	1	-	H	—CH₂—NH—C(=O)—(2-NH₂, 4-F, 5-F-phenyl)
2061	F, CH₃, —CH₂—	2	2	1	-	H	—CH₂—NH—C(=O)—(2-NH₂, 4-F, 5-F-phenyl)
2062	F, H₃CO, —CH₂—	2	2	1	-	H	—CH₂—NH—C(=O)—(2-NH₂, 4-F, 5-F-phenyl)
2063	H₃CO, H₃C, H₃CO, —CH₂—	2	2	1	-	H	—CH₂—NH—C(=O)—(2-NH₂, 4-F, 5-F-phenyl)
2064	Br, F, —CH₂—	2	2	1	-	H	—CH₂—NH—C(=O)—(2-NH₂, 4-F, 5-F-phenyl)
2065	H₃CCH₂O, H₃CCH₂O, —CH₂—	2	2	1	-	H	—CH₂—NH—C(=O)—(2-NH₂, 4-F, 5-F-phenyl)
2066	OCH₂(phenyl), —CH₂—	2	2	1	-	H	—CH₂—NH—C(=O)—(2-NH₂, 4-F, 5-F-phenyl)
2067	(H₃C)₂CHCH₂—(phenyl)—CH₂—	2	2	1	-	H	—CH₂—NH—C(=O)—(2-NH₂, 4-F, 5-F-phenyl)
2068	Cl, F, —CH₂—	2	2	1	-	H	—CH₂—NH—C(=O)—(2-NH₂, 4-F, 5-F-phenyl)

197

Table 1.189

Compd. No.	$R^1$$R^2CH-(CH_2)_j-$	k	m	n	chirality	R^3	$-(CH_2)_p CR^4R^5(CH_2)_q G-R^6$
2069	H₃C / H₃CO— aryl —CH₂–	2	2	1	–	H	–CH₂–NH–C(O)– (2-amino-4,5-difluorophenyl)
2070	Br / OCH₃ aryl —CH₂–	2	2	1	–	H	–CH₂–NH–C(O)– (2-amino-4,5-difluorophenyl)
2071	H₃CO / OCH₃ aryl —CH₂–	2	2	1	–	H	–CH₂–NH–C(O)– (2-amino-4,5-difluorophenyl)
2072	(H₃C)₂CHO— aryl —CH₂–	2	2	1	–	H	–CH₂–NH–C(O)– (2-amino-4,5-difluorophenyl)
2073	C₆H₅–CH₂O– aryl —CH₂–	2	2	1	–	H	–CH₂–NH–C(O)– (2-amino-4,5-difluorophenyl)
2074	H₃CO— aryl —O— aryl —CH₂–	2	2	1	–	H	–CH₂–NH–C(O)– (2-amino-4,5-difluorophenyl)
2075	H₃CO / F aryl —CH₂–	2	2	1	–	H	–CH₂–NH–C(O)– (2-amino-4,5-difluorophenyl)
2076	F / F aryl —CH₂–	2	2	1	–	H	–CH₂–NH–C(O)– (2-amino-4,5-difluorophenyl)
2077	Cl / OH aryl —CH₂–	2	2	1	–	H	–CH₂–NH–C(O)– (2-amino-4,5-difluorophenyl)
2078	H₃CCH₂O / OH aryl —CH₂–	2	2	1	–	H	–CH₂–NH–C(O)– (2-amino-4,5-difluorophenyl)
2079	C₆H₅–CH₂O / H₃CO aryl —CH₂–	2	2	1	–	H	–CH₂–NH–C(O)– (2-amino-4,5-difluorophenyl)

Table 1.190

Compd. No.	R¹R²>CH—(CH₂)ⱼ—	k	m	n	chirality	R³	—(CH₂)ₚ—CR⁴R⁵—(CH₂)q—G—R⁶
2080		2	2	1	-	H	
2081		2	2	1	-	H	
2082		2	2	1	-	H	
2083		1	2	0	R	H	
2084		1	2	0	R	H	
2085		1	2	0	R	H	
2086		1	2	0	R	H	
2087		1	2	0	R	H	
2088		1	2	0	R	H	
2089		1	2	0	R	H	
2090		1	2	0	R	H	

Table 1.191

Compd. No.	$R^1 R^2$>(CH$_2$)$_j$—	k	m	n	chirality	R^3	—(CH$_2$)$_p$C(R^4)(R^5)(CH$_2$)$_q$-G-R^6
2091	Cl—⬡—CH$_2$—	2	2	1	-	H	(R) —CH(NH-C(O)-phenyl-OCH$_2$CH$_3$); CH$_2$-phenyl
2092	Cl—⬡—CH$_2$—	2	2	1	-	H	(R) —CH(NH-C(O)-phenyl-OCH$_2$CH$_3$); CH$_2$-indole
2093	Cl—⬡—CH$_2$—	2	2	1	-	H	(R) —CH(NH-C(O)-phenyl-OCH$_2$CH$_3$); CH$_2$CH$_2$SCH$_3$
2094	Cl—⬡—CH$_2$—	2	2	1	-	H	(R) —CH(NH-C(O)-phenyl-OCH$_2$CH$_3$); CH$_2$-thiophene
2095	Cl—⬡—CH$_2$—	2	2	1	-	H	(R) —CH(NH-C(O)-phenyl-OCH$_2$CH$_3$); C(CH$_3$)$_3$
2096	Cl—⬡—CH$_2$—	2	2	1	-	H	(R) —CH(NH-C(O)-phenyl-OCH$_2$CH$_3$); CH$_2$-cyclohexane
2097	Cl—⬡—CH$_2$—	2	2	1	-	H	(R) —CH(NH-C(O)-phenyl-OCH$_2$CH$_3$); CH$_2$CH$_2$CH$_3$
2098	Cl—⬡—CH$_2$—	2	2	1	-	H	(R) —CH(NH-C(O)-phenyl-OCH$_2$CH$_3$); CH$_2$-phenyl-Cl
2099	Cl—⬡—CH$_2$—	2	2	1	-	H	(R) —CH(NH-C(O)-phenyl-OCH$_2$CH$_3$); phenyl
2100	Cl—⬡—CH$_2$—	2	2	1	-	H	(R) —CH(NH-C(O)-phenyl-OCH$_2$CH$_3$); CH$_2$-phenyl-OCH$_3$
2101	Cl—⬡—CH$_2$—	2	2	1	-	H	(R) —CH(NH-C(O)-phenyl-OCH$_2$CH$_3$); CH$_2$-phenyl-OCH$_2$-phenyl

Table 1.192

Compd. No.	R^1 R^2 $-(CH_2)_j-$	k	m	n	chirality	R^3	$-(CH_2)_p$ R^4 R^5 $-(CH_2)_q-G-R^6$
2102	Cl—⬡—CH₂—	2	2	1	–	H	(R) structure with OCH₂CH₃, CHN(H)C(O), CH₂CH₂C(O)OCH₂phenyl
2103	Cl—⬡—CH₂—	2	2	1	–	H	(R) structure with OCH₂CH₃, CHN(H)C(O), H₃C-CHOCH₂phenyl
2104	Cl—⬡—CH₂—	2	2	1	–	H	(R) structure with OCH₂CH₃, CHN(H)C(O), CH₂CH₂C(O)OCH₃
2105	H₃CO / OH ⬡—CH₂—	2	2	1	–	H	—CH₂—NHC(O)— aryl (F, F, H₂N)
2106	H₃C / OH ⬡—CH₂—	2	2	1	–	H	—CH₂—NHC(O)— aryl (F, F, H₂N)
2107	Br / thiophene—CH₂—	2	2	1	–	H	—CH₂—NHC(O)— aryl (F, F, H₂N)
2108	CH₃ / benzothiophene—CH₂—	2	2	1	–	H	—CH₂—NHC(O)— aryl (F, F, H₂N)
2109	Br / furan—CH₂—	2	2	1	–	H	—CH₂—NHC(O)— aryl (F, F, H₂N)
2110	H₃CCH₂ / furan—CH₂—	2	2	1	–	H	—CH₂—NHC(O)— aryl (F, F, H₂N)
2111	F / Cl—⬡—CH₂—	2	2	1	–	H	—CH₂—NHC(O)— aryl (F, F, H₂N)
2112	Br / H₃CO, H₃CO—⬡—CH₂—	2	2	1	–	H	—CH₂—NHC(O)— aryl (F, F, H₂N)

Table 1.193

Compd. No.	R^1R^2(CH$_2$)$_j$–	k	m	n	chirality	R^3	–(CH$_2$)$_p$$R^4$$R^5$(CH$_2$)$_q$–G–R^6
2113	H$_2$N / H$_3$CO— benzene —CH$_2$–	2	2	1	-	H	–CH$_2$–NH–C(O)– benzene (5-F, 4-F, 2-NH$_2$)
2114	H$_2$N / H$_3$C— benzene —CH$_2$–	2	2	1	-	H	–CH$_2$–NH–C(O)– benzene (5-F, 4-F, 2-NH$_2$)
2115	Cl— benzene —CH$_2$–	2	2	1	-	H	(R) –CH(CH(CH$_3$)$_2$)–NH–C(O)– benzene (3-OCH$_2$CH$_3$)
2116	Cl— benzene —CH$_2$–	2	2	1	-	H	(R) –CH(CH(CH$_3$)CH$_2$CH$_3$)–NH–C(O)– benzene (3-OCH$_2$CH$_3$)
2117	Cl— benzene —CH$_2$–	2	2	1	-	H	(R) –CH(CH$_2$-imidazole)–NH–C(O)– benzene (3-OCH$_2$CH$_3$)
2118	HO / HO— benzene —CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(O)– benzene (5-CF$_3$, 2-NH$_2$)
2119	OH / HO— benzene —CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(O)– benzene (5-CF$_3$, 2-NH$_2$)
2120	F / Br— benzene —CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(O)– benzene (5-CF$_3$, 2-NH$_2$)
2121	OCH$_3$ / HO— benzene —CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(O)– benzene (5-CF$_3$, 2-NH$_2$)
2122	F / Cl— benzene —CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(O)– benzene (5-CF$_3$, 2-NH$_2$)
2123	O-CH$_2$-O (methylenedioxy) / NO$_2$— benzene —CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(O)– benzene (5-CF$_3$, 2-NH$_2$)

Table 1.194

Compd. No.	R^1,R^2-(CH$_2$)$_j$-	k	m	n	chirality	R^3	-(CH$_2$)$_p$-R^4,R^5-(CH$_2$)$_q$-G-R^6
2124	(O$_2$N, Cl substituted benzyl) –CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(O)– (2-amino-5-CF$_3$-phenyl)
2125	(O$_2$N, H$_3$CO substituted benzyl) –CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(O)– (2-amino-5-CF$_3$-phenyl)
2126	(O$_2$N, H$_3$C substituted benzyl) –CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(O)– (2-amino-5-CF$_3$-phenyl)
2127	(methylenedioxy, NH$_2$ substituted benzyl) –CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(O)– (2-amino-5-CF$_3$-phenyl)
2128	(H$_2$N, H$_3$CO substituted benzyl) –CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(O)– (2-amino-5-CF$_3$-phenyl)
2129	(H$_2$N, H$_3$C substituted benzyl) –CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(O)– (2-amino-5-CF$_3$-phenyl)
2130	(benzoxadiazole) –CH$_2$–	2	2	1	–	H	–CH$_2$–NH–C(O)– (2-amino-4,5-difluoro-phenyl)
2131	(3,5-dimethylisoxazol-4-yl) –CH$_2$–	2	2	1	–	H	–CH$_2$–NH–C(O)– (2-amino-4,5-difluoro-phenyl)
2132	(H$_2$N, Cl substituted benzyl) –CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(O)– (2-amino-5-CF$_3$-phenyl)
2133	((H$_3$C)$_2$N, Cl substituted benzyl) –CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(O)– (2-amino-5-CF$_3$-phenyl)
2134	(methylenedioxy, N(CH$_3$)$_2$ substituted benzyl) –CH$_2$–	1	2	0	R	H	–CH$_2$–NH–C(O)– (2-amino-5-CF$_3$-phenyl)

Table 1.195

Compd. No.	R^1R^2—(CH$_2$)$_j$—	k	m	n	chirality	R^3	—(CH$_2$)$_p$$R^4R^5$—(CH$_2$)$_q$-G-R^6
2135	(H$_3$C)$_2$N, H$_3$CO, —CH$_2$—	1	2	0	R	H	—CH$_2$-N(H)-C(O)- benzene -CF$_3$, -H$_2$N
2136	(H$_3$C)$_2$N, H$_3$C, —CH$_2$—	1	2	0	R	H	—CH$_2$-N(H)-C(O)- benzene -CF$_3$, -H$_2$N
2137	Cl, CH$_3$, —CH$_2$—	1	2	0	R	H	—CH$_2$-N(H)-C(O)- benzene -CF$_3$, -H$_2$N
2138	phenyl, CH$_3$, CH$_3$, —CH$_2$—	1	2	0	R	H	—CH$_2$-N(H)-C(O)- benzene -CF$_3$, -H$_2$N
2139	H$_3$C, Cl, CH$_3$, —CH$_2$—	1	2	0	R	H	—CH$_2$-N(H)-C(O)- benzene -CF$_3$, -H$_2$N
2140	O-CH$_2$-O, —CH$_2$—, NH$_2$	2	2	1	-	H	—CH$_2$-N(H)-C(O)- benzene -F, -F, -H$_2$N
2141	H$_2$N, HO, —CH$_2$—	2	2	1	-	H	—CH$_2$-N(H)-C(O)- benzene -F, -F, -H$_2$N
2142	H$_2$N, Cl, —CH$_2$—	2	2	1	-	H	—CH$_2$-N(H)-C(O)- benzene -F, -F, -H$_2$N
2143	O-CH$_2$-O, —CH$_2$—, HN-C(O)-CH$_3$	2	2	1	-	H	—CH$_2$-N(H)-C(O)- benzene -F, -F, -H$_2$N
2144	H$_2$N, H$_3$CO, —CH$_2$—	2	2	1	-	H	—CH$_2$-N(H)-C(O)- benzene -CF$_3$, -H$_2$N
2145	H$_2$N, HO, —CH$_2$—	2	2	1	-	H	—CH$_2$-N(H)-C(O)- benzene -CF$_3$, -H$_2$N

Table 1.196

Compd. No.	R^1, R^2—$(CH_2)_j$—	k	m	n	chirality	R^3	—$(CH_2)_p$—R^4, R^5—$(CH_2)_q$—G—R^6
2146		2	2	1	-	H	
2147		2	2	1	-	H	
2148		2	2	1	-	H	
2149		1	2	0	R	H	
2150		1	2	0	R	H	
2151		1	2	0	R	H	
2152		1	2	0	R	H	
2153		1	2	0	R	H	
2154		2	2	1	-	H	
2155		2	2	1	-	H	
2156		2	2	1	-	H	

Table 1.197

Compd. No.	R^1 R^2 $-(CH_2)-$	k	m	n	chirality	R^3	$-(CH_2)_p$ R^4 R^5 $(CH_2)_q$ $G-R^6$
2157	HO, CH_3, CH_2-	1	2	0	R	H	$-CH_2-NH-C(=O)-$ aryl CF_3, H_2N
2158	H_3C-NH, HO, CH_2-	1	2	0	R	H	$-CH_2-NH-C(=O)-$ aryl CF_3, H_2N
2159	H_3C-NH, H_3CO, CH_2-	2	2	1	-	H	$-CH_2-NH-C(=O)-$ aryl F, F, H_2N
2160	H_3C-NH, HO, CH_2-	2	2	1	-	H	$-CH_2-NH-C(=O)-$ aryl F, F, H_2N
2161	H_3C-NH, Cl, CH_2-	2	2	1	-	H	$-CH_2-NH-C(=O)-$ aryl F, F, H_2N
2162	H_3C-NH, H_3CO, CH_2-	2	2	1	-	H	$-CH_2-NH-C(=O)-$ aryl CF_3, H_2N
2163	H_3C-NH, HO, CH_2-	2	2	1	-	H	$-CH_2-NH-C(=O)-$ aryl CF_3, H_2N
2164	CH_3 N-methylpyrrole CH_2-	1	2	0	R	H	$-CH_2-NH-C(=O)-$ aryl CF_3, H_2N
2165	imidazole CH_2-	1	2	0	R	H	$-CH_2-NH-C(=O)-$ aryl CF_3, H_2N
2166	thiazole CH_2-	1	2	0	R	H	$-CH_2-NH-C(=O)-$ aryl CF_3, H_2N
2167	phenyl-imidazole CH_2-	1	2	0	R	H	$-CH_2-NH-C(=O)-$ aryl CF_3, H_2N

Table 1.198

Compd. No.	R^1 R^2 $\rangle$(CH$_2$)$-$	k	m	n	chirality	R^3	$-$(CH$_2$)$_p$$-$CR^{4}R^5$-$(CH$_2$)$_q$$-G-$R^6
2168	(pyrrole, CO₂CH₃, H₃C, CH₃, CH₃ substituents) —CH₂—	1	2	0	R	H	—CH₂—NH—C(O)—(C₆H₃)(CF₃)(NH₂)
2169	(dimethylbenzene) CH(CH₃)—	1	2	0	R	H	—CH₂—NH—C(O)—(C₆H₃)(CF₃)(NH₂)
2170	(N-(4-chlorophenyl)pyrrole) —CH₂—	1	2	0	R	H	—CH₂—NH—C(O)—(C₆H₃)(CF₃)(NH₂)
2171	(2-methylimidazole) —CH₂—	1	2	0	R	H	—CH₂—NH—C(O)—(C₆H₃)(CF₃)(NH₂)
2172	(N-(3-CF₃-phenyl)dimethylpyrrole) —CH₂—	1	2	0	R	H	—CH₂—NH—C(O)—(C₆H₃)(CF₃)(NH₂)
2173	(thiazole, S-(4-methylphenyl)) —CH₂—	1	2	0	R	H	—CH₂—NH—C(O)—(C₆H₃)(CF₃)(NH₂)
2174	(dimethyl-bromo-thienothiophene) —CH₂—	1	2	0	R	H	—CH₂—NH—C(O)—(C₆H₃)(CF₃)(NH₂)
2175	(dimethoxypyrimidine) —CH₂—	1	2	0	R	H	—CH₂—NH—C(O)—(C₆H₃)(CF₃)(NH₂)
2176	(N-methylindole) —CH₂—	1	2	0	R	H	—CH₂—NH—C(O)—(C₆H₃)(CF₃)(NH₂)
2177	(pyridine, H₃C, OH, CH₂OH) —CH₂—	1	2	0	R	H	—CH₂—NH—C(O)—(C₆H₃)(CF₃)(NH₂)
2178	(methyl indole-carboxylate) —CH₂—	1	2	0	R	H	—CH₂—NH—C(O)—(C₆H₃)(CF₃)(NH₂)

Table 1.199

Compd. No.	R^1, R^2 CH–$(CH_2)_j$–	k	m	n	chirality	R^3	–$(CH_2)_p$ R^4, R^5 –$(CH_2)_q$–G–R^6
2179	(1-acetylindol-3-yl)–CH_2–	1	2	0	R	H	–CH_2–NH–C(=O)–(2-amino-5-trifluoromethylphenyl)
2180	Cl–(phenyl)–$(CH_2)_2$–	1	2	0	R	H	–CH_2–NH–C(=O)–(2-amino-5-trifluoromethylphenyl)
2181	(H_3CO–benzimidazol-2-yl)–CH_2–	1	2	0	R	H	–CH_2–NH–C(=O)–(2-amino-5-trifluoromethylphenyl)
2182	(2-methylthiazol-4-yl)–CH_2–	1	2	0	R	H	–CH_2–NH–C(=O)–(2-amino-5-trifluoromethylphenyl)
2183	(benzothiadiazol-5-yl)–CH_2–	1	2	0	R	H	–CH_2–NH–C(=O)–(2-amino-5-trifluoromethylphenyl)
2184	(thiadiazol)–CH_2–	2	2	1	–	H	–CH_2–NH–C(=O)–(2-amino-4,5-difluorophenyl)
2185	(thiadiazol)–CH_2–	2	2	1	–	H	–CH_2–NH–C(=O)–(2-amino-5-trifluoromethylphenyl)
2186	(benzimidazol)–CH_2–	2	2	1	–	H	–CH_2–NH–C(=O)–(2-amino-5-trifluoromethylphenyl)
2187	(H_2N–, HO–phenyl)–CH_2–	1	2	0	R	H	–CH_2–NH–C(=O)–(2-amino-5-trifluoromethylphenyl)
2188	(benzoxazol-5-yl)–CH_2–	2	2	1	–	H	–CH_2–NH–C(=O)–(2-amino-5-trifluoromethylphenyl)
2189	(benzoxazol-5-yl)–CH_2–	1	2	0	R	H	–CH_2–NH–C(=O)–(2-amino-5-trifluoromethylphenyl)

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Table 1.200

Compd. No.	R^1,R^2-(CH₂)ⱼ-	k	m	n	chirality	R^3	-(CH₂)ₚ-R^4,R^5-(CH₂)_q-G-R^6
2190	benzoxazol-2(3H)-one-CH₂-	2	2	1	-	H	-CH₂-NH-C(=O)-(2-NH₂-4,5-diF-phenyl)
2191	benzoxazol-2(3H)-one-CH₂-	2	2	1	-	H	-CH₂-NH-C(=O)-(2-NH₂-5-CF₃-phenyl)
2192	benzoxazole-2(3H)-thione-CH₂-	2	2	1	-	H	-CH₂-NH-C(=O)-(2-NH₂-5-CF₃-phenyl)
2193	benzoxazole-2(3H)-thione-CH₂-	2	2	1	-	H	-CH₂-NH-C(=O)-(2-NH₂-4,5-diF-phenyl)
2194	(2-NH₂-4-CH₃-phenyl)-CH₂-	2	2	1	-	H	-CH₂-NH-C(=O)-(2-NH₂-5-CF₃-phenyl)
2195	(2-NH₂-4-Cl-phenyl)-CH₂-	2	2	1	-	H	-CH₂-NH-C(=O)-(2-NH₂-5-CF₃-phenyl)
2196	(2-NHCH₃-4-CH₃-phenyl)-CH₂-	1	2	0	R	H	-CH₂-NH-C(=O)-(2-NH₂-5-CF₃-phenyl)
2197	(2-NHCH₃-4-OCH₃-phenyl)-CH₂-	1	2	0	R	H	-CH₂-NH-C(=O)-(2-NH₂-5-CF₃-phenyl)
2198	(2-NHCH₃-4-Cl-phenyl)-CH₂-	1	2	0	R	H	-CH₂-NH-C(=O)-(2-NH₂-5-CF₃-phenyl)
2199	(2-NHCH₃-4-CH₃-phenyl)-CH₂-	2	2	1	-	H	-CH₂-NH-C(=O)-(2-NH₂-5-CF₃-phenyl)
2200	(2-NHCH₃-4-Cl-phenyl)-CH₂-	2	2	1	-	H	-CH₂-NH-C(=O)-(2-NH₂-5-CF₃-phenyl)

Table 1.201

Compd. No.	R^1 R^2 $>$ $(CH_2)_j$—	k	m	n	chirality	R^3	—$(CH_2)_p$—$C(R^4)(R^5)$—$(CH_2)_q$—G—R^6
2201	H₃C—NH / H₃C— benzyl (—CH₂—)	2	2	1	–	H	—CH₂—NH—C(O)— benzamide, 2-NH₂, 4,5-F (F, F)
2202	2-thioxo-benzoxazole —CH₂—	1	2	0	R	H	—CH₂—NH—C(O)— benzamide, 2-NH₂, CF₃
2203	benzoxazole —CH₂—	2	2	1	–	H	—CH₂—NH—C(O)— benzamide, 2-NH₂, F, F
2204	Cl, CH₃ —CH₂—	2	2	1	–	H	—CH₂—NH—C(O)— benzamide, 2-NH₂, CF₃
2205	Cl, CH₃ —CH₂—	2	2	1	–	H	—CH₂—NH—C(O)— benzamide, 2-NH₂, F, F
2206	HO, CH₃ —CH₂—	2	2	1	–	H	—CH₂—NH—C(O)— benzamide, 2-NH₂, CF₃
2207	HO, CH₃ —CH₂—	2	2	1	–	H	—CH₂—NH—C(O)— benzamide, 2-NH₂, F, F
2208	Cl, HN—CH₃ —CH₂—	2	2	1	–	H	—CH₂—NH—C(O)— benzamide, 2-NH₂, CF₃
2209	Cl, HN—CH₃ —CH₂—	2	2	1	–	H	—CH₂—NH—C(O)— benzamide, 2-NH₂, F, F
2210	indoline —CH₂—	1	2	0	R	H	—CH₂—NH—C(O)— benzamide, 2-NH₂, CF₃
2211	indoline —CH₂—	2	2	1	–	H	—CH₂—NH—C(O)— benzamide, 2-NH₂, CF₃

Table 1.202

Compd. No.	R¹ R² (CH₂)ⱼ—	k	m	n	chirality	R³	—(CH₂)ₚ R⁴ R⁵ (CH₂)q—G—R⁶
2212		2	2	1	-	H	
2213		2	2	1	-	H	
2214		2	2	1	-	H	
2215		1	2	0	R	H	
2216		1	2	0	R	H	
2217		1	2	0	R	H	
2218		1	2	0	R	H	
2219		1	2	0	R	H	
2220		1	2	0	R	H	
2221		1	2	0	R	H	
2222		1	2	0	R	H	

Table 1.203

Compd. No.	$R^1R^2{>}C{-}(CH_2)_j{-}$	k	m	n	chirality	R^3	$-(CH_2)_p{-}CR^4R^5{-}(CH_2)_q{-}G{-}R^6$
2223	Cl—C₆H₄—CH₂—	1	2	0	R	H	—CH₂—NH—CO— (5-methyl-1-(3-trifluoromethylphenyl)triazolyl)
2224	Cl—C₆H₄—CH₂—	1	2	0	R	H	—CH₂—NH—CO— (pyrazine with 3-trifluoromethylphenoxy)
2225	Cl—C₆H₄—CH₂—	1	2	0	R	H	—CH₂—NH—CO— (5-methyl-1-(3,5-bis(trifluoromethyl)phenyl)triazolyl)
2226	(3-chloro-2-methyl-5-methyl-pyrazol-4-yl)—CH₂—	1	2	0	R	H	—CH₂—NH—CO— (2-amino-5-trifluoromethylphenyl)
2227	Cl—C₆H₄—CH₂—	1	2	0	R	H	—CH₂—NH—CO— (5-CF₃-2-[NH-CO-NH-(4-N(CH₃)₂phenyl)]phenyl)
2228	Cl—C₆H₄—CH₂—	1	2	0	R	H	—CH₂—NH—CO— (5-CF₃-2-[NH-CO-NH-(4-CF₃phenyl)]phenyl)
2229	(methylenedioxy-methyl-phenyl)—CH₂—	1	2	0	R	H	—CH₂—NH—CO— (2-amino-5-trifluoromethoxyphenyl)
2230	(H₃CCH₂-, CH₃-phenyl)—CH₂—	1	2	0	R	H	—CH₂—NH—CO— (2-amino-5-trifluoromethoxyphenyl)
2231	(H₃CO-, CH₃-phenyl)—CH₂—	1	2	0	R	H	—CH₂—NH—CO— (2-amino-5-trifluoromethoxyphenyl)
2232	(H₃CO-, H₃C-phenyl)—CH₂—	1	2	0	R	H	—CH₂—NH—CO— (2-amino-5-trifluoromethoxyphenyl)
2233	(indol-3-yl)—CH₂—	1	2	0	R	H	—CH₂—NH—CO— (2-amino-5-trifluoromethylphenyl)

Table 1.204

Compd. No.	R^1, R^2, $(CH_2)_j$	k	m	n	chirality	R^3	$-(CH_2)_p$, R^4, R^5, $(CH_2)_q$-G-R^6
2234	3-(CH₂)-2-methylindole	1	2	0	R	H	-CH₂-NH-CO-benzene(2-NH₂)(5-CF₃)
2235	3-(CH₂)-indole	1	2	0	R	H	-CH₂-NH-CO-benzene(2-NH₂)(5-OCF₃)
2236	5-fluoro-3-(CH₂)-indole	1	2	0	R	H	-CH₂-NH-CO-benzene(2-NH₂)(5-OCF₃)
2237	5-chloro-3-(CH₂)-indole	1	2	0	R	H	-CH₂-NH-CO-benzene(2-NH₂)(5-OCF₃)
2238	5-methoxy-3-(CH₂)-indole	1	2	0	R	H	-CH₂-NH-CO-benzene(2-NH₂)(5-OCF₃)
2239	3-(CH₂)-1-methylindole	1	2	0	R	H	-CH₂-NH-CO-benzene(2-NH₂)(5-OCF₃)
2240	3-(CH₂)-2-methylindole	1	2	0	R	H	-CH₂-NH-CO-benzene(2-NH₂)(5-OCF₃)
2241	6-methyl-3-(CH₂)-indole	1	2	0	R	H	-CH₂-NH-CO-benzene(2-NH₂)(5-OCF₃)
2242	7-methyl-3-(CH₂)-indole	1	2	0	R	H	-CH₂-NH-CO-benzene(2-NH₂)(5-OCF₃)
2243	(H₃C)₂N-benzene-CH₂-	1	2	0	R	H	-CH₂-NH-CO-benzene(2-NH₂)(5-OCF₃)
2244	6-fluoro-3-(CH₂)-indole	1	2	0	R	H.	-CH₂-NH-CO-benzene(2-NH₂)(5-OCF₃)

Table 1.205

Compd. No.	R^1, R^2-(CH$_2$)$_j$-	k	m	n	chirality	R^3	-(CH$_2$)$_p$-, R^4, R^5-(CH$_2$)$_q$-G-R^6
2245	H$_3$C—benzoxazol-2-ylamino—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO—(2-NH$_2$-5-CF$_3$-phenyl)
2246	H$_3$CCH$_2$—benzoxazol-2-ylamino—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO—(2-NH$_2$-5-CF$_3$-phenyl)
2247	(H$_3$C)$_2$CH—benzoxazol-2-ylamino—CH$_2$—	1	2	0	R	H.	—CH$_2$—NH—CO—(2-NH$_2$-5-CF$_3$-phenyl)
2248	(2-NH$_2$, Cl)-phenyl—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO—(2-NH$_2$-5-OCF$_3$-phenyl)
2249	(2-NH$_2$, H$_3$CO)-phenyl—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO—(2-NH$_2$-5-OCF$_3$-phenyl)
2250	(2-NH$_2$, HO)-phenyl—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO—(2-NH$_2$-5-OCF$_3$-phenyl)
2251	(2-NH$_2$, H$_3$C)-phenyl—CH$_2$—	1	2	0	R	H	—CH$_2$—NH—CO—(2-NH$_2$-5-OCF$_3$-phenyl)
2252	indol-3-yl—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—CO—(2-NH$_2$-5-CF$_3$-phenyl)
2253	(5-F)-indol-3-yl—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—CO—(2-NH$_2$-5-CF$_3$-phenyl)
2254	(5-H$_3$CO)-indol-3-yl—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—CO—(2-NH$_2$-5-CF$_3$-phenyl)
2255	(6-H$_3$C)-indol-3-yl—CH$_2$—	2	2	1	-	H	—CH$_2$—NH—CO—(2-NH$_2$-5-CF$_3$-phenyl)

214

Table 1.206

Compd. No.	R^1 R^2 $-(CH_2)_j-$	k	m	n	chirality	R^3	$-(CH_2)_p-\overset{R^4}{\underset{R^5}{C}}-(CH_2)_q-G-R^6$
2256	3-(CH₂–), 7-CH₃ indole	2	2	1	-	H	–CH₂–NH–C(O)– benzene (5-CF₃, 2-NH₂)
2257	3-(CH₂–), 6-H₃CO–C(O) indole	2	2	1	-	H	–CH₂–NH–C(O)– benzene (5-CF₃, 2-NH₂)
2258	Cl–C₆H₄–CH₂–	1	2	0	R	H	(S) –CH(CH₃)–NH–C(O)– benzene (3-Cl, 4-Cl)
2259	H₃CS–C₆H₄–CH₂–	1	2	0	R	H	(S) –CH(CH₃)–NH–C(O)– benzene (3-Cl, 4-Cl)
2260	(2-Cl, 3-Cl)C₆H₃–CH₂–	1	2	0	R	H	(S) –CH(CH₃)–NH–C(O)–NH–C₆H₅
2261	Cl–C₆H₄–CH₂–	1	2	0	R	H	(S) –CH(CH₃)–NH–C(O)–NH–C₆H₅
2262	H₃CS–C₆H₄–CH₂–	1	2	0	R	H	(S) –CH(CH₃)–NH–C(O)–NH–C₆H₅
2263	(2-Cl, 3-Cl)C₆H₃–CH₂–	1	2	0	S	H	(S) –CH(CH₃)–NH–C(O)– benzene (3-Cl, 4-Cl)
2264	Cl–C₆H₄–CH₂–	1	2	0	S	H	(S) –CH(CH₃)–NH–C(O)– benzene (3-Cl, 4-Cl)
2265	H₃CS–C₆H₄–CH₂–	1	2	0	S	H	(S) –CH(CH₃)–NH–C(O)– benzene (3-Cl, 4-Cl)
2266	Cl–C₆H₄–CH₂–	1	2	0	S	H	(S) –CH(CH₃)–NH–C(O)–NH–C₆H₅

Table 1.207

Compd. No.	R^1, R^2—$(CH_2)_j$—	k	m	n	chirality	R^3	—$(CH_2)_p$—R^4, R^5—$(CH_2)_q$—G—R^6
2267	Cl, Cl-phenyl-CH₂–	2	2	1	-	H	(S) –CH(CH₃)–NH–C(O)–(3,4-diCl-phenyl)
2268	Cl-phenyl-CH₂–	2	2	1	-	H	(S) –CH(CH₃)–NH–C(O)–(3,4-diCl-phenyl)
2269	H₃CS-phenyl-CH₂–	2	2	1	-	H	(S) –CH(CH₃)–NH–C(O)–(3,4-diCl-phenyl)
2270	Cl, Cl-phenyl-CH₂–	2	2	1	-	H	(S) –CH(CH₃)–NH–C(O)–NH–phenyl
2271	Cl-phenyl-CH₂–	2	2	1	-	H	(S) –CH(CH₃)–NH–C(O)–NH–phenyl
2272	H₃CS-phenyl-CH₂–	2	2	1	-	H	(S) –CH(CH₃)–NH–C(O)–NH–phenyl
2273	Cl, Cl-phenyl-CH₂–	2	2	1	-	H	(S) –CH(CH(CH₃)₂)–NH–C(O)–(3,4-diCl-phenyl)
2274	H₃CS-phenyl-CH₂–	2	2	1	-	H	(S) –CH(CH(CH₃)₂)–NH–C(O)–(3,4-diCl-phenyl)
2275	Cl, Cl-phenyl-CH₂–	2	2	1	-	H	(S) –CH(CH(CH₃)₂)–NH–C(O)–NH–phenyl
2276	Cl-phenyl-CH₂–	2	2	1	-	H	(S) –CH(CH(CH₃)₂)–NH–C(O)–NH–phenyl
2277	H₃CS-phenyl-CH₂–	2	2	1	-	H	(S) –CH(CH(CH₃)₂)–NH–C(O)–NH–phenyl

Table 1.208

Compd. No.	R^1, R^2-(CH$_2$)$_j$-	k	m	n	chirality	R^3	-(CH$_2$)$_p$-C(R^4)(R^5)-(CH$_2$)$_q$-G-R^6
2278	3,4-diCl-phenyl-CH$_2$-	1	2	0	R	H	(S) -CH(CH$_3$)-NH-CO-(2-NH$_2$-5-CF$_3$-phenyl)
2279	4-Cl-phenyl-CH$_2$-	1	2	0	R	H	(S) -CH(CH$_3$)-NH-CO-(2-NH$_2$-5-CF$_3$-phenyl)
2280	3,4-diCl-phenyl-CH$_2$-	1	2	0	S	H	(S) -CH(CH$_3$)-NH-CO-(2-NH$_2$-5-CF$_3$-phenyl)
2281	4-H$_3$CS-phenyl-CH$_2$-	1	2	0	S	H	(S) -CH(CH$_3$)-NH-CO-(2-NH$_2$-5-CF$_3$-phenyl)
2282	4-Cl-phenyl-CH$_2$-	2	2	1	-	H	(S) -CH(CH$_3$)-NH-CO-(2-NH$_2$-5-CF$_3$-phenyl)
2283	4-H$_3$CS-phenyl-CH$_2$-	2	2	1	-	H	(S) -CH(CH$_3$)-NH-CO-(2-NH$_2$-5-CF$_3$-phenyl)
2284	3,4-diCl-phenyl-CH$_2$-	2	2	1	-	H	(S) -CH(CH(CH$_3$)$_2$)-NH-CO-(2-NH$_2$-5-CF$_3$-phenyl)
2285	4-Cl-phenyl-CH$_2$-	2	2	1	-	H	(S) -CH(CH(CH$_3$)$_2$)-NH-CO-(2-NH$_2$-5-CF$_3$-phenyl)
2286	4-H$_3$CS-phenyl-CH$_2$-	2	2	1	-	H	(S) -CH(CH(CH$_3$)$_2$)-NH-CO-(2-NH$_2$-5-CF$_3$-phenyl)
2287	4-Cl-phenyl-CH$_2$-	2	2	1	-	H	(S) -CH(CH(CH$_3$)$_2$)-NH-CS-NH-phenyl
2288	4-H$_3$CS-phenyl-CH$_2$-	2	2	1	-	H	(S) -CH((CH$_2$)$_2$CONH$_2$)-NH-CO-(3,4-diCl-phenyl)

Table 1.209

Compd. No.	R^1, R^2-(CH$_2$)$_j$-	k	m	n	chirality	R^3	-(CH$_2$)$_p$-CR^{4}R^5-(CH$_2$)$_q$-G-R^6
2289	3,4-diCl-C$_6$H$_3$-CH$_2$-	2	2	1	-	H	(S) -CH(-(CH$_2$)$_2$CONH$_2$)-NH-CO-NH-C$_6$H$_5$
2290	3,4-diCl-C$_6$H$_3$-CH$_2$-	2	2	1	-	H	(S) -CH(-CH$_2$OH)-NH-CO-(3,4-diCl-C$_6$H$_3$)
2291	4-Cl-C$_6$H$_4$-CH$_2$-	2	2	1	-	H	(S) -CH(-CH$_2$OH)-NH-CO-(3,4-diCl-C$_6$H$_3$)
2292	4-H$_3$CS-C$_6$H$_4$-CH$_2$-	2	2	1	-	H	(S) -CH(-CH$_2$OH)-NH-CO-(3,4-diCl-C$_6$H$_3$)
2293	3,4-diCl-C$_6$H$_3$-CH$_2$-	2	2	1	-	H	(S) -CH(-CH$_2$OH)-NH-CO-NH-C$_6$H$_5$
2294	4-Cl-C$_6$H$_4$-CH$_2$-	2	2	1	-	H	(S) -CH(-CH$_2$OH)-NH-CO-NH-C$_6$H$_5$
2295	4-H$_3$CS-C$_6$H$_4$-CH$_2$-	2	2	1	-	H	(S) -CH(-CH$_2$OH)-NH-CO-NH-C$_6$H$_5$
2296	3,4-diCl-C$_6$H$_3$-CH$_2$-	1	2	0	R	H	(S) -CH(-(CH$_2$)$_2$SO$_2$CH$_3$)-NH-CO-(3,4-diCl-C$_6$H$_3$)
2297	4-H$_3$CS-C$_6$H$_4$-CH$_2$-	1	2	0	R	H	(S) -CH(-(CH$_2$)$_2$SO$_2$CH$_3$)-NH-CO-(3,4-diCl-C$_6$H$_3$)
2298	3,4-diCl-C$_6$H$_3$-CH$_2$-	1	2	0	R	H	(S) -CH(-(CH$_2$)$_2$SO$_2$CH$_3$)-NH-CO-NH-C$_6$H$_5$
2299	4-H$_3$CS-C$_6$H$_4$-CH$_2$-	1	2	0	R	H	(S) -CH(-(CH$_2$)$_2$SO$_2$CH$_3$)-NH-CO-NH-C$_6$H$_5$

Table 1.210

Compd. No.	$R^1R^2C(CH_2)_j-$	k	m	n	chirality	R^3	$-(CH_2)_p-C(R^4)(R^5)-(CH_2)_q-G-R^6$
2300	Cl–C$_6$H$_4$–CH$_2$–	1	2	0	S	H	(S) –CH–NH–CO–(3,4-Cl$_2$C$_6$H$_3$), (CH$_2$)$_2$SO$_2$CH$_3$
2301	(3,4-Cl$_2$)C$_6$H$_3$–CH$_2$–	1	2	0	S	H	(S) –CH–NH–CO–(3,4-Cl$_2$C$_6$H$_3$), (CH$_2$)$_2$SO$_2$CH$_3$
2302	(3,4-Cl$_2$)C$_6$H$_3$–CH$_2$–	1	2	0	R	H	(S) –CH–NH–CO–(2-NH$_2$-5-CF$_3$C$_6$H$_3$), (CH$_2$)$_2$SO$_2$CH$_3$
2303	Cl–C$_6$H$_4$–CH$_2$–	1	2	0	R	H	(S) –CH–NH–CO–(2-NH$_2$-5-CF$_3$C$_6$H$_3$), (CH$_2$)$_2$SO$_2$CH$_3$
2304	H$_3$CS–C$_6$H$_4$–CH$_2$–	1	2	0	R	H	(S) –CH–NH–CO–(2-NH$_2$-5-CF$_3$C$_6$H$_3$), (CH$_2$)$_2$SO$_2$CH$_3$
2305	(3,4-Cl$_2$)C$_6$H$_3$–CH$_2$–	1	2	0	S	H	(S) –CH–NH–CO–(2-NH$_2$-5-CF$_3$C$_6$H$_3$), (CH$_2$)$_2$SO$_2$CH$_3$
2306	H$_3$CS–C$_6$H$_4$–CH$_2$–	1	2	0	S	H	(S) –CH–NH–CO–(2-NH$_2$-5-CF$_3$C$_6$H$_3$), (CH$_2$)$_2$SO$_2$CH$_3$
2307	Cl–C$_6$H$_4$–CH$_2$–	1	2	0	R	H	(S) –CH–NH–CS–NH–C$_6$H$_5$, (CH$_2$)$_2$SO$_2$CH$_3$
2308	H$_3$CS–C$_6$H$_4$–CH$_2$–	1	2	0	R	H	(S) –CH–NH–CS–NH–C$_6$H$_5$, (CH$_2$)$_2$SO$_2$CH$_3$
2309	(3,4-Cl$_2$)C$_6$H$_3$–CH$_2$–	1	2	0	S	H	(S) –CH–NH–CS–NH–C$_6$H$_5$, (CH$_2$)$_2$SO$_2$CH$_3$
2310	Cl–C$_6$H$_4$–CH$_2$–	1	2	0	S	H	(S) –CH–NH–CS–NH–C$_6$H$_5$, (CH$_2$)$_2$SO$_2$CH$_3$

Table 1.211

Compd. No.	R^1R^2-$(CH_2)_j$-	k	m	n	chirality	R^3	$-(CH_2)_p$-$C R^4 R^5$-$(CH_2)_q$-G-R^6
2311	H₃CS—⟨⟩—CH₂–	1	2	0	S	H	(S) –CH–NH–C(=S)–NH–C₆H₅, (CH₂)₂SO₂CH₃
2312	H₃CS—⟨⟩—CH₂–	1	2	0	R	H	(S) –CH–NH–C(=O)–[2-NH₂-5-CF₃-phenyl], CH₃
2313	[3,4-Cl₂-phenyl]—CH₂–	1	2	0	R	H	(S) –CH–NH–C(=O)–[3,4-Cl₂-phenyl], CH₃
2314	H₃CS—⟨⟩—CH₂–	1	2	0	S	H	(S) –CH–NH–C(=O)–NH–C₆H₅, CH₃
2315	Cl—⟨⟩—CH₂–	2	2	1	-	H	(S) –CH–NH–C(=O)–[3,4-Cl₂-phenyl], CH(CH₃)₂
2316	Cl—⟨⟩—CH₂–	1	2	0	S	H	(S) –CH–NH–C(=O)–[2-NH₂-5-CF₃-phenyl], (CH₂)₂SO₂CH₃
2317	[3,4-Cl₂-phenyl]—CH₂–	2	2	1	-	H	(S) –CH–NH–C(=O)–[2-NH₂-5-CF₃-phenyl], CH₂OH
2318	[3,4-Cl₂-phenyl]—CH₂–	1	2	0	R	H	(S) –CH–NH–C(=S)–NH–C₆H₅, (CH₂)₂SO₂CH₃
2319	[3,4-Cl₂-phenyl]—CH₂–	2	2	1	-	H	(S) –CH–NH–C(=S)–NH–C₆H₅, CH(CH₃)₂
2320	Cl—⟨⟩—CH₂–	2	2	1	-	H	(S) –CH–NH–C(=S)–NH–C₆H₅, CH(CH₃)₂
2321	H₃CS—⟨⟩—CH₂–	2	2	1	-	H	(S) –CH–NH–C(=S)–NH–C₆H₅, CH(CH₃)₂

Table 1.212

Compd. No.	R¹/R²–(CH₂)ⱼ–	k	m	n	chirality	R³	–(CH₂)ₚ–R⁴/R⁵–(CH₂)q–G–R⁶
2322		2	2	1	-	H	
2323	H₃CS–⟨⟩–CH₂–	2	2	1	-	H	
2324		2	2	1	-	H	
2325		1	2	0	R	H	
2326	Cl–⟨⟩–CH₂–	1	2	0	R	H	
2327	H₃CS–⟨⟩–CH₂–	1	2	0	R	H	
2328		1	2	0	S	H	
2329	Cl–⟨⟩–CH₂–	1	2	0	S	H	
2330	H₃CS–⟨⟩–CH₂–	1	2	0	S	H	
2331	Cl–⟨⟩–CH₂–	1	2	0	S	H	
2332	Cl–⟨⟩–CH₂–	1	2	0	R	H	

Table 1.213

Compd. No.	R^1 R^2 $(CH_2)_j$	k	m	n	chirality	R^3	$(CH_2)_p$ R^4 R^5 $(CH_2)_q$ G R^6
2333	Cl—⬡—CH₂–	1	2	0	R	H	(S) –CH–N–C(=O)–N–phenyl, (CH₂)₂SO₂CH₃
2334	H₃CS—⬡—CH₂–	1	2	0	S	H	(S) –CH–N–C(=O)–(3,4-diCl-phenyl), (CH₂)₂SO₂CH₃
2335	(2,3-diCl)⬡—CH₂–	1	2	0	S	H	(S) –CH–N–C(=O)–N–phenyl, (CH₂)₂SO₂CH₃
2336	Cl—⬡—CH₂–	1	2	0	S	H	(S) –CH–N–C(=O)–N–phenyl, (CH₂)₂SO₂CH₃
2337	H₃CS—⬡—CH₂–	1	2	0	S	H	(S) –CH–N–C(=O)–N–phenyl, (CH₂)₂SO₂CH₃
2338	H₃CS—⬡—CH₂–	2	2	1	-	H	(S) –CH–N–C(=O)–N–phenyl, (CH₂)₂CONH₂
2339	Cl—⬡—CH₂–	2	2	1	-	H	(S) –CH–N–C(=O)–(2-NH₂-5-CF₃-phenyl), (CH₂)₂CONH₂
2340	H₃CS—⬡—CH₂–	2	2	1	-	H	(S) –CH–N–C(=O)–(2-NH₂-5-CF₃-phenyl), (CH₂)₂CONH₂
2341	Cl—⬡—CH₂–	2	2	1	-	H	(S) –CH–N–C(=O)–(2-NH₂-5-CF₃-phenyl), CH₂OH
2342	H₃CS—⬡—CH₂–	2	2	1	-	H	(S) –CH–N–C(=O)–(2-NH₂-5-CF₃-phenyl), CH₂OH
2343	(2,3-diCl)⬡—CH₂–	2	2	1	-	H	(S) –CH–N–C(=O)–(3,4-diCl-phenyl), (CH₂)₂CONH₂

Table 1.214

Compd. No.	R^1 R^2 $\!-\!(CH_2)_j\!-$	k	m	n	chirality	R^3	$\!-\!(CH_2)_p\!\overset{R^4}{\underset{R^5}{C}}\!(CH_2)_q\!-\!G\!-\!R^6$
2344	Cl—⬡—CH₂–	2	2	1	–	H	(S) –CH–NH–CO–⬡(3,4-diCl) ; (CH₂)₂CONH₂
2345	Cl—⬡—CH₂–	2	2	1	–	H	(S) –CH–NH–CO–NH–⬡ ; (CH₂)₂CONH₂
2346	Cl,Cl—⬡—CH₂–	2	2	1	–	H	(S) –CH–NH–CO–⬡(2-NH₂, 5-CF₃) ; (CH₂)₂CONH₂
2347	Cl,Cl—⬡—CH₂–	1	2	0	S	H	(S) –CH–NH–CO–NH–⬡ ; CH₃
2348	Cl—⬡—CH₂–	1	2	0	R	H	(S) –CH–NH–CO–⬡(3,4-diCl) ; (CH₂)₂SO₂CH₃
2349	F—⬡—CH₂–	1	2	0	R	H	(S) –CH–NH–CO–⬡(3,4-diCl) ; (CH₂)₂SO₂CH₃
2350	F,F—⬡—CH₂–	1	2	0	R	H	(S) –CH–NH–CO–⬡(3,4-diCl) ; (CH₂)₂SO₂CH₃
2351	naphthyl–CH₂–	1	2	0	R	H	(S) –CH–NH–CO–⬡(3,4-diCl) ; (CH₂)₂SO₂CH₃
2352	Cl,Cl—⬡—CH₂–	2	2	1	–	H	(S) –CH–NH–CO–NH–⬡–Cl ; CH₃
2353	Cl,Cl—⬡—CH₂–	2	2	1	–	H	(S) –CH–NH–CO–NH–naphthyl ; CH₃
2354	Cl,Cl—⬡—CH₂–	1	2	0	R	H	(S) –CH–NH–CO–⬡(2,4-diCl) ; (CH₂)₂SO₂CH₃

Table 1.215

Compd. No.	$\begin{matrix} R^1 \\ R^2 \end{matrix}$CH–(CH₂)ⱼ–	k	m	n	chirality	R³	$-(CH_2)_p\overset{R^4}{\underset{R^5}{C}}(CH_2)_q-G-R^6$
2355	(2,3-diCl)benzyl	1	2	0	R	H	(S) –CH–NH–C(O)–(2,3-diCl-phenyl); (CH₂)₂SO₂CH₃
2356	(2,3-diCl)benzyl	1	2	0	R	H	(S) –CH–NH–C(O)–(3,5-diCl-phenyl); (CH₂)₂SO₂CH₃
2357	(2,3-diCl)benzyl	1	2	0	R	H	(S) –CH–NH–C(O)–(5-Cl-thienyl); (CH₂)₂SO₂CH₃
2358	(2,3-diCl)benzyl	1	2	0	R	H	(S) –CH–NH–C(O)–(4-CH₃-phenyl); (CH₂)₂SO₂CH₃
2359	(2,3-diCl)benzyl	1	2	0	R	H	(S) –CH–NH–C(O)–thienyl; (CH₂)₂SO₂CH₃
2360	(2,3-diCl)benzyl	1	2	0	R	H	(S) –CH–NH–C(O)–NH–naphthyl; (CH₂)₂SO₂CH₃
2361	(2,3-diCl)benzyl	1	2	0	R	H	(S) –CH–NH–C(O)–NH–(4-Cl-phenyl); (CH₂)₂SO₂CH₃
2362	(2,3-diCl)benzyl	1	2	0	R	H	(S) –CH–NH–C(O)–NH–(4-OCH₃-phenyl); (CH₂)₂SO₂CH₃
2363	(2,3-diCl)benzyl	2	2	1	-	H	(S) –CH–NH–C(O)–(2,4-diCl-phenyl); CH₃
2364	(2,3-diCl)benzyl	2	2	1	-	H	(S) –CH–NH–C(O)–(2,3-diCl-phenyl); CH₃
2365	(2,3-diCl)benzyl	2	2	1	-	H	(S) –CH–NH–C(O)–(3,5-diCl-phenyl); CH₃

Table 1.216

Compd. No.	R^1 R^2 $-(CH_2)_j-$	k	m	n	chirality	R^3	$-(CH_2)_p-\overset{R^4}{\underset{R^5}{C}}-(CH_2)_q-G-R^6$
2366	3,4-diCl-phenyl-CH$_2$-	2	2	1	-	H	(S) -CH(CH$_3$)-NH-C(=O)-phenyl-CH$_3$
2367	3,4-diCl-phenyl-CH$_2$-	2	2	1	-	H	(S) -CH(CH$_3$)-NH-C(=O)-thienyl
2368	3,4-diCl-phenyl-CH$_2$-	2	2	1	-	H	(S) -CH(CH$_3$)-NH-C(=O)-(5-Cl-thienyl)
2369	3,4-diCl-phenyl-CH$_2$-	2	2	1	-	H	(S) -CH(CH$_3$)-NH-C(=O)-NH-phenyl-OCH$_3$
2370	2,4-diCl-phenyl-CH$_2$-	2	2	1	-	H	(S) -CH(CH$_3$)-NH-C(=O)-(3,4-diCl-phenyl)
2371	3-Cl-phenyl-CH$_2$-	2	2	1	-	H	(S) -CH(CH$_3$)-NH-C(=O)-(3,4-diCl-phenyl)
2372	2-Cl-phenyl-CH$_2$-	2	2	1	-	H	(S) -CH(CH$_3$)-NH-C(=O)-(3,4-diCl-phenyl)
2373	3,4-diF-phenyl-CH$_2$-	2	2	1	-	H	(S) -CH(CH$_3$)-NH-C(=O)-(3,4-diCl-phenyl)
2374	2,3-diF-phenyl-CH$_2$-	2	2	1	-	H	(S) -CH(CH$_3$)-NH-C(=O)-(3,4-diCl-phenyl)
2375	2,4-diF-phenyl-CH$_2$-	2	2	1	-	H	(S) -CH(CH$_3$)-NH-C(=O)-(3,4-diCl-phenyl)
2376	2-F-phenyl-CH$_2$-	2	2	1	-	H	(S) -CH(CH$_3$)-NH-C(=O)-(3,4-diCl-phenyl)

Table 1.217

Compd. No.	R^1R^2>(CH$_2$)$_j$–	k	m	n	chirality	R^3	$-(CH_2)_p\overset{R^4}{\underset{R^5}{C}}(CH_2)_q-G-R^6$
2377	F–⬡–CH$_2$–	2	2	1	–	H	(S) –CH–N–C(=O)–⬡(3,4-Cl$_2$) CH$_3$
2378	naphthyl–CH$_2$–	2	2	1	–	H	(S) –CH–N–C(=O)–⬡(3,4-Cl$_2$) CH$_3$
2379	Cl,Cl–⬡–CH$_2$–	2	2	1	–	H	(S) –CH–N–C(=O)–⬡(Br, H$_2$N) CH$_3$
2380	Cl,Cl–⬡–CH$_2$–	2	2	1	–	H	(S) –CH–N–C(=O)–⬡(H$_2$N) CH$_3$
2381	Cl,Cl–⬡–CH$_2$–	2	2	1	–	H	(S) –CH–N–C(=O)–⬡(HO) CH$_3$
2382	Cl,Cl–⬡–CH$_2$–	2	2	1	–	H	(S) –CH–N–C(=O)–⬡–OH CH$_3$
2383	Cl,Cl–⬡–CH$_2$–	2	2	1	–	H	(S) –CH–N–C(=S)–N–CH$_2$–⬡ CH$_3$
2384	Cl,Cl–⬡–CH$_2$–	1	2	0	R	H	(S) –CH–N–C(=O)–⬡(3,4-Cl$_2$) (CH$_2$)$_2$SO$_2$CH$_3$
2385	Cl,Cl–⬡–CH$_2$–	1	2	0	R	H	(S) –CH–N–C(=O)–⬡(3,4-Cl$_2$) (CH$_2$)$_2$SO$_2$CH$_3$
2386	Cl–⬡–CH$_2$–	1	2	0	R	H	(S) –CH–N–C(=O)–⬡(3,4-Cl$_2$) (CH$_2$)$_2$SO$_2$CH$_3$
2387	F,F–⬡–CH$_2$–	1	2	0	R	H	(S) –CH–N–C(=O)–⬡(3,4-Cl$_2$) (CH$_2$)$_2$SO$_2$CH$_3$

Table 1.218

Compd. No.	R^1 R^2 $-(CH_2)_j-$	k	m	n	chirality	R^3	$-(CH_2)_p-\overset{R^4}{\underset{R^5}{C}}-(CH_2)_q-G-R^6$
2388	F, F-phenyl-CH_2-	1	2	0	R	H	(S) $-CH-N\overset{H}{}-\overset{O}{C}$-(3,4-diClPh); $(CH_2)_2SO_2CH_3$
2389	F-phenyl-CH_2-	1	2	0	R	H	(S) $-CH-N\overset{H}{}-\overset{O}{C}$-(3,4-diClPh); $(CH_2)_2SO_2CH_3$
2390	Cl, Cl-phenyl-CH_2-	1	2	0	R	H	(S) $-CH-N\overset{H}{}-\overset{O}{C}$-(2-$NH_2$, 5-Br-Ph); $(CH_2)_2SO_2CH_3$
2391	Cl, Cl-phenyl-CH_2-	1	2	0	R	H	(S) $-CH-N\overset{H}{}-\overset{O}{C}$-(2-$NH_2$, 5-Cl-Ph); $(CH_2)_2SO_2CH_3$
2392	Cl, Cl-phenyl-CH_2-	1	2	0	R	H	(S) $-CH-N\overset{H}{}-\overset{O}{C}$-(2-$NH_2$-Ph); $(CH_2)_2SO_2CH_3$
2393	Cl, Cl-phenyl-CH_2-	1	2	0	R	H	(S) $-CH-N\overset{H}{}-\overset{S}{C}-N-CH_2$-Ph; $(CH_2)_2SO_2CH_3$
2394	Cl, Cl-phenyl-CH_2-	2	2	1	-	H	(S) $-CH-N\overset{H}{}-\overset{O}{C}$-(3,4-diClPh); $(CH_2)_2SCH_3$
2395	Cl, Cl-phenyl-CH_2-	2	2	1	-	H	(S) $-CH-N\overset{H}{}-\overset{O}{C}$-(3,4-diClPh); CH_2OCH_2Ph
2396	Cl, Cl-phenyl-CH_2-	2	2	1	-	H	(S) $-CH-N\overset{H}{}-\overset{O}{C}$-(3,4-diClPh); $(CH_2)_4NH_2$
2397	Cl, Cl-phenyl-CH_2-	2	2	1	-	H	(S) $-CH-N\overset{H}{}-\overset{O}{C}$-(3,4-diClPh); CH_2-indolyl
2398	Cl, Cl-phenyl-CH_2-	2	2	1	-	H	(S) $-CH-N\overset{H}{}-\overset{O}{C}$-(3,4-diClPh); H_2C-(4-$OC(CH_3)_3$-Ph)

Table 1.219

Compd. No.	R^1R^2CH–(CH$_2$)$_j$–	k	m	n	chirality	R^3	–(CH$_2$)$_p$CR^4R^5(CH$_2$)$_q$–G–R^6
2399	3,4-dichlorobenzyl	2	2	1	–	H	(S) structure, OCH$_2$Ph substituted
2400	3,4-dichlorobenzyl	2	2	1	–	H	(S) structure, OH substituted
2401	3,4-dichlorobenzyl	2	2	1	–	H	(S) structure, phenyl
2402	2,6-dichlorobenzyl	2	2	1	–	H	(S) structure, CH$_2$OH
2403	3,4-difluorobenzyl	2	2	1	–	H	(S) structure, CH$_2$OH
2404	2,3-difluorobenzyl	2	2	1	–	H	(S) structure, CH$_2$OH
2405	2,4-difluorobenzyl	2	2	1	–	H	(S) structure, CH$_2$OH
2406	3-fluorobenzyl	2	2	1	–	H	(S) structure, CH$_2$OH
2407	naphthylmethyl	2	2	1	–	H	(S) structure, CH$_2$OH
2408	H$_3$CSO$_2$–C$_6$H$_4$–CH$_2$–	2	2	1	–	H	(S) structure, CH$_2$OH
2409	H$_3$CO$_2$C–C$_6$H$_4$–CH$_2$–	2	2	1	–	H	(S) structure, CH$_2$OH

Table 1.220

Compd. No.	R^1 R^2 $-(CH_2)_j-$	k	m	n	chirality	R^3	$-(CH_2)_p-CR^4R^5-(CH_2)_q-G-R^6$
2410	Cl, Cl-phenyl-CH2-	2	2	1	-	H	(S) -CH-NH-CO-(2,4-dichlorophenyl); CH2OH
2411	Cl, Cl-phenyl-CH2-	2	2	1	-	H	(S) -CH-NH-CO-(2,3-dichlorophenyl); CH2OH
2412	Cl, Cl-phenyl-CH2-	2	2	1	-	H	(S) -CH-NH-CO-(thiophene); CH2OH
2413	Cl, Cl-phenyl-CH2-	2	2	1	-	H	(S) -CH-NH-CO-NH-(4-OCH3-phenyl); CH2OH
2414	Cl, Cl-phenyl-CH2-	2	2	1	-	H	(S) -CH-NH-CO-(thiophene); CH2OH
2415	Cl, Cl-phenyl-CH2-	2	2	1	-	H	(S) -CH-NH-CS-NH-(3-OCH3-phenyl); CH3
2416	Cl, Cl-phenyl-CH2-	2	2	1	-	H	(S) -CH-NH-CS-NH-(4-OCH3-phenyl); CH3
2417	Cl, Cl-phenyl-CH2-	2	2	1	-	H	(S) -CH-NH-CS-NH-(3-CH3-phenyl); CH3
2418	Cl, Cl-phenyl-CH2-	2	2	1	-	H	(S) -CH-NH-CS-NH-(4-CH3-phenyl); CH3
2419	Cl, Cl-phenyl-CH2-	2	2	1	-	H	(S) -CH-NH-CS-NH-(3-Cl-phenyl); CH3
2420	Cl, Cl-phenyl-CH2-	2	2	1	-	H	(S) -CH-NH-CS-NH-(4-Cl-phenyl); CH3

Table 1.221

Compd. No.	R¹/R²-(CH₂)ⱼ-	k	m	n	chirality	R³	-(CH₂)ₚ-R⁴/R⁵-(CH₂)q-G-R⁶
2421	2,3-dichlorobenzyl (Cl, Cl)—CH₂-	2	2	1	-	H	(S) -CH(CH₃)-NH-C(=S)-NH-C₆H₄-4-F
2422	(Cl, Cl)—CH₂-	1	2	0	R	H	(S) -CH[(CH₂)₂SO₂CH₃]-NH-C(=S)-NH-C₆H₄-3-OCH₃
2423	(Cl, Cl)—CH₂-	1	2	0	R	H	(S) -CH[(CH₂)₂SO₂CH₃]-NH-C(=S)-NH-C₆H₄-4-OCH₃
2424	(Cl, Cl)—CH₂-	1	2	0	R	H	(S) -CH[(CH₂)₂SO₂CH₃]-NH-C(=S)-NH-C₆H₄-3-CH₃
2425	(Cl, Cl)—CH₂-	1	2	0	R	H	(S) -CH[(CH₂)₂SO₂CH₃]-NH-C(=S)-NH-C₆H₄-4-CH₃
2426	(Cl, Cl)—CH₂-	1	2	0	R	H	(S) -CH[(CH₂)₂SO₂CH₃]-NH-C(=S)-NH-C₆H₄-3-Cl
2427	(Cl, Cl)—CH₂-	1	2	0	R	H	(S) -CH[(CH₂)₂SO₂CH₃]-NH-C(=S)-NH-C₆H₄-4-Cl
2428	(Cl, Cl)—CH₂-	1	2	0	R	H	(S) -CH[(CH₂)₂SO₂CH₃]-NH-C(=S)-NH-C₆H₄-4-F

[0094] The acid addition salt of the cyclic amine compound is also used in the present invention. Examples of the acid include a mineral acid such as hydrochloric acid, hydrobromic acid, sulfuric acid, phosphoric acid or carbonic acid and an organic acid such as maleic acid, citric acid, malic acid, tartaric acid, fumaric acid, methanesulfonic acid, trifluoroacetic acid or formic acid.

[0095] Furthermore, C_1-C_6 alkyl addition salt of the cyclic amine compound, for example, 1-(4-chlorobenzyl)-1-methyl-4-[(N-(3-trifluoromethylbenzoyl)glycyl} aminomethyl]piperidinium iodide is also used in the present invention. The alkyl group preferably includes methyl, ethyl, n-propyl, n-butyl, n-pentyl, n-hexyl, n-heptyl, n-octyl, isopropyl, isobutyl, sec-butyl, tert-butyl, isopentyl, neopentyl, tert-pentyl, 2-methylpentyl and 1-ethylbutyl and the like herein; however, methyl group, ethyl group or the like is especially preferable.

[0096] A halide anion such as fluoride, chloride, bromide or iodide is preferable for a counter anion of an ammonium cation.

[0097] In the present invention, a racemate and all the possible optically active forms of the compound represented by the above formula (I) can also be used.

[0098] The compounds represented by the above formula (I) can be synthesized by using any of the following general preparation methods as described in WO9925686:

(Preparation method 1)

[0099] A preparation method comprises reacting one equivalent of a compound represented by the following formula (II):

$$R^1 \overset{R^1}{\underset{R^2}{>}} -(CH_2)_j-N \overset{(CH_2)_k}{\underset{(CH_2)_m}{<}} -(CH_2)_n-\underset{R^3}{NH} \qquad (\text{II})$$
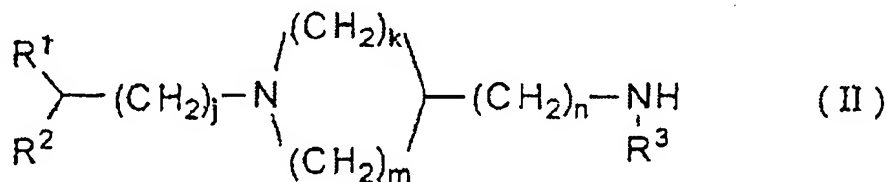
wherein, R^1, R^2, R^3, j, k, m and n are each the same as defined in the above formula (I), with 0.1 to 10 equivalents of a carboxylic acid represented by the following formula (III):

$$HO-\overset{O}{\overset{\|}{C}}-(CH_2)_p\overset{R^4}{\underset{R^5}{—|—}}(CH_2)_q-G-R^6 \qquad (\text{III})$$
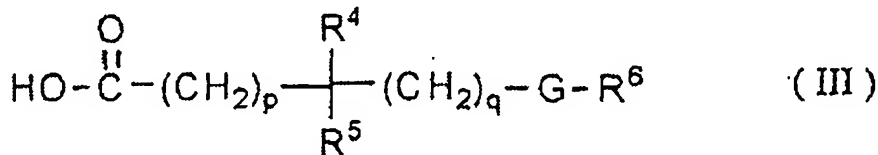
wherein, R^4, R^5, R^6, G, p and q are each the same as defined in the above formula (I), or a reactive derivative thereof in the absence or presence of a solvent.

[0100] The "reactive derivative" of the carboxylic acid represented by the above formula (III) means a carboxylic acid derivative, for example, an acid halide, an acid anhydride or a mixed acid anhydride usually used in the synthetic organic chemistry field and having high reactivity.

[0101] The reaction can more smoothly be made to proceed by suitably using an adequate amount of a dehydrating agent such as molecular sieve; a coupling reagent such as dicyclohexylcarbodiimide (DCC), N-ethyl-N'-(3-dimethylaminopropyl)carbodiimide (EDCl or WSC), carbonyldiimidazole (CDI), N-hydroxysuccinimide (HOSu), N-hydroxybenzotriazole (HOBt), benzotriazol-1-yloxytris(pyrrolidino)phosphonium hexafluorophosphate (PyBOP), 2-(1H-benzotriazol-1-yl)-1,1,3,3-tetramethyluronium hexafluorophosphate (HBTU), 2-(1H-benzotriazol-1-yl)-1,1,3,3-tetramethyluronium tetrafluoroborate (TBTU), 2-(5-norbornene-2,3-dicarboxyimide)-1,1,3,3-tetramethyluronium tetrafluoroborate (TNTU), O-(N-succinimidyl)-1,1,3,3-tetramethyluronium tetrafluoroborate (TSTU) or bromotris(pyrrolidino)phosphonium hexafluorophosphate (PyBroP); a base such as an inorganic base such as potassium carbonate, calcium carbonate or sodium hydrogencarbonate; amines such as triethylamine, diisoproylethylamine or pyridine or a polymer supported base such as (piperidinomethyl)polystyrene, (morpholinomethyl)polystyrene, (dimethylaminomethyl)polystyrene or poly(4-vinylpyridine).

(Preparation method 2)

[0102] A preparation method comprises reacting one equivalent of an alkylating reagent represented by the following formula (IV):

$$\begin{array}{c} R^1 \\ \diagdown \\ \diagup \quad (CH_2)_j - X \qquad\qquad (IV) \\ R^2 \end{array}$$
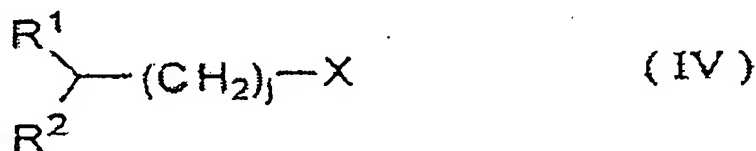
wherein, R^1, R^2 and j are each the same as defined in the above formula (I); X is a halogen atom, an alkylsulfonyloxy group or an arylsulfonyloxy group, with 0.1 to 10 equivalents of a compound represented by the following formula (V):

$$\begin{array}{c} (CH_2)_k \\ HN \diagup \qquad\diagdown \quad (CH_2)_n - N - C - (CH_2)_p - \overset{R^4}{\underset{R^5}{\mid}} - (CH_2)_q - G - R^6 \qquad (V) \\ \diagdown (CH_2)_m \diagup \qquad\quad R^3 \end{array}$$
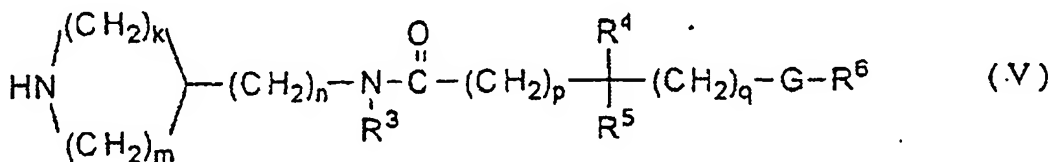
wherein, R^3, R^4, R^5, R^6, G, k, m, n, p and q are each the same as defined in the above formula (I), in the absence or presence of a solvent.

[0103] The reaction can more smoothly be made to proceed by suitably using a base similar to that in the preparation method 1. Furthermore, the reaction sometimes can be promoted by the presence of an iodide such as potassium iodide or sodium iodide.

[0104] In the above formula (IV), X is a halogen atom, an alkylsulfonyloxy group or an arylsulfonyloxy group. Examples of the halogen atom preferably include a chlorine atom, a bromine atom and an iodine atom. Specific examples of the alkylsulfonyloxy group preferably include a methylsulfonyloxy group, a trifluoromethylsulfonyloxy group and the like, and the specific example of the arylsulfonyloxy group preferably includes tosyloxy group.

(Preparation method 3)

[0105] A preparation method comprises reacting one equivalent of an aldehyde represented by the following formula (VI):

$$\begin{array}{c} R^1 \\ \diagdown \\ \diagup \quad (CH_2)_{j-1} - CHO \qquad\qquad (VI) \\ R^2 \end{array}$$
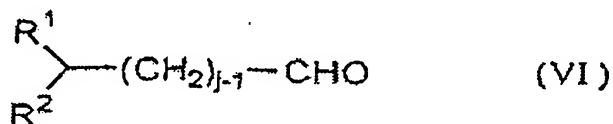
wherein, R^1 and R^2 are each the same as defined in the above formula (I); j is 1 or 2, or an aldehyde represented by the following formula (VII):

$$R^1 - CHO \qquad\qquad (VII)$$

wherein, R^1 is the same as defined for R^1 in the above formula (I); the compound corresponds to the case where j is 0, with 0.1 to 10 equivalents of a compound represented by the above formula (V) in the absence or presence of a solvent.

[0106] The reaction is usually called a reductive amination reaction and a catalytic hydrogenation reaction using a

catalyst containing a metal such as palladium, platinum, nickel or rhodium, a hydrogenation reaction using a complex hydride such as lithium aluminum hydride, sodium borohydride, sodium cyanoborohydride or sodium triacetoxyborohydride and borane, an electrolytic reduction or the like can be used as reductive conditions.

(Preparation method 4)

[0107] A preparation method comprises reacting one equivalent of a compound represented by the following formula (VIII):

$$R^1 \diagdown_{R^2}\!\!-(CH_2)_j-N\diagdown^{(CH_2)_k}_{(CH_2)_m}\!\!-(CH_2)_n-\underset{R^3}{N}-\overset{O}{\underset{\parallel}{C}}-(CH_2)_p-\underset{R^5}{\overset{R^4}{|}}-(CH_2)_q-\underset{R^7}{\underset{|}{N}H} \qquad (\text{VIII})$$
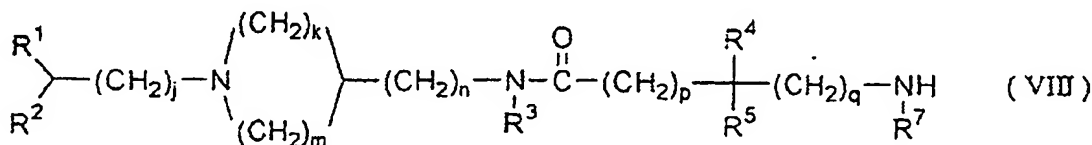
wherein, R^1, R^2, R^3, R^4, R^5, R^7, j, k, m, n, p and q are each the same as defined in the above formula (I), with 0.1 to 10 equivalents of a carboxylic acid or a sulfonic acid represented by the following formula (IX):

$$\text{HO-A-R}^6 \qquad\qquad\qquad (\text{IX})$$

wherein, R^6 is the same as R^6 defined in the above formula (I); A is a carbonyl group or a sulfonyl group, or a reactive derivative thereof in the absence or presence of a solvent.
[0108] The reactive derivative of the carboxylic acid or sulfonic acid represented by the above formula (IX) means a carboxylic acid derivative or sulfonic acid derivative, for example, an acid halide, an acid anhydride or a mixed acid anhydride usually used in the synthetic organic chemistry field and having high reactivity.
[0109] The reaction can more smoothly be made to proceed by suitably using a dehydrating agent, a coupling reagent or a base similar to that in the above preparation method 1.

(Preparation method 5)

[0110] A preparation method comprises reacting one equivalent of a compound represented by the above formula (VIII) with 0.1 to 10 equivalents of an isocyanate or an isothiocyanate represented by the following formula (X):

$$\text{Z=C=N-R}^6 \qquad\qquad\qquad (\text{X})$$

wherein, R^6 is the same as defined in the above formula (I); Z is an oxygen atom or a sulfur atom, in the absence or presence of a solvent.

(Preparation method 6)

[0111] A preparation method comprises reacting one equivalent of a compound represented by the following formula (XI):

$$R^1 \diagdown_{R^2}\!\!-(CH_2)_j-N\diagdown^{(CH_2)_k}_{(CH_2)_m}\!\!-(CH_2)_n-\underset{R^3}{N}-\overset{O}{\underset{\parallel}{C}}-(CH_2)_p-\underset{R^5}{\overset{R^4}{|}}-(CH_2)_q-\text{A-OH} \qquad (\text{XI})$$
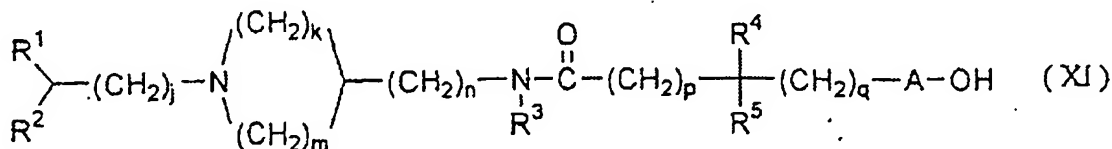
wherein, R^1, R^2, R^3, R^4, R^5, j, k, m, n, p and q are each the same as defined in the above formula (I); A is a carbonyl group or a sulfonyl group, with 0.1 to 10 equivalents of an amine represented by the following formula (XII):

$$R^6\text{-}NH_2 \hspace{4cm} \text{(XII)}$$

wherein, R^6 is the same as defined for R^6 in the above formula (I), in the absence or presence of a solvent.

[0112] The reaction can more smoothly be made to proceed by suitably using a dehydrating agent, a coupling reagent or a base similar to that in the above preparation method 1.

[0113] In the above preparation methods 1 to 6, when a substrate used for each reaction has substituents regarded as usually reacting under respective reaction conditions in the organic synthetic chemistry or having adverse effects on the reaction, the functional groups can be protected with a known suitable protecting group, and the substrate can be used for the reaction and then deprotected by a conventional known method to afford the objective compound.

[0114] In addition, the compounds of the present invention can be obtained by further converting single or plural substituents of the compound produced by the above preparation methods 1 to 6 using a known reaction usually used in the organic synthetic chemistry, for example, an alkylation reaction, an acylation reaction or a reduction reaction.

[0115] In the above respective preparation methods, a halogenated hydrocarbon such as dichloromethane or chloroform, an aromatic hydrocarbon such as benzene or toluene, ethers such as diethyl ether or tetrahydrofuran, esters such as ethyl acetate, an aprotic polar solvent such as dimethylformamide, dimethyl sulfoxide or acetonitrile and alcohols such as methanol, ethanol or isopropyl alcohol are suitably used as a reaction solvent according to the reaction.

[0116] In each of the preparation methods, the reaction temperature is within the range of -78 to +150 °C, preferably within the range of 0 to 100 °C. After completing the reaction, the objective cyclic amine compound represented by the above formula (I) can be isolated by carrying out usual isolating and purifying operations, i.e., concentration, filtration, extraction, solid-phase extraction, recrystallization or chromatography. The compound can be converted into their pharmaceutically acceptable acid addition salt thereof or their C_1-C_6 alkyl addition salt thereof according to a usual method.

[0117] The specific diseases which are objects of the remedies or prophylactics of the present invention and associated with CCR5 include diseases caused by infection of HIV (human immunodeficiency virus), especially AIDS (acquired immunodeficiency syndrome), diseases accompanied by chondrolysis of cartilage or osteolysis, especially rheumatoid arthritis, nephritis or nephropathy, especially glomerulonephritis, interstitial nephritis, nephrotic syndrome, demyelinaing diseases, especially multiple sclerosis, rejection after organ transplantation, graft-versus-host diseases (GVHD), diabetes, chronic obstructive pulmonary diseases (COPD), bronchial asthma, atopic dermatitis, sarcoidosis, fibrosis, atherosclerosis, psoriasis or inflammatory bowel diseases.

Examples

[0118] The present invention will be detailed specifically based on Examples; however, the present invention is not restricted to the Examples. The Compound number (Compd. No.) assigned to each compound in the following Examples corresponds to the Compd. No. assigned to each compound cited as a preferred specific example in Tables 1.1 to 1.221.

[Reference Example 1] Synthesis of (R)-1-(4-chlorobenzyl)-3-[{N-(3,4-difluorobenzovl)glycyl}amino]pyrrolidine (Compd. No. 69)

[0119] The compounds of the present invention were synthesized according to the preparation method described in WO9925686. For example (R)-1-(4-chlorobenzyl)-3-[{N-(3-(trifluoromethylthio)benzoyl)glycyl}amino]pyrrolidine, which was Compd. No. 1606, was synthesized as follows:

1) 3-Amino-1-(4-chlorobenzyl)pyrrolidine dihydrochloride

4-Chlorobenzyl chloride (4.15 g, 25.8 mmol) and $^iPr_2NEt$ (6.67 g, 51.6 mmol) were added to a DMF (50 mL) solution of 3-{(tert-butoxycarbonyl)amino}pyrrolidine (4.81 g, 25.8 mmol). The reaction mixture was stirred at 70 °C for 15 hours, and the solvent was removed under reduced pressure. The objective 3-{(tert-butoxycarbonyl) amino}-1-(4-chlorobenzyl)pyrrolidine (6.43 g, 80%) was obtained as an off-white solid by recrystallization (CH₃CN, 50 mL).

^{1}H NMR (CDCl₃, 300MHz) δ 1.37 (s, 9 H), 1.5-1.7 (br, 1 H), 2.1-2.4 (m, 2 H), 2.5-2.7 (m, 2 H), 2.83 (br, 1 H), 3.57 (s, 2 H), 4.1-4.3 (br, 1 H), 4.9-5.1 (br, 1 H), 7.15-7.35(br,4H);

The purity was determined by RPLC/MS (98%). ESI/MS m/e 311.0 (M^++H, $C_{16}H_{24}ClN_2O_2$).

To a CH₃OH (80 mL) solution of the 3-{(tert-butoxycarbonyl)amino}-1-(4-chlorobenzyl)pyrrolidine (6.38 g, 20.5 mmol), was added 1 M HCl-Et₂O (100 mL). The resulting mixture was stirred at 25°C for 15 hours. The solvent was removed under reduced pressure to provide a solid, which was purified by recrystallization (CH₃OH:CH₃CN

= 1:2, 130 mL) to afford 3-amino-1-(4-chlorobenzyl)pyrrolidine dihydrochloride (4.939 g, 85%) as a white powder. [1]H NMR (d_6-DMSO, 300MHz) δ 3.15 (br, 1 H), 3.3-3.75 (br-m, 4 H), 3.9 (br, 1 H), 4.05 (br, 1 H), 4.44 (br, 1 H), 4.54 (br, 1 H), 7.5-7.7 (m, 4 H), 8.45 (br, 1 H), 8.60 (br, 1 H);

The purity was determined by RPLC/MS (>99%); ESI/MS m/e 211.0 (M+H, $C_{11}H_{16}ClN_2$).

Optically active (R)-3-amino-1-(4-chlorobenzyl)pyrrolidine dihydrochloride and (S)-3-amino-1-(4-chloroben-zyl)pyrrolidine dihydrochloride were synthesized by using the respective corresponding starting materials according to the above method. The products exhibited the same [1]H NMR as that of the above racemate.

2) (R)-3-{(N-tert-butoxycarbonyl)glycyl}amino-1-(4-chlorobenzyl)pyrrolidine

A mixture of the (R)-3-amino-1-(4-chlorobenzyl)pyrrolidine dihydrochloride (4.54 g, 16.0 mmol) with a 2 M NaOH solution (80 mL) and ethyl acetate (80 mL) was stirred, and the organic layer was separated. The aqueous layer was extracted with ethyl acetate (80 mL×2). The organic layers were combined, dried over anhydrous sodium sulfate, filtered and concentrated to thereby provide free (R)-3-amino-1-(4-chlorobenzyl)pyrrolidine (3.35 g, 99%).

Et_3N (2.5 mL, 17.6 mmol), N-tert-butoxycarbonylglycine (2.79 g, 16.0 mmol), EDCI (3.07 g, 16.0 mmol) and HOBt (12.16 g, 16 mmol) were added to a CH_2Cl_2 (80 mL) solution of the (R)-3-amino-1-(4-chlorobenzyl)pyrrolidine (3.35 g, 16 mmol). The reaction mixture was stirred at 25 °C for 16 hours, and a 2 M NaOH solution (80 mL) was then added to the mixture. The organic layer was separated, and the aqueous layer was extracted with dichloromethane (100 mL X 3). The organic layers were combined and washed with water (100 mL× 2) and brine (100 mL), dried over anhydrous sodium sulfate, filtered, concentrated and purified by column chromatography (SiO_2, ethyl acetate) to afford the objective (R)-3-{N-(tert-butoxycarbonyl)glycyl}amino-1-(4-chlorobenzyl)pyrrolidine (5.40 g, 92%).

3) Synthesis of (R)-1-(4-chlorobenzyl)-3-(glycylamino)pyrrolidine

A 4 M HCl dioxane (38 mL) solution was added to a methanol (60 mL) solution of the (R)-3-{N-(tert-butoxy-carbonyl)glycyl}amino-1-(4-chlorobenzyl)pyrrolidine (5.39 g, 14.7 mmol). The resulting solution was stirred at room temperature for 2 hours. The reaction mixture was concentrated, and a 2 M NaOH solution (80 mL) was added to the concentrate. The resulting mixture was extracted with dichloromethane (80 mL×3), and extracts were combined, dried over anhydrous sodium sulfate, concentrated and purified by column chromatography (SiO_2, AcOEt: EtOH:Et_3N = 90:5:5) to provide (R)-3-(glycylamino)-1-(4-chlorobenzyl)pyrrolidine (3.374 g, 86%).

[1]H-NMR(CDCl$_3$, 270MHz) δ 1.77 (dd, J = 1.3 and 6.9 Hz, 1 H), 2.20-3.39 (m, 2 H), 2.53 (dd, J = 3.3 and 9.6 Hz, 1 H), 2.62 (dd, J = 6.6 and 9.6 Hz, 1 H), 2.78-2.87 (m, 1 H), 3.31 (s, 2 H), 3.57(s, 2 H), 4.38-4.53 (br, 1 H), 7.18-7.32 (m, 4 H), 7.39 (br, s, 1 H).

4) (R)-1-(4-chlorobenzyl)-3-[{N-(3-(trifluoromethylthio)benzoyl)glycyl}amino]pyrrolidine (Compd. No. 1606)

A mixture of 3-(trifluoromethylthio)benzoic acid (0.060 mmol) with (R)-1-(4-chlorobenzyl)-3-(glycylamino)pyr-rolidine (0.050 mmol), diisopropylcarbodiimide (0.060 mmol), HOBt (0.060 mmol), tert-butanol (0.15 mL) and chloroform (1.35 mL) was stirred at room temperature for 15 hours. The reaction mixture was added to a Varian™ SCX column, successively washed with methanol:chloroform = 1:1 (12 mL) and methanol (12 mL), then eluted with a methanol solution of 4 M ammonia (5 mL) and concentrated to afford (R)-1-(4-chlorobenzyl)-3-[{N-(3-(trifluoromethylthio)benzoyl)glycyl}amino]pyrrolidine (Compd. No. 1606) (17.0 mg, 72%). The purity was determined by RPLC/MS (97%). ESI/MS m/e 472.0 (M+H, $C_{21}H_{21}ClF_3N_3O_2S$).

[Example 1] Measurement of inhibitory activity of a compound against binding of [[125]I]-labeled MIP-1β to membrane fraction of the cells expressing CCR5

[0120] To a 96-well plate made of polystyrene, were respectively added 20μL of a solution prepared by diluting each test compound with an assay buffer (50 mM HEPES, pH 7.4, 5 mM MgCl$_2$, 1 mM CaCl$_2$, 0.2% BSA), 25μL of a solution obtained by diluting [[125]I]-labeled MIP-1β (NEN Life Science Products, Inc.) with the assay buffer so as to provide 0.1 to 0.5 nM and 155μL (including 4μg of the membrane fraction) of a suspension prepared by suspending a membrane fraction of CHO cells expressing human CCR5 (the final volume of the reaction solution: 200μL). The solutions and suspension was stirred for 2 minutes and then incubated at 27 °C for 60 minutes.

[0121] After completing the reaction, the reaction suspension was filtered through Filtermate (Packard Instrument Co.), and the filter was washed with 250μL of a precooled washing buffer (10 m M HEPES, pH 7.4, 0.5 M NaCl) nine times. Into each well, was added 50μL of liquid scintillator. The radioactivity was counted using TopCount NXT (Packard Instrument Co.).

[0122] The count when 0.2 μ M of human MIP-1 α instead of the test compound was added was subtracted as nonspecific binding, and the count when the test compound was not added was taken as 100%. Thereby, the inhibitory activity of the test compound against binding of the human MIP-1β to the membrane fraction of the cells expressing CCR5 was calculated.

$$\text{Inhibition (\%)} = [1 - (A - B)/(C - B)] \times 100$$

(wherein A is the count when the test compound is added; B is the count when the unlabeled human MIP-1α is added ; C is the count when only the [^{125}I]-labeled human MIP-1β is added).

[0123] When the inhibitory activity of the cyclic amine derivatives of the present invention was measured, for example, the following compounds respectively showed an inhibitory activity of 20% to 50%, 50% to 80% and >80% at a concentration of 10μM.

[0124] Compounds which showed an inhibitory activity of 20% to 50% at a concentration of 10μM: Compd.Nos.: 132, 198, 490, 516, 521, 528, 529, 601, 616, 622, 627, 642, 684, 847, 849, 850, 857, 8G7, 874, 899, 902, 1002, 1003, 1057, 1083, 1189, 1245, 1247, 1472, 1606, 1859,1998, 2093, 2095, 2097 and 2134

[0125] Compounds which showed an inhibitory activity of 50% to 80% at a concentration of 10μM: Compd.Nos.: 461, 505, 668, 679, 782, 1042, 1073, 1114, 1559, 1583, 1609, 1703, 1718, 1783, 1833, 1836,1855,1917, 2157, 2189 and 2251

[0126] Compounds which showed an inhibitory activity of >80% at a concentration of 10μM: Compd. Nos. 1709, 1837, 1910, 1919, 2179, 2235 and 2241

[Example 2] Measurement of inhibitory activity of a compound against infection of cells with HIV-1

[0127] The inhibitory activity of a compound against infection of cells with HIV-1 was measured by using cells simultaneously expressing CD4 and CCR5 or human peripheral blood monocytes according to methods described in literatures (see, for example Mack, M. et al., J. Exp. Med., 1998, 187, 1215; and Baba, M. et al., Proc. Natl. Acad. Sci. USA, 1999, 96, 5698).

[Example 3] Preparation of a tablet

[0128] A tablet of the compound used in the present invention was prepared by, for example the following prescription:

Compound used in the present invention	30 mg
Lactose	87 mg
Starch	30 mg
Magnesium stearate	3 mg

[Example 4] Preparation of parenteral injections

[0129] Solution for injection of the compound used in the present invention was prepared by, for example the following prescription:

Hydrochloride of compound used in the present invention	30 mg
Sodium chloride	900 mg
Distilled water for injection	100 mL

Industrial Applicability

[0130] The cyclic amine compound used in the present invention, pharmaceutically acceptable acid addition salt thereof or pharmaceutically acceptable C_1-C_6 alkyl addition salt thereof are CCR5 antagonist and have inhibitory actions on actions of in vivo ligands of CCR5 on target cells, and mrdicine comprising the compounds as an active ingredient, therefore, are useful as remedie or prophylactic for diseases in association with CCR5.

[0131] Examples of the diseases include diseases in which infiltration into tissues and activation of monocytes/macrophages, T-cells or the like play an important role in propagation and maintenance of diseases such as rheumatoid arthritis, nephritis (nephropathy), multiple sclerosis, rejection after organ transplantation, graft-versus-host diseases (GVHD), diabetes, chronic obstructive pulmonary diseases (COPD), bronchial asthma, atopic dermatitis, sarcoidosis, fibrosis, atherosclerosis, psoriasis and inflammatory bowel diseases.

[0132] The medicine of the present invention is also useful as remedy and/or prophylactic for diseases caused by HIV infection such as AIDS by inhibitory actions on infection of host cells with HIV-1 based on the CCR5 antagonistic activity.

Claims

1. A pharmaceutical composition having the CCR5 antagonistic activity and comprising compound represented by the general formula(I), a pharmaceutically acceptable acid addition salt thereof or a pharmaceutically acceptable C_1-C_6 alkyl addition salt thereof as an active ingredient:

$$R^1 \underset{R^2}{\overset{}{>}} (CH_2)_j - N \underset{(CH_2)_m}{\overset{(CH_2)_k}{<}} (CH_2)_n - \underset{R^3}{N} - \overset{O}{\overset{\|}{C}} - (CH_2)_p - \underset{R^5}{\overset{R^4}{\underset{|}{C}}} - (CH_2)_q - G - R^6 \qquad (I)$$

wherein, R^1 is a phenyl group, a C_3-C_8 cycloalkyl group or an aromatic heterocyclic group having one to three oxygen atoms, sulfur atoms and/or nitrogen atoms as heteroatoms; the phenyl group or the aromatic heterocyclic group in the above R^1 may be condensed with a benzene ring, or an aromatic heterocyclic group having one to three oxygen atoms, sulfur atoms and/or nitrogen atoms as heteroatoms to form a condensed ring; the phenyl group, the C_3-C_8 cycloalkyl group, the aromatic heterocyclic group or the condensed ring in the above R^1 may be substituted with an optional number of halogen atoms, hydroxy groups, cyano groups, nitro groups, carboxy groups, carbamoyl groups, C_1-C_6 alkyl groups, C_3-C_8 cycloalkyl groups, C_2-C_6 alkenyl groups, C_1-C_6 alkoxy groups, C_1-C_6 alkylthio groups, C_3-C_5 alkylene groups, C_2-C_4 alkylenoxy groups, C_1-C_3 alkylenedioxy groups, phenyl groups, phenoxy groups, phenylthio groups, benzyl groups, benzyloxy groups, benzoylamino groups, C_2-C_7 alkanoyl groups, C_2-C_7 alkoxycarbonyl groups, C_2-C_7 alkanoyloxy groups, C_2-C_7 alkanoylamino groups, C_2-C_7 N-alkylcarbamoyl groups, C_4-C_9 N-cycloalkylcarbamoyl groups, C_1-C_6 alkylsulfonyl groups, C_3-C_8 (alkoxycarbonyl)methyl groups, N-phenylcarbamoyl groups, piperidinocarbonyl groups, morpholinocarbonyl groups, 1-pyrrolidinylcarbonyl groups, bivalent groups represented by the formula: -NH(C=O)O- , bivalent groups represented by the formula: -NH(C=S)O-, amino groups, mono(C_1-C_6 alkyl)amino groups or di(C_1-C_6 alkyl)amino groups; the substituents of the phenyl group, the C_3-C_8 cycloalkyl group, the aromatic heterocyclic group or the condensed ring may further be substituted with an optional number of halogen atoms, hydroxy groups, amino groups, trifluoromethyl groups, C_1-C_6 alkyl groups or C_1-C_6 alkoxy groups;

R^2 is a hydrogen atom, a C_1-C_6 alkyl group, a C_2-C_7 alkoxycarbonyl group, a hydroxy group or a phenyl group; the C_1-C_6 alkyl group or the phenyl group in the R^2 may be substituted with an optional number of halogen atoms, hydroxy groups, C_1-C_6 alkyl groups or C_1-C_6 alkoxy groups, with the proviso that R^2 is not a hydroxy group when j is 0;
j is an integer of 0 to 2;
k is an integer of 0 to 2;
m is an integer of 2 to 4;
n is 0 or 1;
R^3 is a hydrogen atom or a C_1-C_6 alkyl group which may be substituted (with one or two phenyl groups which may respectively be substituted with the same or different optional number of halogen atoms, hydroxy groups, C_1-C_6 alkyl groups or C_1-C_6 alkoxy groups);
R^4 and R^5 are the same or different and are each a hydrogen atom, a hydroxy group, a phenyl group or a C_1-C_6 alkyl group; the C_1-C_6 alkyl group in the R^4 and R^5 may be substituted with an optional number of halogen atoms, hydroxy groups, cyano groups, nitro groups, carboxy groups, carbamoyl groups, mercapto groups, guanidino groups, C_3-C_8 cycloalkyl groups, C_1-C_6 alkoxy groups, C_1-C_6 alkylthio groups, phenyl groups (which may be substituted with an optional number of halogen atoms, hydroxy groups, C_1-C_6 alkyl groups, C_1-C_6 alkoxy groups or benzyloxy groups), phenoxy groups, benzyloxy groups, benzyloxycarbonyl groups, C_2-C_7 alkanoyl groups, C_2-C_7 alkoxycarbonyl groups, C_2-C_7 alkanoyloxy groups, C_2-C_7 alkanoylamino groups, C_2-C_7 N-alkylcarbamoyl groups, C_1-C_6 alkylsulfonyl groups, amino groups, mono(C_1-C_6 alkyl)amino groups, di(C_1-C_6 alkyl)amino groups, or (aromatic heterocyclic groups having one to three oxygen atoms, sulfur atoms and/or nitrogen atoms as heteroatoms or condensed rings formed by condensation of the aromatic heterocyclic groups having the one to three oxygen atoms, sulfur atoms and/or oxygen atoms as the heteroatoms with the benzene rings), or both R^4 and R^5 together may form a three- to a six- membered cyclic hydrocarbon;
p is 0 or 1;
q is 0 or 1;

G is a group represented by -CO-, -SO$_2$-, -CO-O-, -NR^7-CO-, -CO-NR^7-, -NH-CO-NH-, -NH-CS-NH-, -NR^7-SO$_2$-, -SO$_2$-NR^7-, -NH-CO-O- or -O-CO-NH-, wherein, R^7 is a hydrogen atom or a C$_1$-C$_6$ alkyl group or R^7, together with R^5, may form a C$_2$-C$_5$ alkylene group;

R^6 is a phenyl group, a C$_3$-C$_8$ cycloalkyl group, a C$_3$-C$_6$ cycloalkenyl group, a benzyl group or an aromatic heterocyclic group having one to three oxygen atoms, sulfur atoms and/or nitrogen atoms as heteroatoms; the phenyl group, the benzyl group or the aromatic heterocyclic group in the R^6 may be condensed with a benzene ring or an aromatic heterocyclic group having one to three oxygen atoms, sulfur atoms and/or nitrogen atoms as heteroatoms to form a condensed ring; the phenyl group, the C$_3$-C$_8$ cycloalkyl group, the C$_3$-C$_6$ cycloalkenyl group, the benzyl group, the aromatic heterocyclic group or the condensed ring in the above R^6 may further be substituted with an optional number of halogen atoms, hydroxy groups, mercapto groups, cyano groups, nitro groups, thiocyanato groups, carboxy groups, carbamoyl groups, trifluoromethyl groups, C$_1$-C$_6$ alkyl groups, C$_3$-C$_8$ cycloalkyl groups, C$_2$-C$_6$ alkenyl groups, C$_1$-C$_6$ alkoxy groups, C$_3$-C$_8$ cycloalkyloxy groups, C$_1$-C$_6$ alkylthio groups, C$_1$-C$_3$ alkylenedioxy groups, phenyl groups, phenoxy groups, phenylamino groups, benzyl groups, benzoyl groups, phenylsulfinyl groups, phenylsulfonyl groups, 3-phenylureido groups, C$_2$-C$_7$ alkanoyl groups, C$_2$-C$_7$ alkoxycarbonyl groups, C$_2$-C$_7$ alkanoyloxy groups, C$_2$-C$_7$ alkanoylamino groups, C$_2$-C$_7$ N-alkylcarbamoyl groups, C$_1$-C$_6$ alkylsulfonyl groups, phenylcarbamoyl groups, N,N-di(C$_1$-C$_6$ alkyl)sulfamoyl groups, amino groups, mono(C$_1$-C$_6$ alkyl)amino groups, di(C$_1$-C$_6$ alkyl)amino groups, benzylamino groups, C$_2$-C$_7$ (alkoxycarbonyl)amino groups, C$_1$-C$_6$ (alkylsulfonyl)amino groups or bis(C$_1$-C$_6$ alkylsulfonyl)amino groups; the substituents of the phenyl group, the C$_3$-C$_8$ cycloalkyl group, the C$_3$-C$_8$ cycloalkenyl group, the benzyl group, the aromatic heterocyclic group or the condensed ring may further be substituted with an optional number of halogen atoms, cyano groups, hydroxy groups, amino groups, trifluoromethyl groups, C$_1$-C$_6$ alkyl groups, C$_1$-C$_6$ alkoxy groups, C$_1$-C$_6$ alkylthio groups, mono(C$_1$-C$_6$ alkyl)amino groups or di(C$_1$-C$_6$ alkyl)amino groups.

2. The pharmaceutical composition having the CCR5 antagonistic activity, according to claim 1, wherein k is 1 and m is 2 in the above formula (I).

3. The pharmaceutical composition having the CCR5 antagonistic activity, according to claim 1, wherein k is 0 and m is 3 in the above formula (I).

4. The pharmaceutical composition having the CCR5 antagonistic activity, according to claim 1, wherein k is 1 and m is 3 in the above formula (I).

5. The pharmaceutical composition having the CCR5 antagonistic activity, according to claim 1, wherein k is 2 and m is 2 in the above formula (I).

6. The pharmaceutical composition having the CCR5 antagonistic activity, according to claim 1, wherein k is 1 and m is 4 in the above formula (I).

7. Remedies or prophylactics for diseases in association with CCR5 comprising the compound represented by the above formula (I), the pharmaceutically acceptable acid addition salt thereof or the pharmaceutically acceptable C$_1$-C$_6$ alkyl addition salt thereof as, an active ingredient.

8. The remedies or prophylactics according to claim 7, wherein the diseases in association with CCR5 are diseases caused by infection of human immunodeficiency virus.

9. The remedies or prophylactics according to claim 8, wherein the diseases caused by the infection of the human immunodeficiency virus are acquired immunodeficiency syndrome.

10. The remedies or prophylactics according to claim 7, wherein the diseases in association with CCR5 are diseases accompanied by chondrolysis of cartilage or osteolysis.

11. The remedies or prophylactics according to claim 10, wherein the diseases accompanied by the chondrolysis of cartilage or osteolysis are rheumatoid arthritis.

12. The remedies or prophylactics according to claim 7, wherein the diseases in association with CCR5 are nephritis or nephropathy.

13. The remedies or prophylactics according to claim 12, wherein the nephritis or nephropathy is glomerulonephritis, interstitial nephritis or nephrotic syndrome.

14. The remedies or prophylactics according to claim 7, wherein the diseases in association with CCR5 are demyelinating diseases.

15. The remedies or prophylactics according to claim 14, wherein the demyelinating diseases are multiple sclerosis.

16. The remedies or prophylactics according to claim 7, wherein the diseases in association with CCR5 are rejection after organ transplantation.

17. The remedies or prophylactics according to claim 7, wherein the diseases in association with CCR5 are graft-versus-host diseases.

18. The remedies or prophylactics according to claim 7, wherein the diseases in association with CCR5 are diabetes.

19. The remedies or prophylactics according to claim 7, wherein the diseases in association with CCR5 are chronic obstructive pulmonary diseases, asthma, atopic dermatitis, sarcoidosis, fibrosis, atherosclerosis, psoriasis or inflammatory bowel diseases.

INTERNATIONAL SEARCH REPORT

	International application No.
	PCT/JP00/08627

A. CLASSIFICATION OF SUBJECT MATTER
Int.Cl⁷ C07D207/09, C07D211/26, C07D405/12, C07D409/12, C07D401/12, C07D401/04, C07D409/14, C07D405/14, C07D401/14, C07D401/06, C07D413/06, C07D413/14, C07D409/06

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)
Int.Cl⁷ C07D207/09, C07D211/26, C07D405/12, C07D409/12, C07D401/12, C07D401/04, C07D409/14, C07D405/14, C07D401/14, C07D401/06, C07D413/06, C07D413/14, C07D409/06

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practicable, search terms used)
CA(STN), REGISTRY(STN), WPIDS(STN)

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	WO, 99/25686, A (Teijin Limited), 27 May, 1999 (27.05.99),	1-7,10-15,19
Y	Claims, p1, p345-354 & EP, 1030840, A	1,8,9,16-19
Y	RAPORT. C. J. Molecular Cloning and Functional Characterization of a Novel Human CC Chemokine Receptor (CCR5) for RANTES, MIP-1β, and MIP-1α. J. Biol. Chem., 1996, Vol.271, No.29, pages 17161-17166	1
Y	WO, 98/30218, A (SMITHKLEIN BEECHAM CORPORATION), 16 July, 1998 (16.07.98), Claims, p1, p15-16 & EP, 979078, A	8,9
Y	MURAI. M. Active participation of CCR5⁺CD8⁺ T lymphocytes in the pathogenesis of liver injury in graft-versus-host disease. J. Clin. Invest., July 1999, Vol.104, No.1, pages 49-57	17
Y	BALASHOV.K.E.CCR5⁺ and CXCR3⁺ T cells are increased in multiple sclerosis and their ligands MIP-1α and IP-10 are	16,18

☒ Further documents are listed in the continuation of Box C. ☐ See patent family annex.

*	Special categories of cited documents:	"T"	later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
"A"	document defining the general state of the art which is not considered to be of particular relevance		
"E"	earlier document but published on or after the international filing date	"X"	document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
"L"	document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)	"Y"	document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art
"O"	document referring to an oral disclosure, use, exhibition or other means		
"P"	document published prior to the international filing date but later than the priority date claimed	"&"	document member of the same patent family

Date of the actual completion of the international search	Date of mailing of the international search report
15 January, 2001 (15.01.01)	23 January, 2001 (23.01.01)

Name and mailing address of the ISA/	Authorized officer
Japanese Patent Office	
Facsimile No.	Telephone No.

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INTERNATIONAL SEARCH REPORT

C (Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
	expressed in demyelinating brain lesions. Proc. Natl. Acad. Sci. USA., June 1999, Vol.96, No.12, pages 6873-6878	
Y	WO, 99/01127, A (SMITHKLEIN BEECHAM CORPORATION), 14 January, 1999 (14.01.99), Claims, p1-4, p25-28 & EP, 1001766, A	19

Form PCT/ISA/210 (continuation of second sheet) (July 1992)

EP 1 238 970 A1

INTERNATIONAL SEARCH REPORT

International application No.

PCT/JP00/08627

Continuation of A. CLASSIFICATION OF SUBJECT MATTER (IPC)

C07D403/12, C07D413/12, C07D417/12, C07D487/04 141, C07D403/06, C07D417/06,
C07D513/04 331, C07D495/04 101, A61K31/40, A61K31/4025, A61K31/4545, A61K31/445,
A61K31/454, A61K31/4525, A61K31/4535, A61K31/42, A61K31/422, A61K31/4155,
A61K31/427, A61K31/53, A61K31/429, A61K31/4178, A61K31/381, A61K31/505,
A61K31/4439, A61K31/4035, A61K31/428, A61K31/4245, A61P43/00 111, A61P29/00 101,
A61P19/02, A61P13/12, A61P37/06, A61P21/00, A61P3/10, A61P11/00, A61P17/00,
A61P9/10 101, A61P17/06, A61P1/04, A61P31/18

Continuation of B. FIELDS SEARCHED (IPC)

C07D403/12, C07D413/12, C07D417/12, C07D487/04 141, C07D403/06, C07D417/06,
C07D513/04 331, C07D495/04 101, A61K31/40, A61K31/4025, A61K31/4545, A61K31/445,
A61K31/454, A61K31/4525, A61K31/4535, A61K31/42, A61K31/422, A61K31/4155,
A61K31/427, A61K31/53, A61K31/429, A61K31/4178, A61K31/381, A61K31/505,
A61K31/4439, A61K31/4035, A61K31/428, A61K31/4245

Form PCT/ISA/210 (extra sheet) (July 1992)

242